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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
 NEWS 2 "Ask CAS" for self-help around the clock  
 NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated  
 and searchable  
 NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in  
 CA/CAPLUS  
 NEWS 5 FEB 05 German (DE) application and patent publication number format  
 changes  
 NEWS 6 MAR 03 MEDLINE and LMEADLINE reloaded  
 NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
 NEWS 8 MAR 03 FRANCEPAT now available on STN  
 NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
 NEWS 10 MAR 29 WPIFV now available on STN  
 NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
 NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
 NEWS 13 APR 26 PROMT: New display field available  
 NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field  
 available  
 NEWS 15 APR 26 LITALERT now available on STN  
 NEWS 16 APR 27 NLDB: New search and display fields available  
  
 NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
 MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
 AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004  
 NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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 NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
 NEWS WWW CAS World Wide Web Site (general information)

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:55:59 ON 28 APR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

Patel

<4/28/2004>

|                     | ENTRY | SESSION |
|---------------------|-------|---------|
| FULL ESTIMATED COST | 0.21  | 0.21    |

FILE 'REGISTRY' ENTERED AT 16:56:11 ON 28 APR 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6  
 DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading c:\program files\stnexp\queries\10725212.1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 16:56:35 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 7316 TO ITERATE

|                       |                 |           |
|-----------------------|-----------------|-----------|
| 100.0% PROCESSED      | 7316 ITERATIONS | 0 ANSWERS |
| SEARCH TIME: 00.00.01 |                 |           |

L2 0 SEA SSS FUL L1

=> file marpat

| COST IN U.S. DOLLARS | SINCE FILE<br>ENTRY | TOTAL<br>SESSION |
|----------------------|---------------------|------------------|
| FULL ESTIMATED COST  | 155.42              | 155.63           |

FILE 'MARPAT' ENTERED AT 16:56:42 ON 28 APR 2004  
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004  
DE 10335606 11 MAR 2004  
EP 1403278 31 MAR 2004  
JP 2004099560 02 APR 2004  
WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 16:56:49 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 62152 TO ITERATE

|                 |                  |                  |            |
|-----------------|------------------|------------------|------------|
| 10.6% PROCESSED | 6577 ITERATIONS  | ( 1 INCOMPLETE)  | 1 ANSWERS  |
| 20.1% PROCESSED | 12495 ITERATIONS | ( 1 INCOMPLETE)  | 1 ANSWERS  |
| 34.1% PROCESSED | 21215 ITERATIONS | ( 7 INCOMPLETE)  | 7 ANSWERS  |
| 43.7% PROCESSED | 27157 ITERATIONS | ( 8 INCOMPLETE)  | 8 ANSWERS  |
| 52.4% PROCESSED | 32585 ITERATIONS | ( 8 INCOMPLETE)  | 8 ANSWERS  |
| 61.6% PROCESSED | 38293 ITERATIONS | ( 13 INCOMPLETE) | 13 ANSWERS |
| 69.7% PROCESSED | 43310 ITERATIONS | ( 19 INCOMPLETE) | 19 ANSWERS |
| 78.4% PROCESSED | 48728 ITERATIONS | ( 21 INCOMPLETE) | 21 ANSWERS |
| 83.3% PROCESSED | 51785 ITERATIONS | ( 25 INCOMPLETE) | 25 ANSWERS |
| 86.9% PROCESSED | 54002 ITERATIONS | ( 28 INCOMPLETE) | 28 ANSWERS |
| 90.5% PROCESSED | 56274 ITERATIONS | ( 29 INCOMPLETE) | 29 ANSWERS |
| 93.1% PROCESSED | 57833 ITERATIONS | ( 31 INCOMPLETE) | 31 ANSWERS |
| 94.3% PROCESSED | 58610 ITERATIONS | ( 31 INCOMPLETE) | 31 ANSWERS |
| 94.8% PROCESSED | 58891 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 95.3% PROCESSED | 59213 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 95.7% PROCESSED | 59451 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 95.9% PROCESSED | 59632 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 96.2% PROCESSED | 59815 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 96.3% PROCESSED | 59866 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |
| 96.4% PROCESSED | 59935 ITERATIONS | ( 32 INCOMPLETE) | 32 ANSWERS |

10725212.1 Page 4

96.5% PROCESSED 60000 ITERATIONS ( 32 INCOMPLETE) 32 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.05.52

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 62152 TO 62152  
PROJECTED ANSWERS: 32 TO 50

L3 32 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 113.20           | 268.83        |

FILE 'CAPLUS' ENTERED AT 17:02:48 ON 28 APR 2004  
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18  
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 32 L3

=> d 14 fbib hitstr abs total

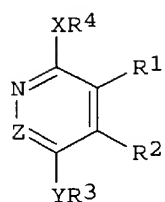
L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:113527 CAPLUS  
DN **140:163891**  
TI Preparation of substituted pyridines and pyridazines with angiogenesis inhibiting activity for pharmaceutical use as antitumor agents  
IN Dumas, Jacques P.; Boyer, Stephen James; Dixon, Julie A.; Joe, Teddy Kite; Kluender, Harold C. E.; Lee, Wendy; Nagarathnam, Dhanapalan; Sibley, Robert N.; Su, Ning  
PA Bayer Pharmaceuticals Corporation, USA  
SO U.S., 60 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

Patel

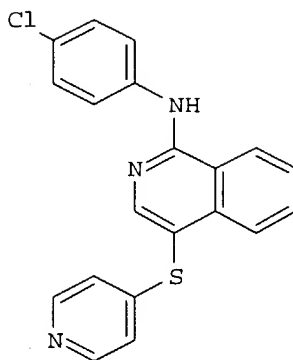
<4/28/2004>



|    | PATENT NO.        | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|-------------------|------|----------|------------------|----------|
| PI | US 6689883        | B1   | 20040210 | US 2000-672294   | 20000928 |
|    |                   |      |          | US 1999-287595PP | 19990928 |
| OS | MARPAT 140:163891 |      |          |                  |          |
| GI |                   |      |          |                  |          |



I



II

AB Fused ring systems with a pyridine or pyridazine subunit, such as I [X = connecting group, such as O, S, NH, etc.; Y = connecting group, such as O, S, CH<sub>2</sub>O, CH<sub>2</sub>S, NH, OCH<sub>2</sub>, SCH<sub>2</sub>, SO, SO<sub>2</sub>, etc.; Z = CH, N; R<sub>1</sub>R<sub>2</sub> = fused ring, such as CH:CHCH:CH, CH:CHS, CH:CHO, CH:CHNH, N:CHNH, N:NNH, etc.; R<sub>3</sub>, R<sub>4</sub> = aryl, heteroaryl, etc.; XR<sub>4</sub> = nitrogen bound heterocyclyl, such as 1-indoliny], with angiogenesis inhibiting activity were prepared for pharmaceutical use as antitumor agents. Thus, substituted isoquinoline II was prepared in a 3 step sequence which included bromination of isocarbostyryl to form 1,4-dibromoisquinoline in 96% yield, followed by monoamination with 4-chloroaniline to give 4-bromo-N-(4-chlorophenyl)-1-isoquinolinamine in 64.4% yield, and subsequent reaction with 4-mercaptopyridine to give II in 19% yield. The prepared compds. were tested for KDR receptor inhibition.

RE.CNT 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:60271 CAPLUS  
DN 140:111196  
TI Preparation of 2-amino-9-(2-hydroxymethylcyclopropylidenemethyl)-purines as antiviral agents  
IN Zemlicka, Jiri; Drach, John C.  
PA Wayne State University, USA; The Regents of the University of Michigan  
SO PCT Int. Appl., 36 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

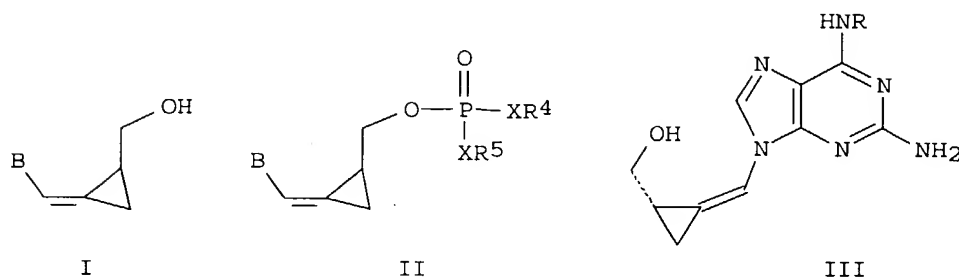
|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
| PI | WO 2004006867 | A2   | 20040122 | WO 2003-US7909  | 20030313 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-364495PP 20020315

OS MARPAT 140:111196  
GI



AB Synthesis and antiviral activity of title compds. I and II [B = 2-aminopurine-9-yl, which may be unsubstituted or substituted in the 6 position with NHR1, OR2, or SR3; R1 = alkyl, alkenyl, alkynyl, cycloalkyl, which may be optionally substituted with one or more members of the group consisting of OH, halo, amino, acyl, cycloalkyl, heterocyclyl and aryl; R2, R3 = alkyl, alkenyl, alkynyl, cycloalkyl, any of which may be branched or unbranched and optionally substituted with hydroxy, halo, amino, acyl, cycloalkyl, heterocyclyl, and aryl; X = O; R4, R5 = alkyl, aryl; R4X and/or R5X may also be amino acid residues with X = NH] are disclosed. The compds. of the present invention also include the R- and S-enantiomers of the above compds. Thus, III [R = allyl (IV)] is prepared by reacting III (R = H) with allylamine in ethanol. IV demonstrated an IC50 = 0.18  $\mu$ M against human cytomegalovirus.

L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:60255 CAPLUS

DN **140:105258**

TI Benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms

IN Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.

PA Combinatorx, Incorporated, USA

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

PI WO 2004006849 A2 20040122 WO 2003-US21984 20030715  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-396151PP 20020715

OS MARPAT 140:105258

AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:2850 CAPLUS

DN 140:77013

TI Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia

IN Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig

PA Aventis Pharma Deutschland G.m.b.H., Germany

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2004000804   | A1   | 20031231 | WO 2003-EP5815  | 20030604 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |

DE 2002-10227506A 20020619

DE 10227506 A1 20040108

DE 2002-10227506 20020619

OS MARPAT 140:77013

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n;

Patel

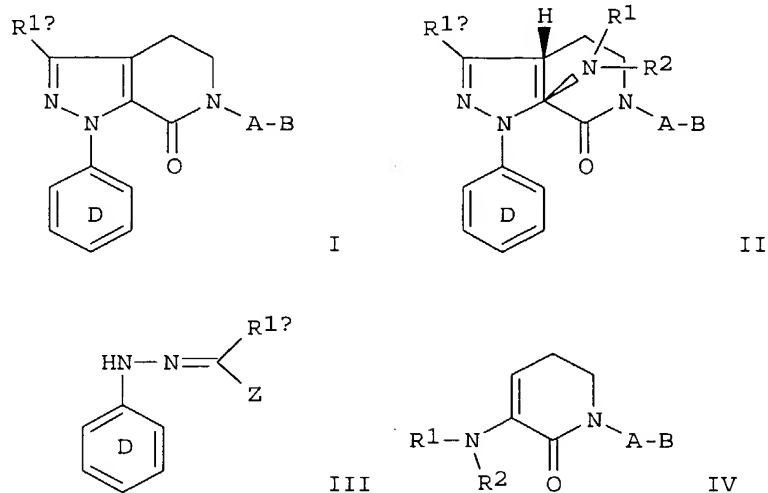
&lt;4/28/2004&gt;

n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmaceutically acceptable salts were prepared. For example, N-alkylation of 1,4-diazabicyclo[2.2.2]octane with benzyl bromide II, e.g., prepared from 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone and 1,2-bisbromomethylbenzene, afforded diphenylazetidinone III. In rat liver cholesterol absorption assays, 26-examples of compds. I exhibited EC50 values ranging from 0.03-<1.0 (mg/mouse), e.g., the EC50 value of diphenylazetidinone III was 0.3. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:472339 CAPLUS  
DN 139:53014  
TI Synthesis of 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones  
IN Zhou, Jiacheng; Oh, Lynette M.; Ma, Philip; Li, Hui-yin  
PA Bristol-Myers Squibb Company, USA  
SO PCT Int. Appl., 143 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.       | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|------------------|--|----------|------------------|----------|
| PI | WO 2003049681    | A2   | 20030619 | WO 2002-US38559  | 20021203 |
|    | WO 2003049681    | A3   | 20030918 |                  |          |
|    | W:               | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:              | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |                  |  |          | US 2001-339085PP | 20011210 |
|    | US 2003181466    | A1   | 20030925 | US 2002-308741   | 20021203 |
|    |                  |  |          | US 2001-339085PP | 20011210 |
| OS | MARPAT 139:53014 |  |          |                  |          |
| GI |                  |  |          |                  |          |



AB A novel process and intermediates thereof for making 4,5-dihydro-pyrazolo[3,4-c]pyrid-2-ones (shown as I; variables defined below; e.g. 1-(4-methoxyphenyl)-7-oxo-6-[4-(2-oxopiperidin-1-yl)phenyl]-4,5,6,7-tetrahydro-1H-pyrazolo[3,4-c]pyridine-3-carboxylic acid amide) from appropriate Ph hydrazines is described. These compds. are useful as factor Xa inhibitors (no data). I are made from II using an acid, e.g. trifluoroacetic, sulfuric, nitric, hydrochloric. For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepared (95% yield) from 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one (1.0 mmol) in CH<sub>2</sub>Cl<sub>2</sub> on treatment with CF<sub>3</sub>CO<sub>2</sub>H (2.0 mL). II are made from III and IV in the presence of base (e.g. triethylamine, diisopropylethylamine, and N-methylmorpholine). For example, 1-(3-cyano-4-fluorophenyl)-3-trifluoromethyl-6-(4-iodophenyl)-8-morpholino-1,4,5,6,8,9-hexahydro-7H-pyrazolo[3,4-c]pyridin-7-one was prepared (65% yield) from 2,2,2-trifluoro-N-(3-cyano-4-fluorophenyl)ethanehydrazonoyl mesylate (4.0 mmol) and N-(4-iodophenyl)-3-morpholino-5,6-dihydro-2H-pyridin-2-one (4.0 mmol) in toluene (18 mL) in the presence of N-methylmorpholine (16.0 mmol). For I-IV: ring D = 4-chlorophenyl, 4-methoxyphenyl, 2-cyanophenyl, 2-(aminomethyl)phenyl, 2-(PgNHCH<sub>2</sub>)phenyl, 3-cyanophenyl, 3-(aminomethyl)phenyl, 3-(PgNHCH<sub>2</sub>)phenyl, 3-cyano-4-fluorophenyl, (3-amino)benz[d]isoxazol-6-yl, and (3-PgNH)benz[d]isoxazol-6-yl (Pg is an amine protecting group). R<sub>1</sub> and R<sub>2</sub> = C1-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, Ph, and benzyl; alternatively, NR<sub>1</sub>R<sub>2</sub> is a 3-8 membered ring consisting of C atoms, N, and 0-1 O atoms; R<sub>1a</sub> = H, CH<sub>3</sub>, CH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>F, CH<sub>2</sub>Cl, Br, CH<sub>2</sub>Br, CN, CH<sub>2</sub>CN, CF<sub>3</sub>, CH<sub>2</sub>CF<sub>3</sub>, CH<sub>2</sub>OCH<sub>3</sub>, CO<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>SCH<sub>3</sub>, S(O)CH<sub>3</sub>, CH<sub>2</sub>S(O)CH<sub>3</sub>, S(O)<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>S(O)<sub>2</sub>CH<sub>3</sub>, C(O)NH<sub>2</sub>, CH<sub>2</sub>C(O)NH<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, pyridin-2-yl N-oxide, pyridin-3-yl N-oxide, pyridin-4-yl N-oxide, imidazol-1-yl, CH<sub>2</sub>-imidazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-5-yl, CH<sub>2</sub>-1,2,3,4-tetrazol-1-yl, and CH<sub>2</sub>-1,2,3,4-tetrazol-5-yl, provided that R<sub>1a</sub> forms other than an N-halo, N-N, N-S, N-O, or N-CN bond. A = Ph substituted with 0-1 R<sub>4</sub>, pyridyl substituted with 0-1 R<sub>4</sub>, and pyrimidyl substituted with 0-1 R<sub>4</sub>; B = B1, Cl, Br, I, OMs, OTs, OSO<sub>2</sub>Ph, CH<sub>2</sub>Br,

CH<sub>2</sub>OH, and CHO; alternatively, A-B is H; B1 is Y or X-Y; X = C1-4 alkylene, -CR<sub>2</sub>(CHR<sub>2</sub>R<sub>2</sub>b)(CH<sub>2</sub>)t-, -C(O)-, -CR<sub>2</sub>(OR<sub>2</sub>)-, -CR<sub>2</sub>(SR<sub>2</sub>)-, -C(O)CR<sub>2</sub>R<sub>2</sub>a-, -CR<sub>2</sub>R<sub>2</sub>aC(O)-, -S(O)p-, -S(O)pCR<sub>2</sub>R<sub>2</sub>a-, -CR<sub>2</sub>R<sub>2</sub>aS(O)p-, -S(O)2NR<sub>2</sub>-, -NR<sub>2</sub>S(O)2-, -NR<sub>2</sub>S(O)2CR<sub>2</sub>R<sub>2</sub>a-, -CR<sub>2</sub>R<sub>2</sub>aS(O)2NR<sub>2</sub>-, -NR<sub>2</sub>S(O)2NR<sub>2</sub>-, -C(O)NR<sub>2</sub>-, -NR<sub>2</sub>C(O)-, -C(O)NR<sub>2</sub>CR<sub>2</sub>R<sub>2</sub>a-, -NR<sub>2</sub>C(O)CR<sub>2</sub>R<sub>2</sub>a-, -CR<sub>2</sub>R<sub>2</sub>aC(O)NR<sub>2</sub>-, -CR<sub>2</sub>R<sub>2</sub>aNR<sub>2</sub>C(O)-, -NR<sub>2</sub>C(O)O-, -OC(O)NR<sub>2</sub>-, -NR<sub>2</sub>C(O)NR<sub>2</sub>-, -NR<sub>2</sub>-, -NR<sub>2</sub>CR<sub>2</sub>R<sub>2</sub>a-, -CR<sub>2</sub>R<sub>2</sub>aNR<sub>2</sub>-, O-, -CR<sub>2</sub>R<sub>2</sub>aO-, and -OCR<sub>2</sub>R<sub>2</sub>a-. Y = C3-10 carbocycle substituted with 0-2 R<sub>4</sub>a, and 5-10 membered heterocycle containing = 1-4 heteroatoms N, O, and S, substituted with 0-2 R<sub>4</sub>a; addnl. details are given in the claims. For III: Z = Cl, Br, I, OSO<sub>2</sub>Me, OSO<sub>2</sub>Ph, OSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Me-p.

L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:22883 CAPLUS  
 DN **138:73376**  
 TI Preparation of aryl boronic acids for treating obesity  
 IN Holmes-Farley, Stephen Randall; Mandeville, W. Harry, III; Huval, Chad  
 Cori; Li, Xinhua; Dhal, Pradeep K.  
 PA Geltex Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

| PATENT NO.    | KIND  | DATE   | APPLICATION NO.  | DATE     |
|---------------|---|--|------------------|----------|
| WO 2003002570 | A1  | 20030109   | WO 2002-US20923  | 20020701 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | US 2001-302081PP | 20010629 |
|               |   |  | US 2002-359467PP | 20020222 |
| US 2003064963 | A1  | 20030403   | US 2002-187397   | 20020627 |
|               |   |  | US 2001-302081PP | 20010629 |
|               |   |  | US 2002-359467PP | 20020222 |
| EP 1404685    | A1  | 20040407   | EP 2002-746808   | 20020701 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  |  | US 2001-302081PP | 20010629 |
|               |   |  | US 2002-359467PP | 20020222 |
|               |   |  | WO 2002-US20923W | 20020701 |

## PATENT FAMILY INFORMATION:

FAN 2003:22696

| PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|---------------|---|----------|-----------------|----------|
| WO 2003002130 | A1  | 20030109 | WO 2002-US20922 | 20020701 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, |          |                 |          |

UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

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 US 2002-359467PP 20020222  
 US 2002-359473PP 20020222  
 US 2002-359474PP 20020222  
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 US 2001-302221PP 20010629  
 US 2002-359467PP 20020222  
 US 2002-359473PP 20020222  
 US 2002-359474PP 20020222  
 EP 1404349 A1 20040407 EP 2002-744787 20020701  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 US 2001-302081PP 20010629  
 US 2001-302221PP 20010629  
 US 2002-359467PP 20020222  
 US 2002-359473PP 20020222  
 US 2002-359474PP 20020222  
 WO 2002-US20922W 20020701

FAN 2003:22884

| PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|--|------|----------|------------------|----------|
| WO 2003002571  | A1   | 20030109 | WO 2002-US20947  | 20020701 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,<br>PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,<br>CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,<br>PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,<br>NE, SN, TD, TG  |      |          |                  |          |
| US 2003059399  | A1   | 20030327 | US 2001-302221PP | 20010629 |
|  |      |          | US 2002-359473PP | 20020222 |
|  |      |          | US 2002-187316   | 20020627 |
|  |      |          | US 2001-302221PP | 20010629 |
|  |      |          | US 2002-359473PP | 20020222 |
| EP 1404686   | A1   | 20040407 | EP 2002-748036   | 20020701 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK   |      |          |                  |          |
|  |      |          | US 2001-302221PP | 20010629 |
|  |      |          | US 2002-359473PP | 20020222 |
|  |      |          | WO 2002-US20947W | 20020701 |

OS MARPAT 138:73376

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Aryl boronic acids [e.g., I; wherein Ph ring A is substituted or unsubstituted; R = (substituted) straight chained hydrocarbyl group optionally comprising one or more ether, thioether, phenylene, amine, or ammonium linking groups; Y = amine, ammonium group] were prepared. For example, 4-(14'-trimethylammonium-3'-thia-1'-ketotetradecyl)-3-fluorophenylboronic acid chloride [(II)Cl-] was prepared in six steps from 4-cyano-3-fluorophenyl bromide. The prepared compds. are useful for treating obesity, and inhibiting the uptake of fat in the gastrointestinal tract. For example, (II)Br- showed good inhibition of in vitro [IC50 ( $\mu\text{g/g fat}$ ) = 1.8] and in vivo [ED50 ( $\text{mg/g fat}$ ) = 2] pancreatic lipolysis.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:638288 CAPLUS  
DN 137:185513  
TI Preparation of piperidine and piperazine derivatives as inhibitors of p38 $\alpha$  kinase  
IN Goehring, R. richard; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Leudtke, Gregory; Lewicki, John A.  
PA USA  
SO U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 385,494.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 3

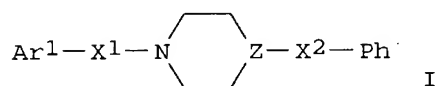
|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.   | DATE     |
|----|---------------|------|----------|-------------------|----------|
| PI | US 2002115671 | A1   | 20020822 | US 2001-796997    | 20010228 |
|    | US 6541477    | B2   | 20030401 |                   |          |
|    |               |      |          | US 1999-385494 A2 | 19990827 |
|    |               |      |          | US 2000-185571PP  | 20000228 |
|    | US 6410540    | B1   | 20020625 | US 1999-385494    | 19990827 |
|    |               |      |          | US 1998-98219P P  | 19980828 |
|    |               |      |          | US 1999-125343PP  | 19990319 |

# PATENT FAMILY INFORMATION:

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|--|------|----------|------------------|----------|
| PI | WO 2000012074  | A2   | 20000309 | WO 1999-US19845  | 19990827 |
|    | WO 2000012074  | A3   | 20000831 |                  |          |
|    | W:   |      |          |                  |          |
|    | AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
|    | RW:  |      |          |                  |          |
|    | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |      |          |                  |          |
|    |  |      |          | US 1998-98219P P | 19980828 |
|    |  |      |          | US 1999-125343PP | 19990319 |
|    | CA 2342251   | AA   | 20000309 | CA 1999-2342251  | 19990827 |
|    |  |      |          | US 1998-98219P P | 19980828 |
|    |  |      |          | US 1999-125343PP | 19990319 |
|    |  |      |          | WO 1999-US19845W | 19990827 |
|    | AU 9957936   | A1   | 20000321 | AU 1999-57936    | 19990827 |
|    |  |      |          | US 1998-98219P P | 19980828 |
|    |  |      |          | US 1998-125343PP | 19990319 |



EP 1107758 A2 20010620 WO 1999-US19845W 19990827  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 US 1998-98219P P 19980828  
 US 1999-125343PP 19990319  
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 BR 9913654 A 20011127 BR 1999-13654 19990827  
 US 1998-98219P P 19980828  
 US 1999-125343PP 19990319  
 WO 1999-US19845W 19990827  
 JP 2002523448 T2 20020730 JP 2000-567192 19990827  
 US 1998-98219P P 19980828  
 US 1999-125343PP 19990319  
 WO 1999-US19845W 19990827  
 FAN 2001:661422  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
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 PI WO 2001064676 A2 20010907 WO 2001-US6715 20010228  
 WO 2001064676 A3 20020328  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,  
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,  
 RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 2000-185571PP 20000228  
 OS MARPAT 137:185513  
 GI



AB The title compds. I [Ar<sup>1</sup> = furanyl optionally substituted; X<sup>1</sup> = CO; Z = N, CH; X<sup>2</sup> = CH<sub>2</sub>, isostere; Ph may be optionally substituted], inhibitors of p38 $\alpha$  kinase, were prepared. For example, 1-benzoyl-4-benzylpiperidine was prepared in 96% yield by reaction of 4-benzylpiperidine and PhCOCl in the presence of diisopropylethylamine in CH<sub>2</sub>Cl<sub>2</sub>. In p38 $\alpha$  kinase inhibition assays, I showed substantial inhibition at 15  $\mu$ M, some as high as 99%. I are useful for the treatment of conditions associated with activation of p38 $\alpha$ , in particular inflammation and cardiac conditions (no data).

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:574927 CAPLUS  
 DN 137:119655  
 TI Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders  
 IN Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.  
 PA Combinatorx, Incorporated, USA  
 SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.  | DATE     |  |
|----|---------------|------|----------|--|----------|--|
| PI | WO 2002058697 | A1   | 20020801 | WO 2002-US1707   | 20020122 |  |
|    | W:            |      |          | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |  |
|    | RW:           |      |          | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |  |
|    | US 2002165261 | A1   | 20021107 | US 2001-768870 A1  | 20010124 |  |
|    | US 6693125    | B2   | 20040217 | US 2001-768870   | 20010124 |  |
|    | EP 1363625    | A1   | 20031126 | EP 2002-709117   | 20020122 |  |
|    | R:            |      |          | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |  |
|    |               |      |          | US 2001-768870 A   | 20010124 |  |
|    |               |      |          | WO 2002-US1707 W   | 20020122 |  |
|    | US 2004063769 | A1   | 20040401 | US 2003-677664   | 20031002 |  |
|    |               |      |          | US 2001-768870 A1  | 20010124 |  |

OS MARPAT 137:119655

AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:661422 CAPLUS

DN 135:227015

TI Preparation of piperidine and piperazine derivatives as inhibitors of p38- $\alpha$  kinase

IN Goehring, Richard R.; Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Luedtke, Gregory; Lewicki, John A.

PA Scios, Inc., USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.   | DATE     |  |
|----|---------------|------|----------|---|----------|--|
| PI | WO 2001064676 | A2   | 20010907 | WO 2001-US6715  | 20010228 |  |
|    | WO 2001064676 | A3   | 20020328 |   |          |  |
|    | W:            |      |          | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, |          |  |

YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 2000-185571PP 20000228

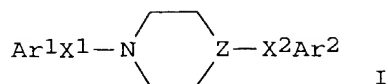
## PATENT FAMILY INFORMATION:

FAN 2000:161119

|     | PATENT NO.    | KIND   | DATE     | APPLICATION NO.   | DATE     |
|-----|---------------|--|----------|-------------------|----------|
| PI  | WO 2000012074 | A2   | 20000309 | WO 1999-US19845   | 19990827 |
|     | WO 2000012074 | A3   | 20000831 |                   |          |
|     | W:            | AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |          |
|     | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |
| CA  | 2342251       | AA   | 20000309 | CA 1999-2342251   | 19990827 |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |
|     |               |  |          | WO 1999-US19845W  | 19990827 |
| AU  | 9957936       | A1   | 20000321 | AU 1999-57936     | 19990827 |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1998-125343PP  | 19990319 |
|     |               |  |          | WO 1999-US19845W  | 19990827 |
| EP  | 1107758       | A2   | 20010620 | EP 1999-945316    | 19990827 |
|     | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                   |          |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |
|     |               |  |          | WO 1999-US19845W  | 19990827 |
| BR  | 9913654       | A  | 20011127 | BR 1999-13654     | 19990827 |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |
|     |               |  |          | WO 1999-US19845W  | 19990827 |
| JP  | 2002523448    | T2   | 20020730 | JP 2000-567192    | 19990827 |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |
|     |               |  |          | WO 1999-US19845W  | 19990827 |
| FAN | 2002:638288   |  |          |                   |          |
|     | PATENT NO.    | KIND   | DATE     | APPLICATION NO.   | DATE     |
| PI  | US 2002115671 | A1   | 20020822 | US 2001-796997    | 20010228 |
|     | US 6541477    | B2   | 20030401 |                   |          |
|     |               |  |          | US 1999-385494 A2 | 19990827 |
|     |               |  |          | US 2000-185571PP  | 20000228 |
|     | US 6410540    | B1   | 20020625 | US 1999-385494    | 19990827 |
|     |               |  |          | US 1998-98219P P  | 19980828 |
|     |               |  |          | US 1999-125343PP  | 19990319 |

OS MARPAT 135:227015

GI



AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH2, isostere; Ar2 = substituted Ph], inhibitors of p38- $\alpha$  kinase, were prepared E.g., 1-benzoyl-4-benzylpiperidine was prepared by reaction of 4-benzylpiperidine and PhCOCl.

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:475644 CAPLUS

DN **133:89443**

TI Quinolonecarboxamides as antiviral agents, especially against viruses of the herpes family

IN Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Vaillancourt, Valerie A.; Schnute, Mark E.; Tucker, John Alan

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 219 pp.

CODEN: PIXXD2

DT Patent

LA English

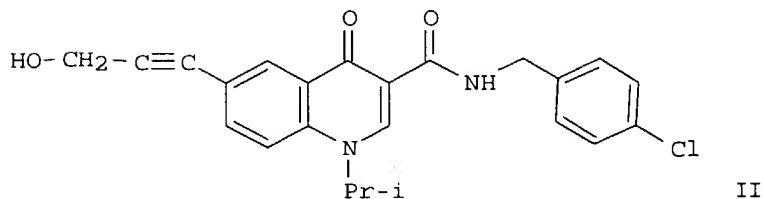
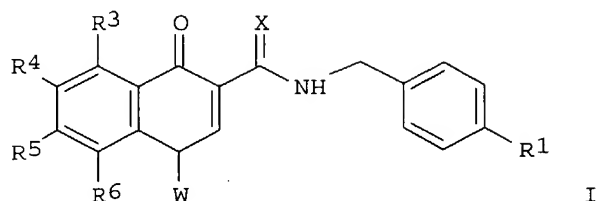
FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|--|----------|------------------|----------|
| PI | WO 2000040561 | A1   | 20000713 | WO 1999-US27960  | 19991222 |
|    | W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |
|    | US 6248739    | B1   | 20010619 | US 1999-466712   | 19991217 |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |
|    | EP 1140850    | A1   | 20011010 | EP 1999-967145   | 19991222 |
|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                  |          |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |
|    |               |  |          | WO 1999-US27960W | 19991222 |
|    | JP 2002534416 | T2   | 20021015 | JP 2000-592270   | 19991222 |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |
|    |               |  |          | WO 1999-US27960W | 19991222 |
|    | AU 760207     | B2   | 20030508 | AU 2000-23486    | 19991222 |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |
|    |               |  |          | WO 1999-US27960W | 19991222 |
|    | NZ 512824     | A  | 20030926 | NZ 1999-512824   | 19991222 |
|    |               |  |          | US 1999-115301PP | 19990108 |
|    |               |  |          | US 1999-140610PP | 19990623 |

ZA 2001004711 A 20020610  
NO 2001003383 A 20010907

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ZA 2001-4711 20010608  
US 1999-115301PP 19990108  
NO 2001-3383 20010706  
US 1999-115301PP 19990108  
US 1999-140610PP 19990623  
WO 1999-US27960W 19991222

OS MARPAT 133:89443  
GI



AB The invention provides quinolinecarboxamides I (X = O, S; W = R2, etc., where R1-R6 = a wide variety of defined groups, with 125 examples), e.g., hydroxypropynyl derivative II, and their pharmaceutically acceptable salts which are useful as antiviral agents, in particular, as agents against viruses of the herpes family. Activities of the compds. against HCMV, HSV, and VZV polymerase are presented. Pharmaceutical compns. comprising compds. I are claimed (no examples).

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:457413 CAPLUS

DN 133:73852

TI Preparation of 3-(3,5,5-trimethyl-2,6-dioxocyclohex-3-enecarbonyl)benzoate ester and acid herbicides

IN Schaetzer, Juergen; Seckinger, Karl

PA Novartis A.-G., Switz.

SO Ger. Offen., 22 pp.

CODEN: GWXXBX

DT Patent

LA German

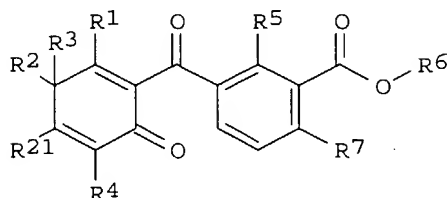
FAN.CNT 1

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

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PI    DE 19961466          A1    20000706          DE 1999-19961466 19991220
                                           CH 1998-2522    A 19981221
OS    CASREACT 133:73852; MARPAT 133:73852
GI

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I

The title compds. [I; R1 = OH, halogen, alkoxy, alkoxy, alkoxycarbonyloxy, alkylthio, alkylsulfonyl, alkenylthio, (un)substituted benzoyloxy, etc.; R2-R4 = (un)substituted alkyl, (un)substituted cycloalkyl, alkoxycarbonyl, CN, NO<sub>2</sub>, etc.; R5, R7 = halogen, CN, NO<sub>2</sub>, alkyl, alkoxy, alkoxyalkyl, haloalkyl, alkenyl, etc.; R6 = H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R21 = H, OH, alkyl, alkoxyalkyl, alkenyl, alkynyl, etc.], useful as herbicides, are prepared Thus, iso-Pr Me ketone and Me methacrylate were subjected to cyclocondensation in the presence of sodium methoxide, producing 4,4,6-trimethyl-1,3-cyclohexanedione, which was dehydrogenated into 4,6,6-trimethyl-4-cyclohexene-1,3-dione and acylated with 2-chloro-4-methanesulfonyl-3-methoxycarbonylbenzoyl chloride, producing herbicidal Me 2-chloro-6-methylsulfonyl-3-(3,5,5-trimethyl-2,6-dioxocyclohex-3-enecarbonyl)benzoate.

L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:368343 CAPLUS  
DN **133:4674**  
TI Preparation of propanoic acid derivatives as integrin inhibitors  
IN Alexander, Rikki Peter; Langham, Barry John; Reuberson, James Thomas;  
Trown, Emma Louise; Warrellow, Graham John  
PA Celltech Therapeutics Ltd., UK  
SO PCT Int. Appl., 111 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

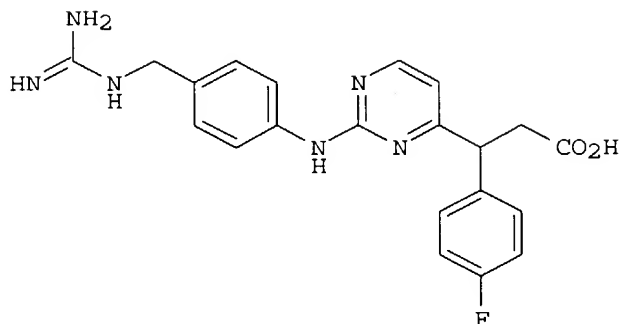
|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE       |
|----|---------------|--|----------|-----------------|------------|
| PI | WO 2000031067 | A1   | 20000602 | WO 1999-GB3893  | 19991123   |
|    | W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
|    |               |  |          | GB 1998-25652   | A 19981123 |
|    | EP 1133488    | A1   | 20010919 | EP 1999-956184  | 19991123   |
|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  |          |                 |            |

IE, SI, LT, LV, FI, RO

US 6319922 B1 20011120  
 JP 2002530400 T2 20020917  
 US 2002035092 A1 20020321

GB 1998-25652 A 19981123  
 WO 1999-GB3893 W 19991123  
 US 1999-448840 19991123  
 GB 1998-25652 A 19981123  
 JP 2000-583895 19991123  
 GB 1998-25652 A 19981123  
 WO 1999-GB3893 W 19991123  
 US 2001-955508 20010918  
 GB 1998-25652 A 19981123  
 US 1999-448840 XX19991123

OS MARPAT 133:4674  
 GI



II

AB The title compds. Ar-X1-Ar1-Z-R [I; Ar = a nitrogen base containing group; X1 = linker atom or group; Ar1 = (un)substituted 5-6 membered nitrogen-containing aromatic or non-aromatic monocycle; Z = CH(R13)CH2 [wherein R13 = (un)substituted alkyl, cycloalkyl, aryl, etc.], C(R12a)(R13)CH(R12b) [R12a and R12b together with the carbon atoms to which they are attached form cycloalkyl], C(R13)CH; R = CO2H or a derivative or biostere thereof] and their salts which are able to inhibit the binding of  $\alpha$ V integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders, were prepared E.g., a multi-step synthesis of propanoic acid II was given. Compds. I are effective at 0.01-40 mg/kg/day (oral or buccal administration).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:96068 CAPLUS

DN 132:151837

TI Preparation of [dioxazinyl(methoxyimino)methyl]thiophenes as agrochemical fungicides

IN Gayer, Herbert; Gerdes, Peter; Heinemann, Ulrich; Krueger, Bernd-Wieland; Mauler-Machnik, Astrid; Stenzel, Klaus

PA Bayer A.-G., Germany

SO Ger. Offen., 16 pp.

CODEN: GWXXBX

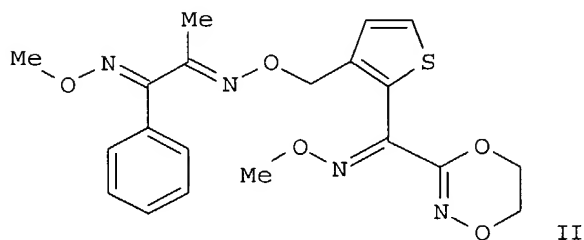
DT Patent

LA German

FAN.CNT 1

|               | PATENT NO.   | KIND     | DATE              | APPLICATION NO.  | DATE     |
|---------------|--|----------|-------------------|------------------|----------|
| PI            | DE 19834830  | A1       | 20000210          | DE 1998-19834830 | 19980801 |
|               | WO 2000008003  | A2       | 20000217          | WO 1999-EP5151   | 19990720 |
|               | WO 2000008003  | A3       | 20010705          |                  |          |
|               | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,<br>DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,<br>JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,<br>MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,<br>TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,<br>MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,<br>ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,<br>CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |          |                   |                  |          |
| AU 9952852    | A1   | 20000228 | DE 1998-19834830A | 19980801         |          |
|               |  |          | AU 1999-52852     | 19990720         |          |
|               |  |          | DE 1998-19834830A | 19980801         |          |
|               |  |          | WO 1999-EP5151 W  | 19990720         |          |
| EP 1131309    | A2   | 20010912 | EP 1999-938292    | 19990720         |          |
|               | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO   |          |                   |                  |          |
|               |  |          | DE 1998-19834830A | 19980801         |          |
|               |  |          | WO 1999-EP5151 W  | 19990720         |          |
| JP 2002522427 | T2   | 20020723 | JP 2000-563636    | 19990720         |          |
|               |  |          | DE 1998-19834830A | 19980801         |          |
|               |  |          | WO 1999-EP5151 W  | 19990720         |          |

OS MARPAT 132:151837  
 GI



AB The title compds. were prepared by condensation of methoxyiminoacetate esters (R1ON:)C(ZR2)CR3:NOCH<sub>2</sub>QC(:NOMe)CO<sub>2</sub>Alk [I; Alk = alkyl; Q = (un)substituted thiophenediyl; R1 (un)substituted (cyclo)alkyl, arylalkyl; R2 = (un)substituted alkyl, aryl, heterocyclyl, etc.; R3 = (un)substituted (cyclo)alkyl; Z = bond, O, NR<sub>4</sub>; R4 = alkyl, etc.] with hydroxylamine or its acid addition complex and ring closure of the products with an active ethane derivative. Thus, etherification of PhC(:NOMe)CMe:NOH with NaH-treated Me (3-bromomethylthiophen-2-yl)methoxyiminoacetate in DMF gave 20.7% of 46.6% pure Me methoxyimino[3-(2-methoxyimino-1-methyl-2-phenylethylideneaminooxymethyl)thiophen-2-yl]acetate. This (1.8 g) was added at 15° to a mixture of HONH<sub>2</sub>·HCl and aqueous KOH in MeOH, the mixture was heated for 1 h at 60°, K<sub>2</sub>CO<sub>3</sub> was added followed by BrCH<sub>2</sub>CH<sub>2</sub>Br and the whole was refluxed for 16 h to give 52% of the title compound II which at 250 g/ha gave 90% protection of wheat against Puccinia recondita.



L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:529140 CAPLUS  
 DN **131:170632**  
 TI Novel cyclic sulfonamide derivatives as metalloproteinase inhibitors  
 IN Duan, Jingwu; Chen, Lihua; Cherney, Robert J.; Decicco, Carl P.; Voss, Matthew E.  
 PA Du Pont Pharmaceuticals Company, USA  
 SO PCT Int. Appl., 144 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 9941246  | A1   | 19990819 | WO 1999-US2767   | 19990210 |
|    | W: AU, CA, IL, JP, MX, NZ<br>RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                  |          |
|    | CA 2319173  | AA   | 19990819 | US 1998-74301P P | 19980211 |
|    |   |      |          | CA 1999-2319173  | 19990210 |
|    |   |      |          | US 1998-74301P P | 19980211 |
|    |   |      |          | WO 1999-US2767 W | 19990210 |
|    | AU 9925947  | A1   | 19990830 | AU 1999-25947    | 19990210 |
|    |   |      |          | US 1998-74301P P | 19980211 |
|    |   |      |          | WO 1999-US2767 W | 19990210 |
|    | EP 1054877  | A1   | 20001129 | EP 1999-905898   | 19990210 |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI                                   |      |          |                  |          |
|    |   |      |          | US 1998-74301P P | 19980211 |
|    |   |      |          | WO 1999-US2767 W | 19990210 |
|    | JP 2002503657   | T2   | 20020205 | JP 2000-531441   | 19990210 |
|    |   |      |          | US 1998-74301P P | 19980211 |
|    |   |      |          | WO 1999-US2767 W | 19990210 |
|    | US 6455522  | B1   | 20020924 | US 1999-247675   | 19990210 |
|    |   |      |          | US 1998-74301P P | 19980211 |

OS MARPAT 131:170632  
 AB Cyclic sulfonamides ACR1R2NR3SO2CR4:CR5R6 [A = CHO, alkanoyl, CO2H or esters, CHRCO2H (R = H, Me, Et, i-Pr, vinyl, 1- or 2-propenyl), CHRCONHOH, CONHOH or O-substituted derivs., (un)substituted amino, SH, CH2SH, (un)substituted SONH2 or SNHNH2, P(O)(OH)2, (un)substituted P(O)(OH)NH2; R1 = H, Q (carbocyclic or heterocyclic residue), alkylene-Q, alkenylene-Q, alkynylene-Q, oxa- or aza-alkylene-Q, etc.; R2 = H, alkylene-H, alkenylene-H, alkynylene-H, oxa- or aza-alkylene-H, etc.; R3 and R5 form an (un)substituted 5-10 membered ring containing 0-2 addnl. heteroatoms and 0-1 double bonds; R4 and R6 form benzo or (un)substituted heteroarom. ring] were prepared as metalloprotease inhibitors. Thus, (R)-4,5-dihydro-N-hydroxy- $\alpha$ -methyl-1,2,5-benzothiadiazepine-2(3H)-acetamide 1,2-dioxide was prepared starting from the reaction of 2-nitrobenzenesulfonyl chloride with D-alanine Me ester hydrochloride.  
 RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:487215 CAPLUS  
 DN **131:130007**  
 TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors  
 IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls,

Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 300 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.   | DATE     |
|----|---------------|--|----------|-------------------|----------|
| PI | WO 9937304    | A1   | 19990729 | WO 1999-US1682    | 19990127 |
|    | W:            | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM             |          |                   |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
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|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                   |          |
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|    | WO 2000032590 | A1   | 20000608 | WO 1999-US28074   | 19991124 |
|    | W:            | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
|    |               |  |          | US 1998-110012PA2 | 19981125 |
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|    |               |  |          | US 1999-313611 A2 | 19990518 |
|    |               |  |          | US 1999-363196 A2 | 19990728 |
|    | JP 2003529531 | T2   | 20031007 | JP 2000-585232    | 19991124 |

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|               |   |          | NO 2000-3808 20000725     |
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FAN 2000:384179

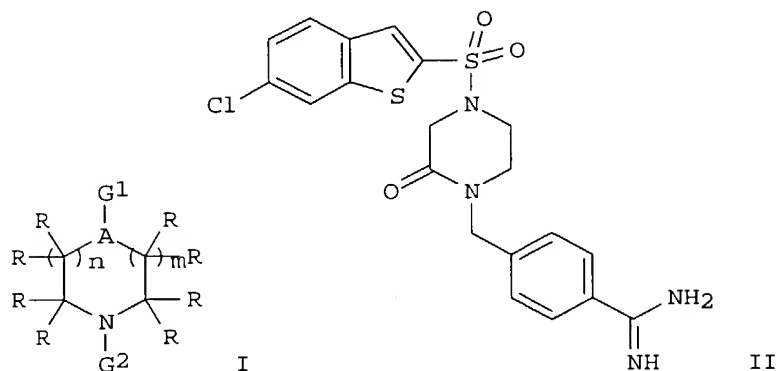
| PATENT NO.       | KIND   | DATE     | APPLICATION NO.           | DATE     |
|------------------|--|----------|---------------------------|----------|
| PI WO 2000032590 | A1   | 20000608 | WO 1999-US28074           | 19991124 |
| W:               | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                           |          |
| RW:              | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                           |          |
|                  |  |          | US 1998-110012PA219981125 |          |
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| WO 9937304       | A1   | 19990729 | WO 1999-US1682            | 19990127 |
| W:               | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM             |          |                           |          |
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FAN 2001:78383

| PATENT NO.       | KIND   | DATE     | APPLICATION NO.           | DATE     |
|------------------|--|----------|---------------------------|----------|
| PI WO 2001007436 | A2   | 20010201 | WO 2000-IB1156            | 20000726 |
| W:               | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                           |          |
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| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL |    |          |                  |          |
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| ZA 2002000543   | A  | 20030623 | ZA 2002-543      | 20020122 |
|   |    |          | US 1999-363196 A | 19990728 |

OS MARPAT 131:130007  
GI



AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxy carbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride

with 4-(2-oxopiperazin-1-ylmethyl)benzamidinium bistrifluoroacetate (preps. given) in CH<sub>2</sub>Cl<sub>2</sub> in the presence of Et<sub>3</sub>N gave title compound II.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:568844 CAPLUS

DN 129:203269

TI Compounds for use in antibody-directed enzyme prodrug therapy (ADEPT)

IN Davies, David Huw; Dowell, Robert Ian; Marsham, Peter Robert; Pease, Janet Elizabeth

PA Zeneca Limited, UK

SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DT Patent

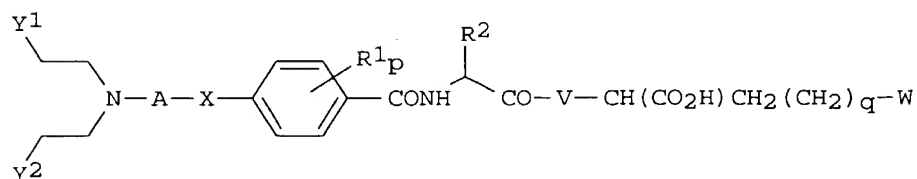
LA English

FAN.CNT 1

|    | PATENT NO. | KIND   | DATE     | APPLICATION NO. | DATE     |
|----|------------|--|----------|-----------------|----------|
| PI | WO 9835982 | A1   | 19980820 | WO 1998-GB413   | 19980210 |
|    | W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
|    | RW:        | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                 |          |
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|    |            |  |          | WO 1998-GB413   | 19980210 |
|    | ZA 9801222 | A  | 19980817 | ZA 1998-1222    | 19980213 |
|    |            |  |          | GB 1997-3201    | 19970215 |

OS MARPAT 129:203269

GI



I

AB Compds. I [A = optionally substituted p-phenylene or 1,4-naphthalenediyl; X is a direct bond, CH<sub>2</sub>, or O; V = NH or O; q = 0-2; Y<sub>1</sub>, Y<sub>2</sub> = Cl, Br, iodo, alkylsulfonyloxy, (un)substituted phenylsulfonyloxy; W = CO<sub>2</sub>H, 1H-1,2,3,4-tetrazol-5-yl; R<sub>1</sub> = H, F, Cl, Br, alkyl, alkoxy; p = 0-4; R<sub>2</sub> = alkyl, hydroxyalkyl, phenylalkyl, alkoxyalkyl, phenylalkoxyalkyl, alkylthioalkyl, phenylalkylthioalkyl or carbamoylalkyl] or an enantiomer, diastereoisomer, pharmaceutically acceptable salt, in-vivo hydrolyzable ester or solvate were prepared for the treatment of cancer using ADEPT. Thus, (N-[4-(4-[N,N-bis(2-bromoethyl)amino]phenoxy)benzoyl]-L-alanyl)-L-glutamic acid was prepared by a multistep procedure starting with reaction

of 4-(4-nitrophenoxy)benzoic acid with L-alanyl-L-glutamic acid dibenzyl ester hydrochloride.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

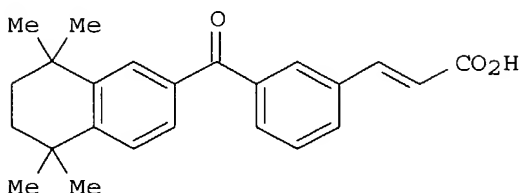
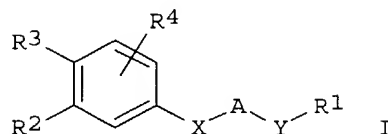
L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:352804 CAPLUS  
DN **129:40990**  
TI Bi-aromatic compounds with RXR receptor activity, pharmaceutical and cosmetic compositions containing them, and their uses  
IN Bernardon, Jean-Michel; Diaz, Philippe  
PA Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe  
SO PCT Int. Appl., 71 pp.  
CODEN: PIXXD2  
DT Patent  
LA French  
FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
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| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |            |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |            |
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| BR 9707153  | A    | 19990406 | JP 1998-523275  | 19971117   |
| EP 915823   | A1   | 19990519 | FR 1996-14098   | A 19961119 |
| EP 915823   | B1   | 20010418 | WO 1997-FR2063  | W 19971117 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |      |          |                 |            |
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 WO 1997-FR2063 W 19971117

OS MARPAT 129:40990  
 GI



AB The invention concerns novel bi-aromatic compds. I [R1 = Me, CH2OR5, OR5, COR6; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = O, S, SO, SO2, CO, C(:CH2), C(:CMe2), CH2, etc.; R2, R3 = H, alkyl, OR5, SR5, polyether; or R2R3 may form ring optionally substituted by Me or interrupted by O or S; R4 = H, halo, alkyl, OR5, polyether; R5 = H, alkyl, acyl; R6 = H, alkyl, (un)substituted NH2 or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aqueous NaOH in THF (86%), gave title compound II.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:403183 CAPLUS

DN 127:17489

TI Preparation of tetrahydronaphthylthiobenzoates and analogs as retinoid X receptor agonists

IN Beard, Richard L.; Colon, Diana F.; Chandraratna, Roshantha A.

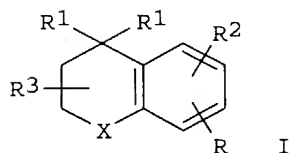
PA Allergan, USA

SO PCT Int. Appl., 49 pp.

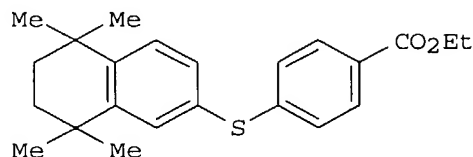
CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.       | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|------------------|------|----------|--|----------|
| PI | WO 9716422       | A1   | 19970509 | WO 1996-US17295  | 19961029 |
|    | W:               |      |          | AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |
|    | RW:              |      |          | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN   |          |
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| OS | MARPAT 127:17489 |      |          |  |          |
| GI |                  |      |          |  |          |



I



II

AB Title compds. [I; R = SOO-2ZAB; A = bond, alk(en)ylene, alkynylene; B = H, CH<sub>2</sub>OH, alkoxycarbonyl, etc.; R<sub>1</sub> = H or alkyl; R<sub>2</sub> = 1-3 substituents selected from H, halo, alkyl, alkoxy, etc.; R<sub>3</sub> = 1-4 substituents selected from H, F, alkyl; X = O, S, (alkyl)imino, CH<sub>2</sub>, etc.; Z = (un)substituted phenylene, -heteroarylene] were prepared. Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-thiol was thioetherified by 4-IC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Et to give title compound II. Data for biol. activity of I were given.

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:204146 CAPLUS

DN 126:199580

TI Preparation of heterocyclyl-substituted quinazolines as protein tyrosine kinase inhibitors

IN Cockerill, George Stuart; Carter, Malcolm Clive; Mckeown, Stephen Karl; Vile, Sadie; Page, Martin John; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold

PA Glaxo Group Limited, UK; Cockerill, George Stuart; Carter, Malcolm Clive; Mckeown, Stephen Karl; Vile, Sadie; Page, Martin John; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | WO 9703069 | A1   | 19970130 | WO 1996-EP3026  | 19960711 |



W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

AU 9666139 A1 19970210 GB 1995-14265 A 19950713  
AU 1996-66139 19960711

GB 1995-14265 A 19950713  
WO 1996-EP3026 W 19960711

EP 843671 A1 19980527 EP 1996-925710 19960711

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

GB 1995-14265 A 19950713  
WO 1996-EP3026 W 19960711

JP 11508906 T2 19990803 JP 1996-505503 19960711

GB 1995-14265 A 19950713

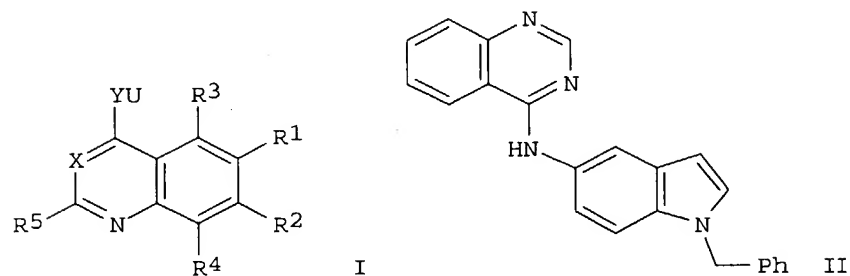
WO 1996-EP3026 W 19960711

ZA 9605935 A 19980212 ZA 1996-5935 19960712

GB 1995-14265 A 19950713

OS MARPAT 126:199580

GI



AB The title compds. [I; X = N, CH; Y = OCH<sub>2</sub>, CH<sub>2</sub>O, NH, etc.; U = (un)substituted 5-10-membered mono or bicyclic ring system containing one or more heteroatoms such as N, O, S; R<sub>1</sub>-R<sub>4</sub> = H, halo, NH<sub>2</sub>, etc.; R<sub>5</sub> = H, halo, CF<sub>3</sub>, etc.], which are protein tyrosine kinase inhibitors, and useful in the treatment of psoriasis, fibrosis, atherosclerosis, restenosis, auto-immune disease, allergy, asthma, transplantation rejection, inflammation, thrombosis, nervous system diseases, and cancer, were prepared. Thus, reaction of 4-chloroquinazoline with 5-amino-1-benzylindole in iPrOH afforded II.HCl which showed IC<sub>50</sub> of 0.26  $\mu$ M against the c-erbB-2 kinase.

L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:181574 CAPLUS

DN **124:232263**

TI Preparation of novel pyrrolopyridine derivatives as endothelin receptor antagonists.

IN Elliott, John Duncan; Leber, Jack Dale

PA SmithKline Beecham Corp., USA

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

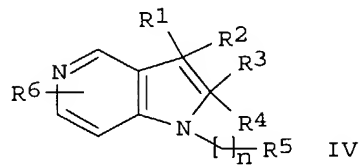
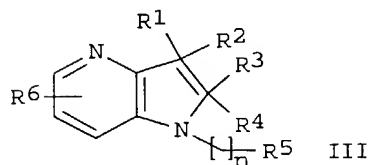
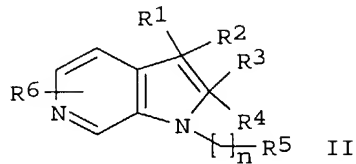
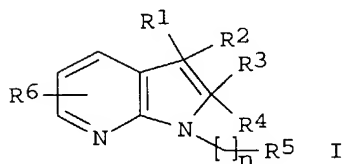
LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.           | DATE     |
|----|--|------|----------|---------------------------|----------|
| PI | WO 9533748   | A1   | 19951214 | WO 1995-US7220            | 19950607 |
|    | W: JP, US  |      |          |                           |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          | US 1994-255622 A219940609 |          |
|    | EP 763035  | A1   | 19970319 | EP 1995-922242            | 19950607 |
|    | R: BE, CH, DE, FR, GB, IT, LI, NL                                  |      |          | US 1994-255622 A 19940609 |          |
|    |  |      |          | WO 1995-US7220 W 19950607 |          |
|    | JP 10510510  | T2   | 19981013 | JP 1995-501292            | 19950607 |
|    |  |      |          | US 1994-255622 A 19940609 |          |
|    |  |      |          | WO 1995-US7220 W 19950607 |          |
|    | US 6075037   | A    | 20000613 | US 1996-11791             | 19961125 |
|    |  |      |          | US 1994-255622 B119940609 |          |
|    |  |      |          | WO 1995-US7220 A119950607 |          |

OS MARPAT 124:232263

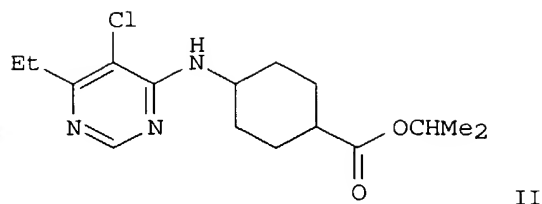
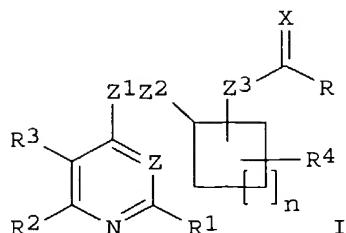
GI



AB Title compds. I-IV [R1 = X(CH<sub>2</sub>)<sub>n</sub>Ar, X(CH<sub>2</sub>)<sub>n</sub>-1Me, etc.; R2, R6 = H, OH, Cl-C8 alkoxy, etc.; R3, R4 = H, COOH, X(CH<sub>2</sub>)<sub>n</sub>-1Me, etc.; R5 = H, Ar, cycloalkyl; X = (CH<sub>2</sub>)<sub>n</sub>, O, NH, etc.; n = 0-6], useful in treatment of diseases caused by an excess of endothelin, were prepared and formulated. Reaction of Et 2-(4-methoxybenzyl)-3-oxobutyrates with 2-pyridinediazonium chloride in the presence of NaOH in EtOAc/H<sub>2</sub>O followed by treatment of the hydrazone intermediate with gaseous HCl, reaction of the pyrrolo[2,3-b]pyridine intermediate with piperonyl chloride in the presence of NaH in HMPA and subsequent hydrolysis afforded I [R1 = 4-MeOC<sub>6</sub>H<sub>4</sub>; R2 = R4 = R6 = H; R3 = COOH; R5 = 3,4-methylenedioxyphenyl; n = 1]. Compds. I-IV are effective at 0.001-40 mg/kg per day (parenteral administration).

L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:127981 CAPLUS  
 DN **124:176139**  
 TI Preparation of pyrimidinylimino- and -oxycycloalkanecarboxylates and  
 analogs as agrochemical fungicides and pesticides  
 IN Schaper, Wolfgang; Preus, Rainer; Braun, Peter; Knauf, Werner; Sachse,  
 Burkhard; Waltersdorfer, Anna; Kern, Manfred; Luemmen, Peter; Bonin,  
 Werner  
 PA Hoechst Schering AgrEvo GmbH, Germany  
 SO Ger. Offen., 56 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

|    | PATENT NO.        | KIND   | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|--|----------|-----------------|----------|
| PI | DE 4417163        | A1   | 19951123 | DE 1994-4417163 | 19940517 |
|    | WO 9531441        | A1   | 19951123 | WO 1995-EP1666  | 19950503 |
|    | W:                | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN |          |                 |          |
|    | RW:               | KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                         |          |                 |          |
|    | CA 2190495        | AA   | 19951123 | DE 1994-4417163 | 19940517 |
|    |                   |  |          | CA 1995-2190495 | 19950503 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    | AU 9525235        | A1   | 19951205 | AU 1995-25235   | 19950503 |
|    | AU 703538         | B2   | 19990325 |                 |          |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    |                   |  |          | WO 1995-EP1666  | 19950503 |
|    | EP 759909         | A1   | 19970305 | EP 1995-919376  | 19950503 |
|    | R:                | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE   |          |                 |          |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    |                   |  |          | WO 1995-EP1666  | 19950503 |
|    | CN 1148383        | A  | 19970423 | CN 1995-193115  | 19950503 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    | BR 9507647        | A  | 19970909 | BR 1995-7647    | 19950503 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    |                   |  |          | WO 1995-EP1666  | 19950503 |
|    | HU 76722          | A2   | 19971028 | HU 1996-3196    | 19950503 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    | JP 10500115       | T2   | 19980106 | JP 1995-529316  | 19950503 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    |                   |  |          | WO 1995-EP1666  | 19950503 |
|    | US 5691321        | A  | 19971125 | US 1995-441217  | 19950515 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
|    | ZA 9503957        | A  | 19960119 | ZA 1995-3957    | 19950516 |
|    |                   |  |          | DE 1994-4417163 | 19940517 |
| OS | MARPAT 124:176139 |  |          |                 |          |
| GI |                   |  |          |                 |          |



AB Title compds. [I; R = H, OH, alkyl, alkoxy, (di)(alkyl)amino, etc.; R1 = H, halo, (cyclo)alkyl; R2 = H, halo, (cyclo)alkyl, alkoxy, etc.; R3 = H, halo, (halo)alkyl, (halo)alkoxy, etc.; R2R3 = atoms to form a ring; R4 = H, alkyl; X = O or S; Z = CH or N; Z1 = O, S, NH; Z2 = bond, alkylene; Z3 = O, bond; n = 0-5] were prepared. Thus, 4,5-dichloro-6-ethylpyrimidine was aminated by Me cis-4-aminocyclohexanecarboxylate to give, after transesterification, title compound II which gave complete control of Nilaparvata lugens on rice seedlings at 250ppm.

L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:792607 CAPLUS

DN 123:198837

TI Preparation of tricyclic benzodiazepinone inhibitors of the GPIIb/IIIa fibrinogen receptor which block blood platelet aggregation

IN Blackburn, Brent K.; Robarge, Kirk; Somers, Todd C.

PA Genentech, Inc., USA

SO PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9504057  | A1   | 19950209 | WO 1994-US7989  | 19940715 |
| W: CA, JP, US   |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE    |      |          |                 |          |
| US 5493020  | A    | 19960220 | US 1993-99019   | 19930729 |
| EP 708775   | A1   | 19960501 | US 1993-99019   | 19930729 |
| EP 708775   | B1   | 19970528 | EP 1994-923512  | 19940715 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |          |                 |          |
| JP 09501158   | T2   | 19970204 | US 1993-99019   | 19930729 |
|   |      |          | WO 1994-US7989  | 19940715 |
|   |      |          | JP 1994-505853  | 19940715 |
|   |      |          | US 1993-99019   | 19930729 |
|   |      |          | WO 1994-US7989  | 19940715 |
| AT 153665   | E    | 19970615 | AT 1994-923512  | 19940715 |
|   |      |          | US 1993-99019   | 19930729 |
| US 5705890  | A    | 19980106 | US 1994-313069  | 19940926 |
|   |      |          | US 1993-99019   | 19930729 |
|   |      |          | WO 1994-US7989  | 19940715 |

#### PATENT FAMILY INFORMATION:

FAN 1998:31206

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 5705890 | A    | 19980106 | US 1994-313069  | 19940926 |

|  |    |          |                |          |
|--|----|----------|----------------|----------|
|  |    |          | US 1993-99019  | 19930729 |
|  |    |          | WO 1994-US7989 | 19940715 |
| US 5493020   | A  | 19960220 | US 1993-99019  | 19930729 |
| WO 9504057   | A1 | 19950209 | WO 1994-US7989 | 19940715 |
| W: CA, JP, US  |    |          |                |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |    |          |                |          |
|  |    |          | US 1993-99019  | 19930729 |
| US 5716951   | A  | 19980210 | US 1995-438143 | 19950508 |
|  |    |          | US 1993-99019  | 19930729 |
|  |    |          | US 1994-313069 | 19940926 |

OS MARPAT 123:198837  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; A1 = (un)substituted CH<sub>2</sub>, (un)substituted CH, N, (un)substituted NH; A2 = (un)substituted CH<sub>2</sub>, N, SO<sub>2</sub>, SO, S, O, C:O, etc.; L = (un)substituted alkylene, (un)substituted cycloalkylene, arylene, etc.; Q = (un)substituted NH<sub>2</sub>, (un)substituted amidino, etc.; R1, R2 = H, halogen, CN, CO<sub>2</sub>H, aminocarbonyl, carboxamido, etc.; R18-R21 = H, alkyl, halogen, alkoxy, CN, CO<sub>2</sub>H, OH, etc.; R22 = HO, alkoxy, alkenoxy, aryloxy, etc.] [II; B1 = (un)substituted CH, (un)substituted CH<sub>2</sub>, N, (un)substituted NH, C:O; B2 = (un)substituted CH, (un)substituted CH<sub>2</sub>, (un)substituted NH, SO<sub>2</sub>, SO, S, O, C:O; B3 = (un)substituted CH, (un)substituted CH<sub>2</sub>, C:O], which potentially inhibit fibrinogen binding to the GPIIb/IIIa receptor and are useful for the treatment of diseases (no data) in which blocking platelet aggregation is indicated, are prepared. Thus, benzodiazepinone, III, was prepared and demonstrated IC<sub>50</sub> 0.009 µM for Fg/GPIIb/IIIa and 0.133 for platelet aggregation inhibition (citrate).

L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:408389 CAPLUS

DN **123:143926**

TI Aryl-1H-thiopyrano[2,3,4-cd]indoles as inhibitors of leukotriene biosynthesis

IN Girard, Yves; Hutchinson, John H.; Therien, Michel; Delorme, Daniel

PA Merck Frosst Canada Inc., Can.

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 9411378   | A1   | 19940526 | WO 1993-CA478   | 19931109 |
|    | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ              |      |          |                 |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                 |          |
|    | US 5314900   | A    | 19940524 | US 1992-978834  | 19921119 |
|    | AU 9454151   | A1   | 19940608 | US 1992-978834  | 19921119 |
|    |  |      |          | AU 1994-54151   | 19931109 |
|    |  |      |          | US 1992-978834  | 19921119 |
|    |  |      |          | WO 1993-CA478   | 19931109 |

OS MARPAT 123:143926

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I (R1-R4 = H, alkyl, etc.; R5 = aryl, alkyl, etc.; R21, R22 = H, alkyl, etc.; A = phenylene, arylene; Q = carboxy, HO, amido, etc.; X = alkylene, etc.; Y = bond, O, S, amido, etc.; Z = CO, sulfonyl, bond, etc.; m, n, p = 0-3) were disclosed. I are useful as antiasthmatics, antiallergic, antiinflammatory, and cytoprotective agents (no claims). They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, psoriasis, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques (no claims). An example compound, 3-[[[1-(4-chlorobenzyl)-4-methyl-6-(5-phenyl-2-pyridinyl)-4,5-dihydro-1H-thiopyrano-2,3,4-cd]indol-2-yl]methoxy]-2-naphthalenecarboxylic acid (II) was prepared

L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:70 CAPLUS

DN 122:187392

TI Preparation of [heterocyclylarylthio]aryl ketoximes and analogs as 5-lipoxygenase inhibitors

IN Bird, Thomas Geoffrey Colerick; Ple, Patrick

PA Zeneca Ltd., UK; Zeneca-Pharma

SO Eur. Pat. Appl., 85 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 555068   | A1   | 19930811 | EP 1993-300782  | 19930203 |
|    | EP 555068   | B1   | 19960410 |                 |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |          |                 |          |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | ZA 9300504  | A    | 19930809 | ZA 1993-504     | 19930122 |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    | AU 9331972  | A1   | 19930812 | AU 1993-31972   | 19930122 |
|    | AU 658964   | B2   | 19950504 |                 |          |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | HU 63840  | A2   | 19931028 | HU 1993-272     | 19930203 |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | AT 136546   | E    | 19960415 | AT 1993-300782  | 19930203 |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | ES 2086878  | T3   | 19960701 | ES 1993-300782  | 19930203 |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | CA 2088864  | AA   | 19930808 | CA 1993-2088864 | 19930205 |
|    |   |      |          | EP 1992-400318  | 19920207 |
|    |   |      |          | EP 1992-402764  | 19921009 |
|    | NO 9300411  | A    | 19930809 | NO 1993-411     | 19930205 |
|    |   |      |          | EP 1992-400318  | 19920207 |

Patel

&lt;4/28/2004&gt;

|             |    |          |                |          |
|-------------|----|----------|----------------|----------|
| JP 05286957 | A2 | 19931102 | EP 1992-402764 | 19921009 |
|             |    |          | JP 1993-18574  | 19930205 |
|             |    |          | EP 1992-400318 | 19920207 |
|             |    |          | EP 1992-402764 | 19921009 |
| US 5332757  | A  | 19940726 | US 1993-14564  | 19930208 |
|             |    |          | EP 1992-400318 | 19920207 |
|             |    |          | EP 1992-402764 | 19921009 |
| US 5482966  | A  | 19960109 | US 1994-240464 | 19940613 |
|             |    |          | EP 1992-400318 | 19920207 |
|             |    |          | EP 1992-402764 | 19921009 |
|             |    |          | US 1993-14564  | 19930208 |

OS MARPAT 122:187392

AB R5ON:CR4Z1AXZ2C(OR1)R2R3 [A = bond, alkylene; R1 = alk(en)yl; R2R3 = atoms to complete a heterocyclic ring; R4 = H, alkyl, Ph, etc.; R5 = H, alk(en)yl, alkanoyl, CONH2, etc.; X = O, SOO-2; Z1 = phenylene, heteroarylene, etc.; Z2 = phenylene, pyridinediyl, thiophenediyl, etc.] were prepared Thus, 4-(2-methyl-1,3-dioxolan-2-yl)benzenethiol (preparation

in 4

steps from 4-BrC6H4COMe given) was condensed with (2S,4R)-4-(3,5-difluorophenyl)-4-methoxy-2-methyltetrahydropyran and the product converted in 2 steps to title compound (2S,4R)-I which had ID50 of .apprx.0.05mg/kg orally against zymosan-induced LTB4 production in rat subcutaneous air pouch.

L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:646331 CAPLUS

DN **121:246331**

TI Cycloalkylamine bis-aryl squalene synthase inhibitors

IN Ullrich, John W.; Kiesow, Terence J.; Neuenschwander, Kent W.; Scotese, Anthony C.; Learn, Keith S.; Dankulich, William P.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 9414435  | A1   | 19940707 | WO 1993-US12638  | 19931229 |
|    | W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US |      |          |                  |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                |      |          |                  |          |
|    | US 5451596  | A    | 19950919 | US 1992-997818 A | 19921229 |
|    | CA 2152912  | AA   | 19940707 | CA 1993-2152912  | 19931229 |
|    |   |      |          | US 1992-997818 A | 19921229 |
|    | AU 9460806  | A1   | 19940719 | AU 1994-60806    | 19931229 |
|    |   |      |          | US 1992-997818 A | 19921229 |
|    |   |      |          | WO 1993-US12638W | 19931229 |
|    | EP 676960   | A1   | 19951018 | EP 1994-907116   | 19931229 |
|    | EP 676960   | B1   | 20020522 |                  |          |
|    | R: DE, FR, GB, IT   |      |          |                  |          |
|    |   |      |          | US 1992-997818 A | 19921229 |
|    |   |      |          | WO 1993-US12638W | 19931229 |
|    | JP 08505847   | T2   | 19960625 | JP 1993-515486   | 19931229 |
|    |   |      |          | US 1992-997818 A | 19921229 |

WO 1993-US12638W 19931229

OS MARPAT 121:246331

AB This invention relates to a class of novel polycyclic compds. containing a cycloalkyl ring having substituted thereon a primary amine and which is further linked or bridged to two mono- and/or bicycli rings and which reduces levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. E.g., trans-2-[4-(benzoxazol-2-yl)benzyloxy]cyclohexylamine acetate was prepared. This and a number of other compds. were tested for squalene synthase inhibiting and anticholesteremic activity.

L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:409389 CAPLUS

DN 121:9389

TI Preparation of isoxazoles derivatives and their use as herbicides

IN Cramp, Susan Mary; Smith, Philip Henry Gaunt

PA Rhone-Poulenc Agriculture Ltd., UK

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA English

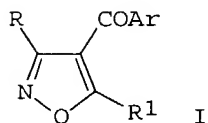
FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|----|---|------|----------|-----------------|------------|
| PI | EP 588357   | A1   | 19940323 | EP 1993-114989  | 19930917   |
|    | EP 588357   | B1   | 20020612 |                 |            |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |            |
|    | AU 9346250  | A1   | 19940324 | GB 1992-19779   | A 19920918 |
|    | AU 666397   | B2   | 19960208 | AU 1993-46250   | 19930908   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | CA 2105822  | AA   | 19940319 | CA 1993-2105822 | 19930909   |
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|    | IL 106997   | A1   | 19970610 | IL 1993-106997  | 19930913   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | BR 9303517  | A    | 19940322 | BR 1993-3517    | 19930916   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | FI 9304089  | A    | 19940319 | FI 1993-4089    | 19930917   |
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|    | ZA 9306867  | A    | 19940411 | ZA 1993-6867    | 19930917   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | CN 1085219  | A    | 19940413 | CN 1993-117864  | 19930917   |
|    | CN 1045439  | B    | 19991006 |                 |            |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | JP 06192015   | A2   | 19940712 | JP 1993-231546  | 19930917   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | HU 68735  | A2   | 19950728 | HU 1993-2622    | 19930917   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | US 5480857  | A    | 19960102 | US 1993-128605  | 19930917   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | RU 2114842  | C1   | 19980710 | RU 1993-52688   | 19930917   |
|    |   |      |          | GB 1992-19779   | A 19920918 |
|    | EP 1156048  | A1   | 20011121 | EP 2001-119705  | 19930917   |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE |      |          |                 |            |
|    |   |      |          | GB 1992-19779   | A 19920918 |
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| AT 219079  | E  | 20020615 | AT 1993-114989 | 19930917   |
| ES 2173877 | T3 | 20021101 | GB 1992-19779  | A 19920918 |
|            |    |          | ES 1993-114989 | 19930917   |
|            |    |          | GB 1992-19779  | A 19920918 |

OS MARPAT 121:9389  
GI



AB Title compds. I (Ar = (substituted) heterocyclyl; R = H, R3O2C wherein R3 = (substituted) C1-6 alkyl; R1 = (halo) C1-6 alkyl, (substituted) C3-6 cycloalkyl) or a salt thereof, are prepared HONH2 and 3-cyclopropyl-1-(3,5-dichloropyridin-2-yl)-2-(dimethylamino)methylenepropene-1,3-dione (preparation given) in EtOH were stirred at room temperature overnight to give I (Ar = 3,5-dichloro-2-pyridyl, R = H, R1 = cyclopropyl) which with other 16 I when applied pre- or post-emergence at 4 kg/ha or less, gave at least 80% control of one or more weed species.

L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:270460 CAPLUS

DN **120:270460**

TI [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor antagonists

IN Bryan, Deborah Lynne; Elliot, John Duncan

PA Smithkline Beecham Corp., USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

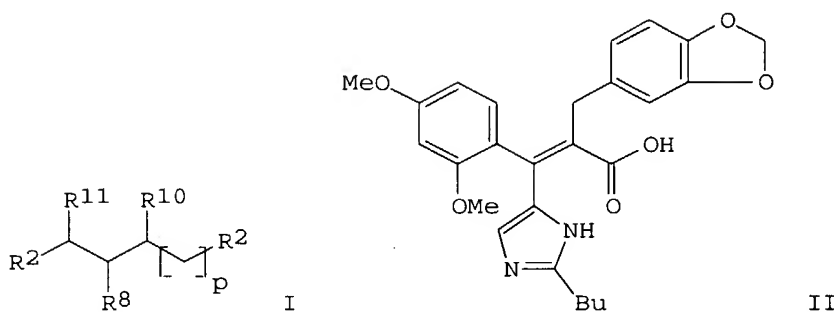
DT Patent

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FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
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| PI | WO 9402474   | A1   | 19940203 | WO 1993-US6667  | 19930715   |
|    | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN              |      |          |                 |            |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                 |            |
|    |  |      |          | US 1992-916051  | A219920717 |
|    |  |      |          | US 1993-49606   | A219930419 |
|    | AU 9346797   | A1   | 19940214 | AU 1993-46797   | 19930715   |
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|    |  |      |          | US 1993-49606   | A 19930419 |
|    |  |      |          | WO 1993-US6667  | W 19930715 |
|    | EP 650484  | A1   | 19950503 | EP 1993-917208  | 19930715   |
|    | EP 650484  | B1   | 20000126 |                 |            |
|    | R: BE, CH, DE, FR, GB, IT, LI, NL  |      |          |                 |            |
|    |  |      |          | US 1992-916051  | A 19920717 |
|    |  |      |          | US 1993-49606   | A 19930419 |
|    |  |      |          | WO 1993-US6667  | W 19930715 |
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|    |  |      |          | US 1992-916051  | A 19920717 |

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| CN | 1088581           | A | 19940629       |   |          |
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|    |                   |   | US 1995-374544 |   | 19950117 |
|    |                   |   | WO 1993-US6667 | W | 19930715 |
| OS | MARPAT 120:270460 |   |                |   |          |
| GI |                   |   |                |   |          |



AB Endothelin receptor antagonists I (R1, R2 = Ph, benzodioxolyl, etc.; R10 = H, Ph, benzyl, etc.; R8 = carboxy, carbamoyl, cyano, etc.; R11 = aryl, cycloalkyl, etc.; p=0-2) and unsatd. derivs. of I were disclosed. A specifically claimed compound is (E)-3-(2-butyl-5-imidazolyl)-3-(2,4-dimethoxyphenyl)-2-(3,4-methylenedioxybenzyl)-2-propenoic acid (II). Pharmacol. test data for II were not listed; the potency of I range is 0.1 nM to 50  $\mu$ M in an in vitro assay of endothelin-induced contractions of rat aortae. I are useful for the treatment of renal failure and as antihypertensives.

L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:244673 CAPLUS

DN **120:244673**

TI Preparation of pyran containing hydroxylamine derivatives as 5-lipoxygenase inhibitors

IN Ple, Patrick

PA Zeneca Ltd., UK

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

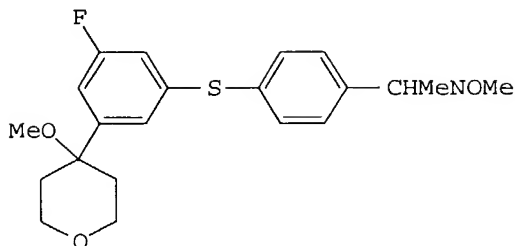
DT Patent

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FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 555067   | A1   | 19930811 | EP 1993-300781  | 19930203 |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |      |          |                 |          |
|    | JP 06009527   | A2   | 19940118 | EP 1992-400320  | 19920207 |
|    |   |      |          | JP 1993-17348   | 19930204 |
|    |   |      |          | EP 1992-400320  | 19920207 |
|    | US 5453439  | A    | 19950926 | US 1993-14520   | 19930208 |
|    |   |      |          | EP 1992-400320  | 19920207 |
| OS | MARPAT 120:244673   |      |          |                 |          |

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II

AB Title compds. R5ONR4CR6R7Ar1A1X1Ar2C(OR1)R2R3 (I; R1 = C1-4 alkyl, C3-4 alkenyl, C3-4 alkynyl; R2R3 = A2X2A3 which with the C to which A2 and A3 are attached define a (substituted) 5-6-membered ring, wherein A2, A3 = C1-3 alkylene and X2 = O, S, SO, SO2, NH, etc.; R6 = H, C1-4 alkyl, (substituted) Ph -Ph(C1-4 alkyl), etc.; R7 = H, C1-4 alkyl; Ar1 = (substituted) phenylene, etc.; A1 = bond, C1-4 alkylene; X1 = O, S, SO, SO2; Ar2 = phenylene, pyridinediyl, pyrimidinediyl, thiophenediyl, furandiyl, etc. all of which may be substituted; R4 = H, H2NCO, C1-4 alkyl, Bz, etc.; R5 = H, C1-4 alkyl, C3-4 alkenyl, C3-4 alkynyl, cyano-C1-4-alkyl, etc.) and a salt thereof, are prepared (E)-4'-[5-fluoro-3-(4-methoxytetrahydropyran-4-yl)phenylthio]acetophenone oxime O-Me ether was reduce to give the title compound II. The effect of inhibition was demonstrated by II which had an IC50 of 0.04  $\mu$ M against LTB4 in an in vitro test and an oral ED50 of 0.5 mg/kg vs LTB4. Pharmaceutical compns. comprising I are given. Such compns. can include a cyclooxygenase inhibitory nonsteroidal antiinflammatory agent.

L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:106563 CAPLUS

DN **120:106563**

TI Indane derivatives and their use as endothelin receptor antagonists

IN Cousins, Russell Donovan; Elliott, John Duncan; Lago, Maria Amparo; Leber, Jack Dale; Peishoff, Catherine Elisabeth

PA SmithKline Beecham Corp., USA

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

|    | PATENT NO. | KIND   | DATE     | APPLICATION NO. | DATE       |
|----|------------|--|----------|-----------------|------------|
| PI | WO 9308799 | A1   | 19930513 | WO 1992-US9427  | 19921029   |
|    | W:         | AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, UA, US |          |                 |            |
|    | RW:        | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG             |          |                 |            |
|    |            |  |          | US 1991-787870  | A219911105 |
|    |            |  |          | US 1992-854195  | A219920320 |
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|            |    |          | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE |
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FAN 1995:763506

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.           | DATE     |
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|    | W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, UA, US          |      |          |                           |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                           |          |
|    | CA 2160914   | AA   | 19941110 | US 1993-66818 A 19930427  |          |
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|    | AU 682038  | B2   | 19970918 |                           |          |
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|             |    |          | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |    |          |
|             |    |          | US 1993-66818   | A  | 19930427 |
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| AT 213156   | E  | 20020215 | AT 1994-915903  |    | 19940426 |
|             |    |          | US 1993-66818   | A  | 19930427 |
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| PT 699069   | T  | 20020731 | PT 1994-94915903  |    | 19940426 |
|             |    |          | US 1993-66818   | A  | 19930427 |
| ES 2172535  | T3 | 20021001 | ES 1994-915903  |    | 19940426 |
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| CZ 291189   | B6 | 20030115 | CZ 1995-2835  |    | 19940426 |
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|             |    |          | US 1994-336444  | A1 | 19941109 |
| FI 9505103  | A  | 19951026 | FI 1995-5103  |    | 19951026 |
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|             |    |          | WO 1994-US4603  | W  | 19940426 |
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|             |    |          | US 1993-66818   | A  | 19930427 |
|             |    |          | WO 1994-US4603  | W  | 19940426 |
| US 6087389  | A  | 20000711 | US 1998-99373   |    | 19980618 |
|             |    |          | US 1991-787870  | B2 | 19911105 |
|             |    |          | US 1992-854195  | B2 | 19920320 |
|             |    |          | WO 1992-US9427  | A2 | 19921029 |
|             |    |          | US 1993-66818   | B2 | 19930427 |
|             |    |          | WO 1994-US4603  | A2 | 19940426 |
|             |    |          | US 1994-336444  | A3 | 19941109 |
| HK 1012252  | A1 | 20030103 | HK 1998-113510  |    | 19981215 |
|             |    |          | US 1993-66818   | A  | 19930427 |
|             |    |          | WO 1994-US4603  | W  | 19940426 |
| US 6274737  | B1 | 20010814 | US 2000-574413  |    | 20000519 |
|             |    |          | US 1991-787870  | B2 | 19911105 |
|             |    |          | US 1992-854195  | B2 | 19920320 |
|             |    |          | WO 1992-US9427  | A2 | 19921029 |

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| WO 1994-US4603 | A219940426 |
| US 1994-336444 | A319941109 |
| US 1998-99373  | A319980618 |
| US 2001-901951 | 20010710   |

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| US 1991-787870 | A219911105 |
| US 1992-854195 | B219920320 |
| WO 1992-US9427 | A219921029 |
| US 1993-66818  | B219930427 |
| WO 1994-US4603 | A219940426 |
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| FAN | 1998:118608 |      |          |
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|     | PATENT NO.  | KIND | DATE     |
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|     | CZ 287406   | B6   | 20001115 |
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|     | ZA 9208467  | A    | 19930505 |
|     | ES 2062927  | B1   | 19950701 |
|     | ES 2062927  | A1   | 19941216 |
|     |             |      |          |
|     | US 5817693  | A    | 19981006 |

| APPLICATION NO. | DATE       |
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| US 1995-450938  | 19950523   |
| US 1991-787870  | B219911105 |
| US 1992-854195  | B219920320 |
| US 1993-66818   | B219930427 |
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| US 1991-787870  | A 19911105 |
| US 1992-854195  | A 19920320 |
| CS 1994-1109    | A 19921029 |
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| US 1991-787870  | A 19911105 |
| ES 1992-2548    | 19921217   |

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| FAN | 1998:650045 |      |          |
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|     | ES 2062927  | B1   | 19950701 |
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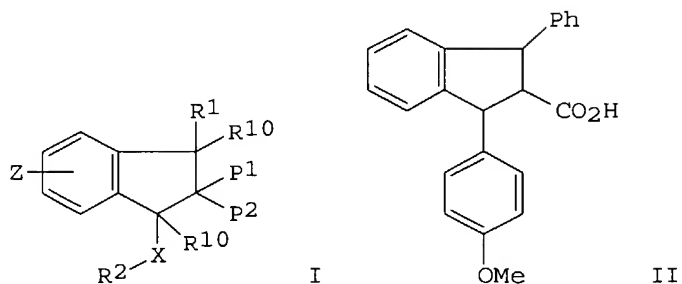
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| US 1991-787870 | 19911105   |
| US 1995-442038 | 19950516   |
| US 1991-787870 | B219911105 |
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|               |    |          | US 1995-450938 19950523   |
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|               |    |          | US 1992-854195 B219920320 |
|               |    |          | US 1993-66818 B219930427  |
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| US 5719183    | A  | 19980217 | US 1995-459686 19950602   |
|               |    |          | US 1991-787870 B219911105 |
|               |    |          | US 1992-854195 B219920320 |
|               |    |          | US 1993-66818 B219930427  |
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|               |    |          | US 1993-66818 B219930427  |
|               |    |          | WO 1994-US4603 A219940426 |
| CA 2236924    | AA | 19970515 | US 1994-336444 A119941109 |
|               |    |          | CA 1996-2236924 19961108  |
|               |    |          | US 1994-336444 A 19941109 |
| US 6087389    | A  | 20000711 | US 1998-99373 19980618    |
|               |    |          | US 1991-787870 B219911105 |
|               |    |          | US 1992-854195 B219920320 |
|               |    |          | WO 1992-US9427 A219921029 |
|               |    |          | US 1993-66818 B219930427  |
|               |    |          | WO 1994-US4603 A219940426 |
|               |    |          | US 1994-336444 A319941109 |
| US 6274737    | B1 | 20010814 | US 2000-574413 20000519   |
|               |    |          | US 1991-787870 B219911105 |
|               |    |          | US 1992-854195 B219920320 |
|               |    |          | WO 1992-US9427 A219921029 |
|               |    |          | US 1993-66818 B219930427  |
|               |    |          | WO 1994-US4603 A219940426 |
|               |    |          | US 1994-336444 A319941109 |
|               |    |          | US 1998-99373 A319980618  |
| US 2002002177 | A1 | 20020103 | US 2001-901951 20010710   |
| US 6448260    | B2 | 20020910 |                           |
|               |    |          | US 1991-787870 A219911105 |
|               |    |          | US 1992-854195 B219920320 |
|               |    |          | WO 1992-US9427 A219921029 |
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|               |    |          | US 1994-336444 A319941109 |
|               |    |          | US 1998-99373 A319980618  |
|               |    |          | US 2000-574413 A320000519 |

OS MARPAT 120:106563  
GI





AB The title compds. I (R1 = alkylaryl, etc.; R2 = H, aryl; R10 = alkylaryl, aryl, etc.; P1, R2 = substituted alkyl; Z = H, alkyl, etc.) and their use as endothelin receptor antagonists are claimed. I are useful as antihypertensives, treatment of renal failure or cerebrovascular disease. Addition reaction of 4-methoxyphenylmagnesium bromide with Et 1-oxo-3-phenylindene-2-carboxylate gave 1-(4-methoxyphenyl)-3-phenyl-2-indanecarboxylic acid (II). Data for the pharmacol. activity of I were not reported.

L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:552116 CAPLUS

DN **119:152116**

TI Use of renin inhibitors for the treatment of glaucoma

IN Tanaka, Yoko; Kagayama, Akira; Hata, Takehisa

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

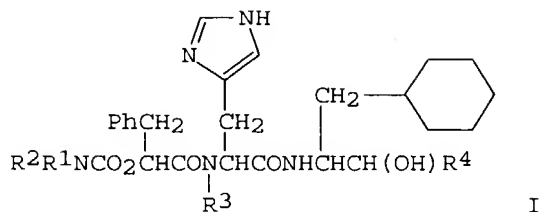
LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
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| PI | WO 9312796   | A1   | 19930708 | WO 1992-JP1656  | 19921218 |
|    | W: AU, CA, HU, JP, KR, RU, US                                      |      |          |                 |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
|    | ZA 9209738   | A    | 19930617 | GB 1991-27041   | 19911220 |
|    |  |      |          | ZA 1992-9738    | 19921215 |
|    |  |      |          | GB 1991-27041   | 19911220 |
|    | AU 9331712   | A1   | 19930728 | AU 1993-31712   | 19921218 |
|    | AU 661748  | B2   | 19950803 |                 |          |
|    |  |      |          | GB 1991-27041   | 19911220 |
|    |  |      |          | WO 1992-JP1656  | 19921218 |
|    | EP 617622  | A1   | 19941005 | EP 1993-900396  | 19921218 |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  |      |          |                 |          |
|    |  |      |          | GB 1991-27041   | 19911220 |
|    |  |      |          | WO 1992-JP1656  | 19921218 |
|    | JP 07506807  | T2   | 19950727 | JP 1992-511545  | 19921218 |
|    |  |      |          | GB 1991-27041   | 19911220 |
|    |  |      |          | WO 1992-JP1656  | 19921218 |
|    | CN 1088934   | A    | 19940706 | CN 1993-101190  | 19930102 |
|    |  |      |          | GB 1991-27041   | 19911220 |

OS MARPAT 119:152116

GI



AB The renin-inhibiting histidine derivs. I [R1 = (un)substituted alkyl or amino; R2, R3 = H, alkyl; NR1R2 = heterocyclyl; R4 = alkyl] or I salts are drugs for the treatment of glaucoma. Eye application of 0.2% 2(S)-[Nα-[2(S)-[N-methyl-N-[2-[N-(morpholinocarbonyl)-N-methylamino]ethyl]aminocarbonyloxy]-3-phenylpropionyl]-Nα-methyl-L-histidyl]amino-1-cyclohexyl-3(S)-hydroxy-6-methylheptane-HCl lower intraocular pressure in the rabbit.

L4 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:13416 CAPLUS

DN **116:13416**

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------|------|----------|-----------------|----------|
| PI | JP 03142277 | A2   | 19910618 | JP 1989-282319  | 19891030 |
|    |             |      |          | JP 1989-282319  | 19891030 |

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:576729 CAPLUS

DN **111:176729**

TI Acetylenic compounds for liquid crystal phases of liquid crystal display devices

IN Reiffenrath, Volker; Krause, Joachim; Weber, Georg

PA Merck Patent G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 13 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | DE 3734517  | A1   | 19890503 | DE 1987-3734517 | 19871013 |
|    | DE 3734517  | C2   | 19960808 |                 |          |
|    |   |      |          | DE 1987-3734517 | 19871013 |
| OS | CASREACT 111:176729; MARPAT 111:176729  |      |          |                 |          |
| AB | <p>The acetylenic compds. R1(A1Z1)mA2(C.tplbond.C)nA3C.tplbond.CQR2 [A1 = (un)substituted, 1,4-phenylene, trans-1,4-cyclohexylene; A2, A3 = (un)substituted 1,4-phenylene, or when n = 0, 1,4-cyclohexylene; Q = O, CO2, O2C; R1 = H, halogen, CN, C1-18 alkyl in which ≥1 of the CH2 group(s) may be replaced with O, S, CO, O2C, CO2, C.tplbond.C, CH=CH, CHX or CHCN; X = halogen; R2 = C1-18 alkyl; Z1 = CH2CH2, CO2, O2C, CH2O, OCH2, direct bond; m = 0-2; n = 0, 1} are prepared and are useful in liquid crystal displays. 4-Bromiodobenzene reacted with 1-heptyne in the presence of bis(triphenylphosphine) Pd(II) chloride and CuI for 6 h and the intermediate mixed with (4-propylphenyl)acetylene and warmed to 60° for 15 h, producing 1-(4-propylphenyl)-2-(4-heptyn-1-yl)phenyl]acetylene (I). A liquid crystal phase was prepared containing I 10.0, p-trans-4-propylcyclohexylbenzonitrile 21.6, p-trans-4-pentylcyclohexylbenzonitrile 32.4, p-trans-4-heptylcyclohexylbenzonitrile 22.5, and 4-cyano-4'-(trans-4-pentylcyclohexyl)biphenyl 13.5%, which had clear point 69° and viscosity 26 mm2/s.</p> |      |          |                 |          |

=&gt; log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

119.55

388.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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and searchable  
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NEWS 5 FEB 05 German (DE) application and patent publication number format  
changes  
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NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
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NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
NEWS 13 APR 26 PROMT: New display field available  
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field  
available  
NEWS 15 APR 26 LITALERT now available on STN  
NEWS 16 APR 27 NLDB: New search and display fields available  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004  
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L2 STRUCTURE UPLOADED

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 L1 HAS NO ANSWERS  
 L1 STR  
 \*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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| SEARCH TIME: 00.00.01 |                 |            |

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| FULL ESTIMATED COST  | 155.84     | 156.26  |

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:758465 CAPLUS

DN 136:47984

TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide

AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.

CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA

SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

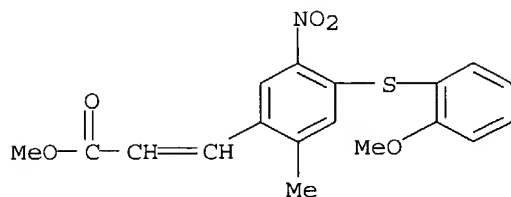
IT **381229-53-4**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and structure-activity relationships of p-arylthio cinnamides as antagonists of LFA-1/ICAM-1)

RN 381229-53-4 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 381229-52-3P 381229-54-5P 381229-55-6P

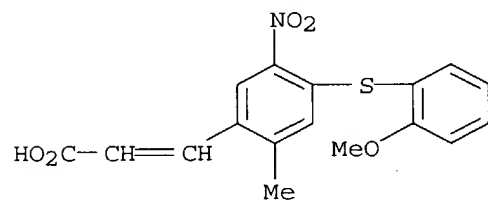
381229-56-7P 381229-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationships of p-arylthio cinnamides as antagonists of LFA-1/ICAM-1)

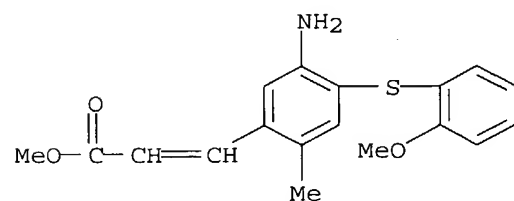
RN 381229-52-3 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]- (9CI) (CA INDEX NAME)



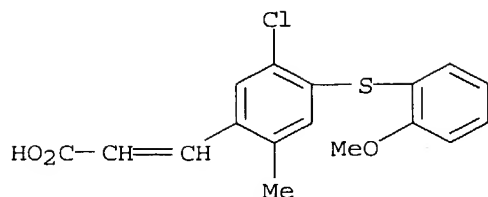
RN 381229-54-5 CAPLUS

CN 2-Propenoic acid, 3-[5-amino-4-[(2-methoxyphenyl)thio]-2-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)

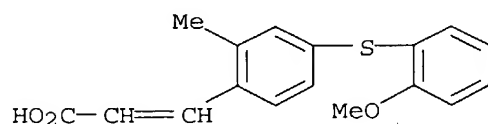


RN 381229-55-6 CAPLUS

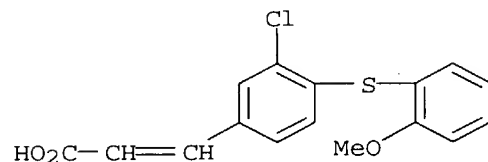
CN 2-Propenoic acid, 3-[5-chloro-4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)



RN 381229-56-7 CAPLUS  
 CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)



RN 381229-79-4 CAPLUS  
 CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



AB We have shown that p-arylthio cinnamides can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Comps. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examined using an NMR based model of the LFA-1 I domain/compound 31 complex. One of our comps. (38) was able to reduce cell migration in two different in vivo expts.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:725609 CAPLUS  
 DN 133:296281  
 TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
 IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.



PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 476 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

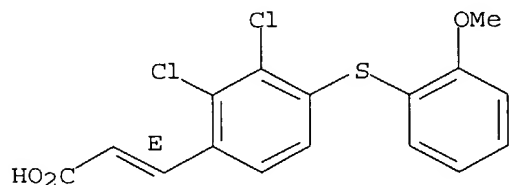
|    | PATENT NO.   | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|--|--|----------|------------------|----------|
| PI | WO 2000059880  | A1   | 20001012 | WO 2000-US8895   | 20000403 |
|    | W:   | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:  | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |  |  |          | US 1999-286645 A | 19990402 |
|    |  |  |          | US 1999-474517 A | 19991229 |
|    |  |  |          | US 2000-541795 A | 20000331 |
| EP | 1165505  | A1   | 20020102 | EP 2000-921654   | 20000403 |
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|    |  |  |          | WO 2000-US8895 W | 20000403 |
| BR | 2000009426   | A  | 20020409 | BR 2000-9426     | 20000403 |
|    |  |  |          | US 1999-286645 A | 19990402 |
|    |  |  |          | US 1999-474517 A | 19991229 |
|    |  |  |          | US 2000-541795 A | 20000331 |
|    |  |  |          | WO 2000-US8895 W | 20000403 |
| EE | 200100513  | A  | 20021216 | EE 2001-513      | 20000403 |
|    |  |  |          | US 1999-286645 A | 19990402 |
|    |  |  |          | US 1999-474517 A | 19991229 |
|    |  |  |          | US 2000-541795 A | 20000331 |
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| NO | 2001004767   | A  | 20011130 | NO 2001-4767     | 20011001 |
|    |  |  |          | US 1999-286645 A | 19990402 |
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| BG | 106029   | A  | 20020531 | BG 2001-106029   | 20011018 |
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| ZA | 2001008944   | A  | 20030702 | ZA 2001-8944     | 20011030 |
|    |  |  |          | US 1999-286645 A | 19990402 |
| OS | MARPAT 133:296281  |  |          |                  |          |
| IT | <b>280752-98-9</b>   |  |          |                  |          |
|    | RL: RCT (Reactant); RACT (Reactant or reagent)   |  |          |                  |          |
|    | (preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic |  |          |                  |          |

acids, amidation, and optional derivatization)

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 280753-23-3P 301179-75-9P, 2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid 301179-87-3P 301179-94-2P

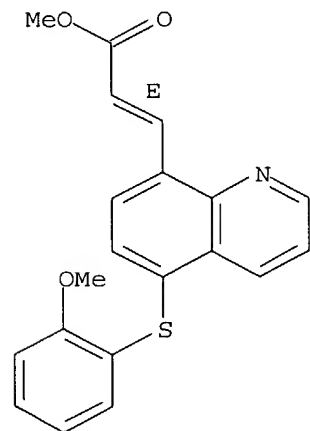
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280753-23-3 CAPLUS

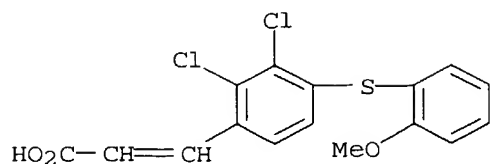
CN 2-Propenoic acid, 3-[5-[(2-methoxyphenyl)thio]-8-quinolinyl]-, methyl ester, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



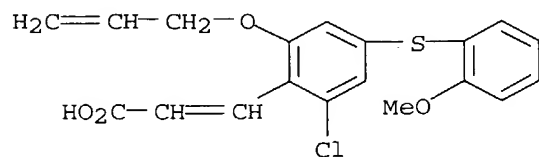
RN 301179-75-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)



RN 301179-87-3 CAPLUS

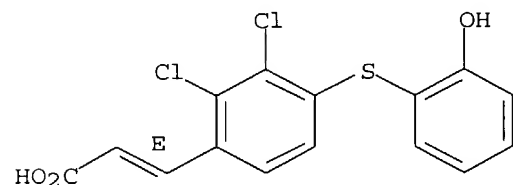
CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)



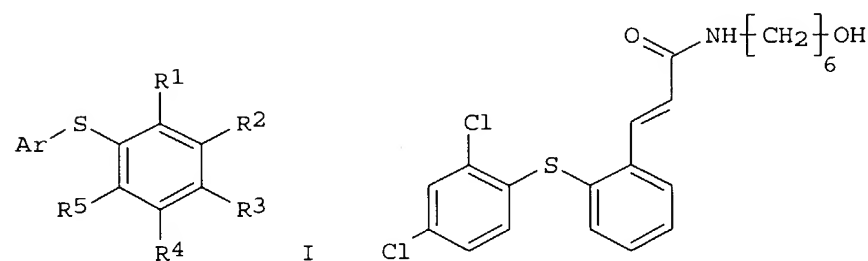
RN 301179-94-2 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO<sub>2</sub>, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-

dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 µM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 µM and 0.6 µM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:457022 CAPLUS  
DN 133:89514  
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 400 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|--|----------|------------------|----------|
| PI | WO 2000039081 | A2   | 20000706 | WO 1999-US31162  | 19991229 |
|    | WO 2000039081 | A3   | 20010525 |                  |          |
|    | W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    | US 6110922    | A  | 20000829 | US 1998-222491   | 19981229 |
|    | CA 2356320    | AA   | 20000706 | CA 1999-2356320  | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | EP 1140814    | A2   | 20011010 | EP 1999-966709   | 19991229 |
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|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | JP 2002533434 | T2   | 20021008 | JP 2000-590994   | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | EE 200100355  | A  | 20021015 | EE 2001-355      | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | NZ 512687     | A  | 20031219 | NZ 1999-512687   | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
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| NO 2001003241 | A  | 20010828 | NO 2001-3241     | 20010628 |
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|               |    |          | WO 1999-US31162W | 19991229 |
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|               |    |          | US 1998-222491 A | 19981229 |
|               |    |          | WO 1999-US31162W | 19991229 |
| BG 105732     | A  | 20020228 | BG 2001-105732   | 20010725 |
|               |    |          | US 1998-222491 A | 19981229 |
|               |    |          | WO 1999-US31162W | 19991229 |

OS MARPAT 133:89514

IT **280752-98-9P**, 2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid  
**280753-13-1P 280753-23-3P**

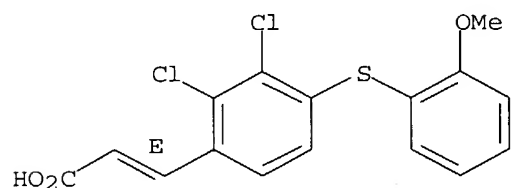
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(hetaryl)(arylthio)cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

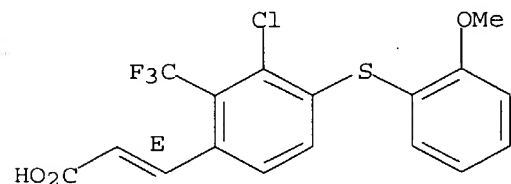
Double bond geometry as shown.



RN 280753-13-1 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]-2-(trifluoromethyl)phenyl]-, (2E)-(9CI) (CA INDEX NAME)

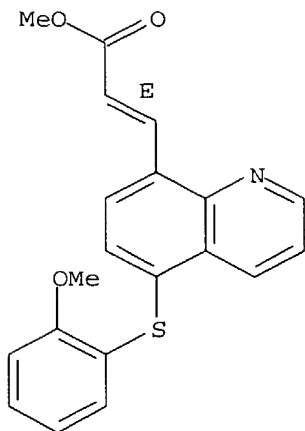
Double bond geometry as shown.



RN 280753-23-3 CAPLUS

CN 2-Propenoic acid, 3-[5-[(2-methoxyphenyl)thio]-8-quinolinyl]-, methyl ester, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4µM.

=> log y

COST IN U.S. DOLLARS

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|------------|---------|
| SINCE FILE | TOTAL   |
| ENTRY      | SESSION |
| 15.15      | 171.41  |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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| SINCE FILE | TOTAL   |
| ENTRY      | SESSION |
| -2.08      | -2.08   |

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and searchable  
NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in  
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NEWS 5 FEB 05 German (DE) application and patent publication number format  
changes  
NEWS 6 MAR 03 MEDLINE and LMEADLINE reloaded  
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 8 MAR 03 FRANCEPAT now available on STN  
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN  
NEWS 10 MAR 29 WPIFV now available on STN  
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004  
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA  
NEWS 13 APR 26 PROMT: New display field available  
NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field  
available  
NEWS 15 APR 26 LITALERT now available on STN  
NEWS 16 APR 27 NLDB: New search and display fields available  
  
NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004  
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SINCE FILE

TOTAL

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<4/28/2004>

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 DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

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 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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 \*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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| FULL ESTIMATED COST | 155.42     | 155.63  |

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FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:850646 CAPLUS

DN 135:371527

TI Preparation of bisacylguanidine with cardioprotective activity

IN Gericke, Rolf; Beier, Norbert

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

|     | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|-----|--|------|----------|------------------|----------|
| PI  | DE 10024319  | A1   | 20011122 | DE 2000-10024319 | 20000517 |
|     | WO 2001087829  | A1   | 20011122 | WO 2001-EP4425   | 20010419 |
| W:  | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |      |          |                  |          |
|     | DE 2000-10024319A 20000517   |      |          |                  |          |

OS CASREACT 135:371527; MARPAT 135:371527

IT **374681-59-1P 374681-63-7P 374681-65-9P**

**374681-66-0P 374681-67-1P 374681-68-2P**

**374681-69-3P 374681-70-6P 374681-72-8P**

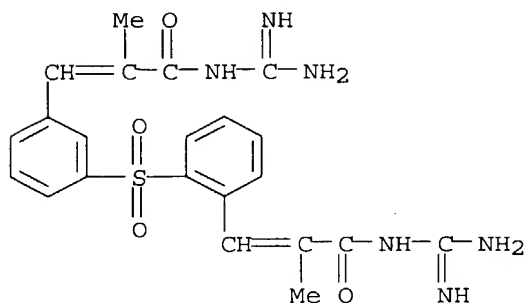
**374681-73-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cardioprotective bisacylguanidines that work as inhibitors of the cellular Na<sup>+</sup>/H<sup>+</sup> antiporters)

RN 374681-59-1 CAPLUS

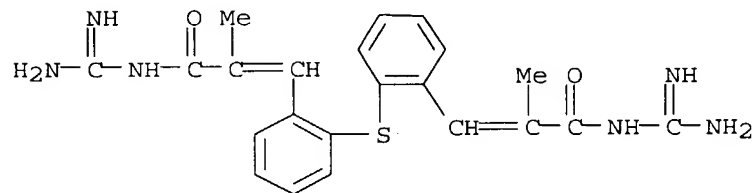
CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[3-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



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RN 374681-63-7 CAPLUS

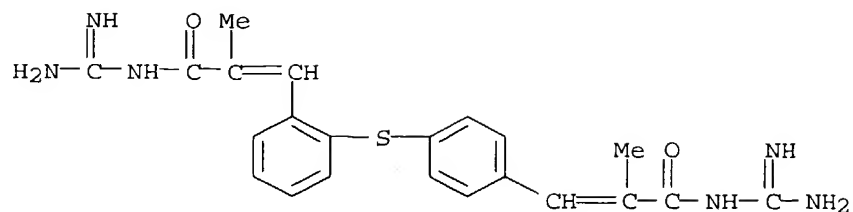
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● 2 HCl

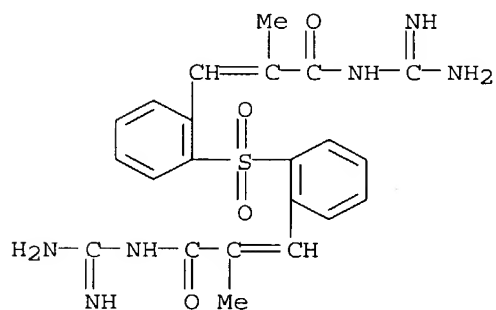
RN 374681-65-9 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



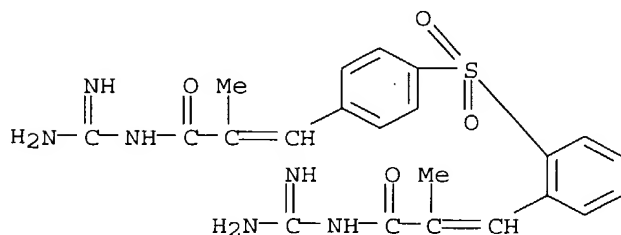
● 2 HCl

RN 374681-66-0 CAPLUS  
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● 2 HCl

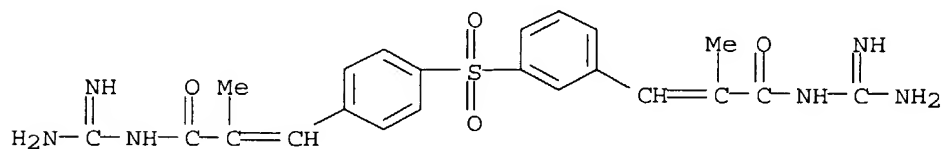
RN 374681-67-1 CAPLUS  
 CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 374681-68-2 CAPLUS

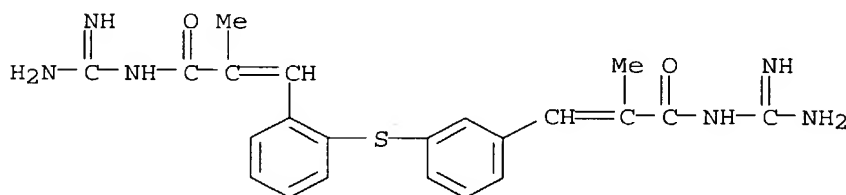
CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 374681-69-3 CAPLUS

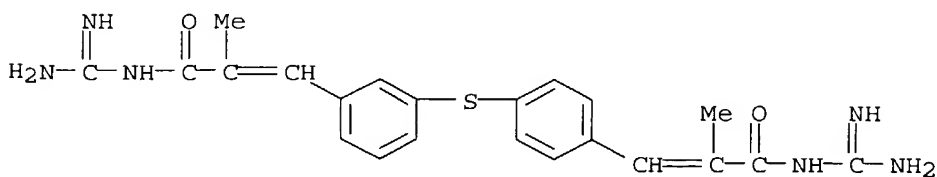
CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[3-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 374681-70-6 CAPLUS

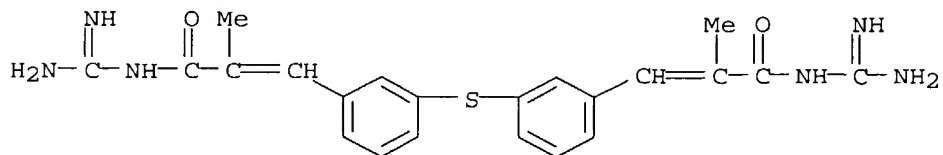
CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 374681-72-8 CAPLUS

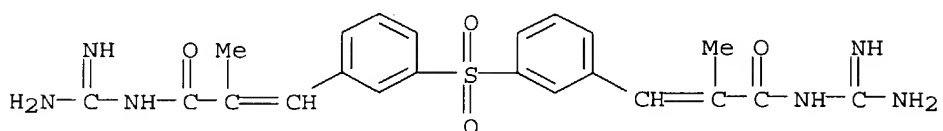
CN 2-Propenamide, 3,3'-(thiodi-3,1-phenylene)bis[N-(aminoiminomethyl)-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

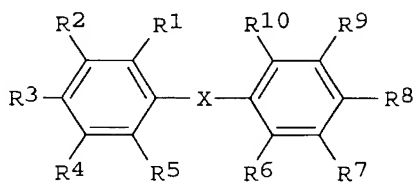
RN 374681-73-9 CAPLUS

CN 2-Propenamide, 3,3'-(sulfonyldi-3,1-phenylene)bis[N-(aminoiminomethyl)-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

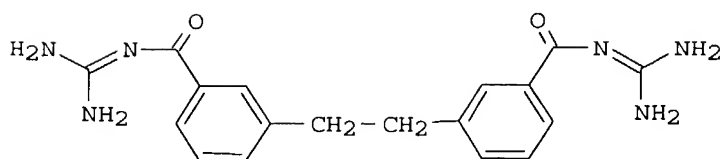


● 2 HCl

GI



I



II

AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMcON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMcON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-containing heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n = 1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II·HCl), was prepared from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone containing 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aqueous HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:736318 CAPLUS

DN 134:25112

TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead

AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark

CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA

SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT **280749-26-0P**

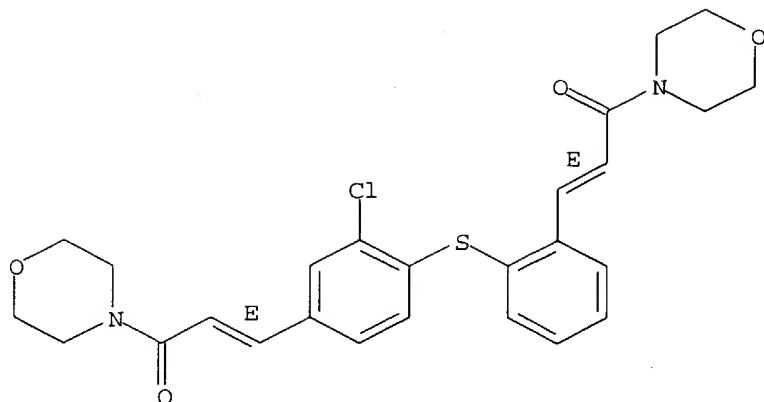
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of arylthio cinnamides as antagonists of leukocyte function-associated antigen-1/ICAM-1 interaction)

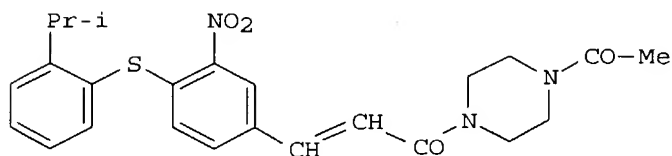
RN 280749-26-0 CAPLUS

CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

AB The interaction between leukocyte function-associated antigen-1 (LFA-1), a member of the  $\beta 2$ -integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Solution-phase parallel synthesis enabled rapid optimization of the cinnamides for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 476 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 2000059880   | A1   | 20001012 | WO 2000-US8895   | 20000403 |
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|    | RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
|    |   |      |          | US 2000-541795 A | 20000331 |
| EP | 1165505   | A1   | 20020102 | EP 2000-921654   | 20000403 |
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|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
|    |   |      |          | WO 2000-US8895 W | 20000403 |
| BR | 2000009426  | A    | 20020409 | BR 2000-9426     | 20000403 |
|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
|    |   |      |          | US 2000-541795 A | 20000331 |
|    |   |      |          | WO 2000-US8895 W | 20000403 |
| EE | 200100513   | A    | 20021216 | EE 2001-513      | 20000403 |
|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
|    |   |      |          | US 2000-541795 A | 20000331 |
|    |   |      |          | WO 2000-US8895 W | 20000403 |
| NO | 2001004767  | A    | 20011130 | NO 2001-4767     | 20011001 |
|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
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| BG | 106029  | A    | 20020531 | BG 2001-106029   | 20011018 |
|    |   |      |          | US 1999-286645 A | 19990402 |
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|    |   |      |          | WO 2000-US8895 W | 20000403 |
| HR | 2001000776  | A1   | 20021231 | HR 2001-776      | 20011023 |
|    |   |      |          | US 1999-286645 A | 19990402 |
|    |   |      |          | US 1999-474517 A | 19991229 |
|    |   |      |          | US 2000-541795 A | 20000331 |
|    |   |      |          | WO 2000-US8895 W | 20000403 |
| ZA | 2001008944  | A    | 20030702 | ZA 2001-8944     | 20011030 |
|    |   |      |          | US 1999-286645 A | 19990402 |
| OS | MARPAT 133:296281   |      |          |                  |          |
| IT | 280749-26-0P  |      |          |                  |          |
|    | RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)   |      |          |                  |          |

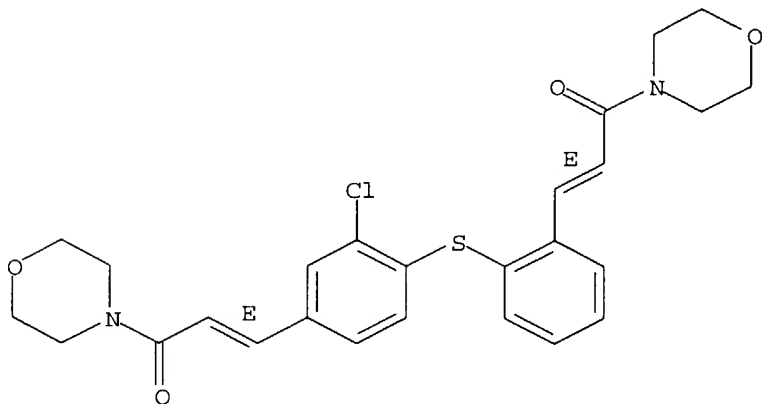


(preparation of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

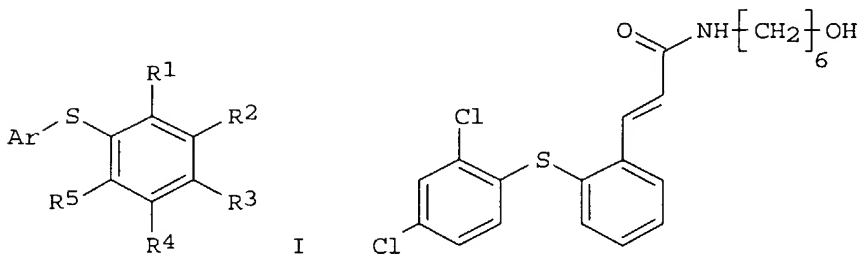
RN 280749-26-0 CAPLUS

CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

II

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO<sub>2</sub>, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 μM. In cell-based adhesion assays which measure the ability of test compds. to block

adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4  $\mu$ M and 0.6  $\mu$ M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:457022 CAPLUS  
DN 133:89514  
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin; Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 400 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|--|----------|------------------|----------|
| PI | WO 2000039081 | A2   | 20000706 | WO 1999-US31162  | 19991229 |
|    | WO 2000039081 | A3   | 20010525 |                  |          |
|    | W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
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|    | US 6110922    | A  | 20000829 | US 1998-222491   | 19981229 |
|    | CA 2356320    | AA   | 20000706 | CA 1999-2356320  | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | EP 1140814    | A2   | 20011010 | EP 1999-966709   | 19991229 |
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|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | JP 2002533434 | T2   | 20021008 | JP 2000-590994   | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | EE 200100355  | A  | 20021015 | EE 2001-355      | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | NZ 512687     | A  | 20031219 | NZ 1999-512687   | 19991229 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | NO 2001003241 | A  | 20010828 | NO 2001-3241     | 20010628 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | HR 2001000512 | A1   | 20020831 | HR 2001-512      | 20010710 |
|    |               |  |          | US 1998-222491 A | 19981229 |
|    |               |  |          | WO 1999-US31162W | 19991229 |
|    | BG 105732     | A  | 20020228 | BG 2001-105732   | 20010725 |

US 1998-222491 A 19981229

WO 1999-US31162W 19991229

OS MARPAT 133:89514

IT **280749-26-0P**

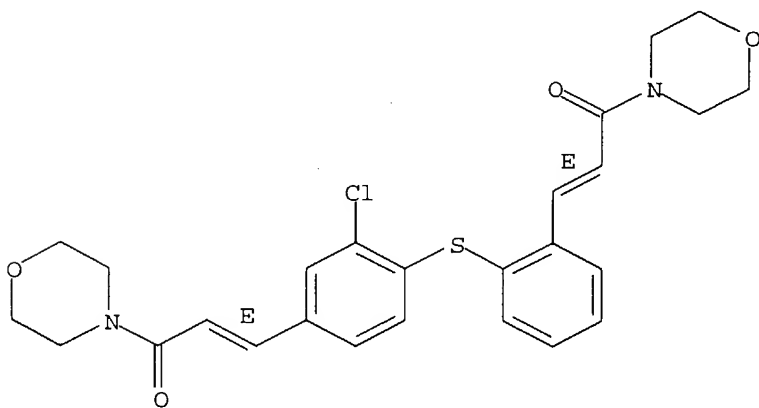
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280749-26-0 CAPLUS

CN Morpholine, 4-[(2E)-3-[2-[[2-chloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thiophenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4µM.

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1981:628309 CAPLUS

DN 95:228309

TI Electronic spectra of unsaturated ketones and diketones containing a diphenyl sulfide nucleus

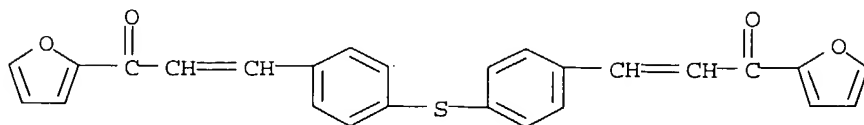
AU Chuev, V. P.; Nikitchenko, V. M.; Lavrushin, V. F.

CS Khar'k. Gos. Univ., Kharkov, USSR

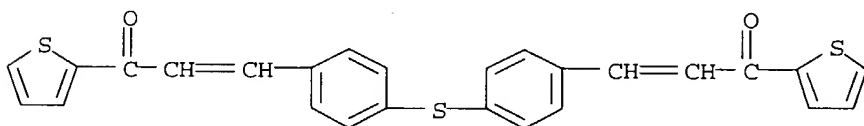
SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1981), 47(8), 835-9  
CODEN: UKZHAU; ISSN: 0041-6045

DT Journal

LA Russian  
 IT 79463-69-7 79463-71-1  
 RL: PRP (Properties)  
 (UV spectrum of)  
 RN 79463-69-7 CAPLUS  
 CN 2-Propen-1-one, 3,3'-(thiodi-4,1-phenylene)bis[1-(2-furanyl)- (9CI) (CA INDEX NAME)



RN 79463-71-1 CAPLUS  
 CN 2-Propen-1-one, 3,3'-(thiodi-4,1-phenylene)bis[1-(2-thienyl)- (9CI) (CA INDEX NAME)



AB RC(O)CH:CHC6H4SPh-p (I) and RC(O)CH:CHC6H4-p-S-p-C6H4CH:CHC(O)R (II) - (R = Ph, 4-anisyl, 4-Me2NC6H4, 4-ClC6H4, 4-BrC6H4, 4-NO2C6H4, 2-furyl, 2-thienyl) in dioxane and CHCl3 solns. were characterized by electronic absorption spectra. I and II are characterized by the presence of intense bands of the  $\pi$ - $\pi^*$ -electronic transitions at 220-400 nm. The oscillator strengths and polarization of transitions in I and II (R = Ph) were calculated

=> log y

COST IN U.S. DOLLARS

|            |         |
|------------|---------|
| SINCE FILE | TOTAL   |
| ENTRY      | SESSION |
| 24.66      | 180.29  |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

|            |         |
|------------|---------|
| SINCE FILE | TOTAL   |
| ENTRY      | SESSION |
| -3.47      | -3.47   |

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| NEWS         | 3  | JAN 27 | Source of Registration (SR) information in REGISTRY updated and searchable   |
| NEWS         | 4  | JAN 27 | A new search aid, the Company Name Thesaurus, available in CA/CAPLUS   |
| NEWS         | 5  | FEB 05 | German (DE) application and patent publication number format changes   |
| NEWS         | 6  | MAR 03 | MEDLINE and LMEADLINE reloaded   |
| NEWS         | 7  | MAR 03 | MEDLINE file segment of TOXCENTER reloaded   |
| NEWS         | 8  | MAR 03 | FRANCEPAT now available on STN   |
| NEWS         | 9  | MAR 29 | Pharmaceutical Substances (PS) now available on STN  |
| NEWS         | 10 | MAR 29 | WPIFV now available on STN   |
| NEWS         | 11 | MAR 29 | No connect hour charges in WPIFV until May 1, 2004   |
| NEWS         | 12 | MAR 29 | New monthly current-awareness alert (SDI) frequency in RAPRA   |
| NEWS         | 13 | APR 26 | PROMT: New display field available   |
| NEWS         | 14 | APR 26 | FIPAT/IFIUDE/IFICDB: New super search and display field available  |
| NEWS         | 15 | APR 26 | LITALERT now available on STN  |
| NEWS         | 16 | APR 27 | NLDB: New search and display fields available  |
| NEWS EXPRESS |    |        | MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004 |
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| NEWS INTER   |    |        | General Internet Information   |
| NEWS LOGIN   |    |        | Welcome Banner and News Items  |
| NEWS PHONE   |    |        | Direct Dial and Telecommunication Network Access to STN  |
| NEWS WWW     |    |        | CAS World Wide Web Site (general information)  |

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\* \* \* \* \* STN Columbus \* \* \* \* \*

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STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6  
 DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading c:\program files\stnexp\queries\10725212.4

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 17:20:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1470 TO ITERATE

100.0% PROCESSED 1470 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> lo gy

LO IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
 For a list of commands available to you in the current file, enter  
 "HELP COMMANDS" at an arrow prompt (=>).

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

Patel

<4/28/2004>

10725212.4Page 3

STN INTERNATIONAL LOGOFF AT 17:21:05 ON 28 APR 2004

Patel

<4/28/2004>

*Call 1704 1704 1704*

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

- NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
- NEWS 2 "Ask CAS" for self-help around the clock
- NEWS 3 JAN 27 Source of Registration (SR) information in REGISTRY updated and searchable
- NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
- NEWS 5 FEB 05 German (DE) application and patent publication number format changes
- NEWS 6 MAR 03 MEDLINE and LMEADLINE reloaded
- NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
- NEWS 8 MAR 03 FRANCEPAT now available on STN
- NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
- NEWS 10 MAR 29 WPIFV now available on STN
- NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
- NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
- NEWS 13 APR 26 PROMT: New display field available
- NEWS 14 APR 26 FIPAT/IFIUDB/IFICDB: New super search and display field available
- NEWS 15 APR 26 LITALERT now available on STN
- NEWS 16 APR 27 NLDB: New search and display fields available
- NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
- NEWS HOURS STN Operating Hours Plus Help Desk Availability
- NEWS INTER General Internet Information
- NEWS LOGIN Welcome Banner and News Items
- NEWS PHONE Direct Dial and Telecommunication Network Access to STN
- NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 17:22:40 ON 28 APR 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

Patel

<4/28/2004>



|                     |               |                 |
|---------------------|---------------|-----------------|
| FULL ESTIMATED COST | ENTRY<br>0.21 | SESSION<br>0.21 |
|---------------------|---------------|-----------------|

FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004  
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 provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6  
 DICTIONARY FILE UPDATES: 27 APR 2004 HIGHEST RN 677274-15-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

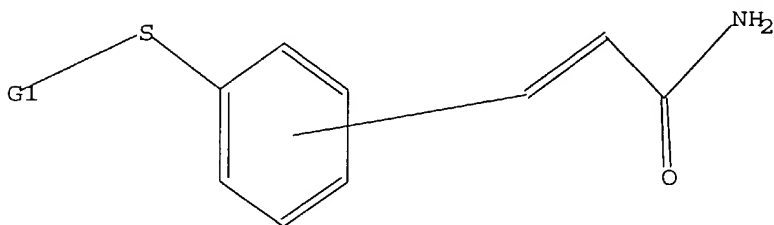
Uploading c:\program files\stnexp\queries\10725212.5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 17:23:45 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 24683 TO ITERATE

100.0% PROCESSED 24683 ITERATIONS  
 SEARCH TIME: 00.00.01

11 ANSWERS

L2 11 SEA SSS FUL L1

Patel

<4/28/2004>

=> file marpat  
COST IN U.S. DOLLARS

|                     | SINCE FILE<br>ENTRY | TOTAL<br>SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 155.42              | 155.63           |

FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004  
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17) (20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6709645 23 MAR 2004  
DE 10335606 11 MAR 2004  
EP 1403278 31 MAR 2004  
JP 2004099560 02 APR 2004  
WO 2004024934 25 MAR 2004

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full  
FULL SEARCH INITIATED 17:23:58 FILE 'MARPAT'  
FULL SCREEN SEARCH COMPLETED - 12594 TO ITERATE

|                  |                  |                 |            |
|------------------|------------------|-----------------|------------|
| 77.9% PROCESSED  | 9816 ITERATIONS  | ( 1 INCOMPLETE) | 38 ANSWERS |
| 92.1% PROCESSED  | 11604 ITERATIONS | ( 2 INCOMPLETE) | 44 ANSWERS |
| 99.7% PROCESSED  | 12562 ITERATIONS | ( 2 INCOMPLETE) | 48 ANSWERS |
| 100.0% PROCESSED | 12594 ITERATIONS | ( 2 INCOMPLETE) | 48 ANSWERS |

SEARCH TIME: 00.00.57

L3 48 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS

|                     | SINCE FILE<br>ENTRY | TOTAL<br>SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 109.84              | 265.47           |

FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004  
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FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18  
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:22:40 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004

L1 STRUCTURE UPLOADED  
L2 11 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004

L3 48 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004

=> s l2

L4 4 L2

=> s l3

L5 48 L3

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:267302 CAPLUS  
TI Preparation of cyclic amine compounds as chemokine receptor antagonists  
useful in treatment of AIDS  
IN Sugihara, Yoshihiro; Nishikawa, Yoichi; Kanzaki, Naoyuki; Iizawa, Yuji;  
Baba, Masanori  
PA Takeda Chemical Industries, Ltd., Japan  
SO PCT Int. Appl., 155 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

|     | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|-----|--|------|----------|-----------------|----------|
| PI  | WO 2004026833  | A1   | 20040401 | WO 2003-JP11906 | 20030918 |
| W:  | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU |      |          |                 |          |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |      |          |                 |          |

JP 2002-275534 A 20020920

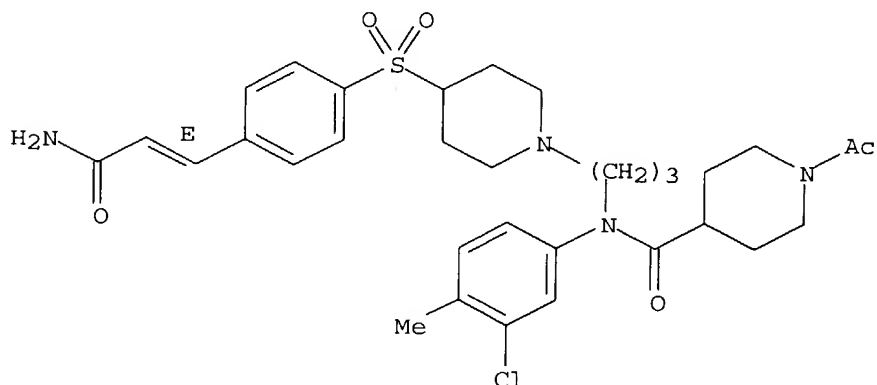
IT **676526-54-8P**

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of cyclic amine compds. as chemokine receptor antagonists useful in treatment of AIDS)

RN 676526-54-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-acetyl-N-[3-[4-[[4-[(1E)-3-amino-3-oxo-1-propenyl]phenyl]sulfonyl]-1-piperidinyl]propyl]-N-(3-chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



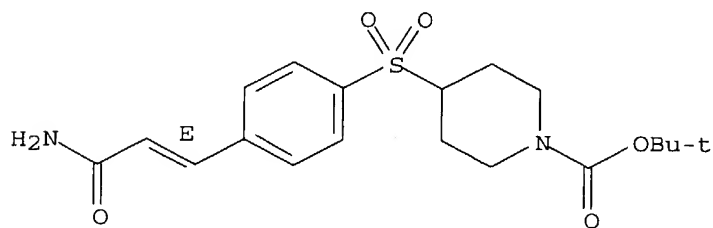
IT **676527-94-9P 676527-96-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of cyclic amine compds. as chemokine receptor antagonists useful in treatment of AIDS)

RN 676527-94-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(1E)-3-amino-3-oxo-1-propenyl]phenyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 676527-96-1 CAPLUS

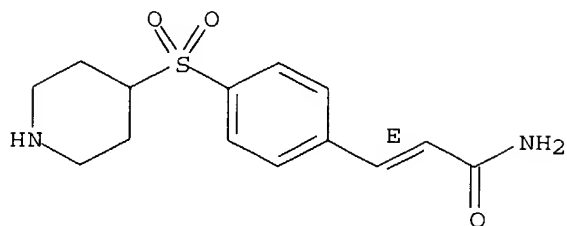
CN INDEX NAME NOT YET ASSIGNED

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CRN 676527-95-0

CMF C14 H18 N2 O3 S

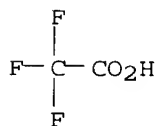
Double bond geometry as shown.



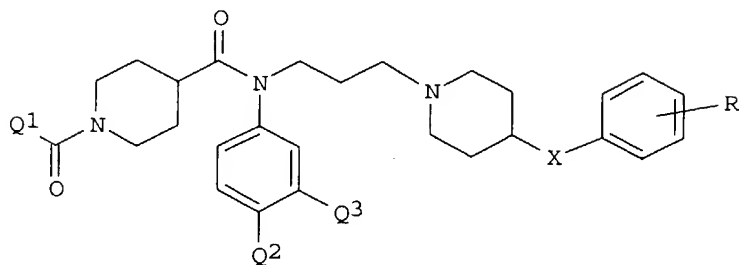
CM 2

CRN 76-05-1

CMF C2 H F3 O2



GI



I

AB The title compds. I [Q1 and Q2 each represents C1-3 alkyl; Q3 represents halogeno; X represents CH2 or SO2; and R represents SO2NR1R2, etc. (when X is CH2) and represents C1-8 alkyl, etc. when X is SO2; R1, R2 = H, (un)substituted alkyl; or NR1R2 forms N-containing heterocyclic ring ] are prepared The CCR5 antagonist activity of compds. of this invention was demonstrated. A process for preparing I is disclosed. Formulations are given.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:348788 CAPLUS  
DN 138:353993

Patel

<4/28/2004>

TI Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors  
 IN Garst, Michael E.; Sachs, George; Shin, Jai Moo  
 PA Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston Pharmaceuticals, LLC  
 SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.    | DATE     |
|----|---------------|------|----------|--------------------|----------|
| PI | US 6559167    | B1   | 20030506 | US 2001-783807     | 20010214 |
|    |               |      |          | US 1998-131481 A21 | 19980810 |
|    |               |      |          | US 1999-364381 B21 | 19990729 |
|    | US 6093734    | A    | 20000725 | US 1998-131481     | 19980810 |
|    | ZA 2001000560 | A    | 20010713 | ZA 2001-560        | 20010119 |
|    |               |      |          | US 1998-131481 A   | 19980810 |

## PATENT FAMILY INFORMATION:

FAN 2000:133673

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---|------|----------|------------------|----------|
| PI | WO 2000009498   | A1   | 20000224 | WO 1999-US18048  | 19990809 |
|    | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
|    | RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                  |          |
|    |   |      |          | US 1998-131481 A | 19980810 |
|    |   |      |          | US 1999-364381 A | 19990729 |
|    | US 6093734  | A    | 20000725 | US 1998-131481   | 19980810 |
|    | CA 2338311  | AA   | 20000224 | CA 1999-2338311  | 19990809 |
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|    |   |      |          | US 1999-364381 A | 19990729 |
|    |   |      |          | WO 1999-US18048W | 19990809 |
|    | AU 9955518  | A1   | 20000306 | AU 1999-55518    | 19990809 |
|    | AU 752292   | B2   | 20020912 |                  |          |
|    |   |      |          | US 1998-131481 A | 19980810 |
|    |   |      |          | US 1999-364381 A | 19990729 |
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|    | BR 9912937  | A    | 20010508 | BR 1999-12937    | 19990809 |
|    |   |      |          | US 1998-131481 A | 19980810 |
|    |   |      |          | US 1999-364381 A | 19990729 |
|    |   |      |          | WO 1999-US18048W | 19990809 |
|    | EP 1105387  | A1   | 20010613 | EP 1999-942057   | 19990809 |
|    | EP 1105387  | B1   | 20030129 |                  |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                  |          |
|    |   |      |          | US 1998-131481 A | 19980810 |
|    |   |      |          | US 1999-364381 A | 19990729 |
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|    | NZ 510180   | A    | 20021126 | NZ 1999-510180   | 19990809 |
|    |   |      |          | US 1998-131481 A | 19980810 |
|    |   |      |          | US 1999-364381 A | 19990729 |

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| AT 231857     | E  | 20030215 | WO 1999-US18048W 19990809 |
|               |    |          | AT 1999-942057 19990809   |
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|               |    |          | US 1999-364381 A 19990729 |
| JP 2003534232 | T2 | 20031118 | WO 1999-US18048W 19990809 |
|               |    |          | JP 2000-564950 19990809   |
|               |    |          | US 1998-131481 A 19980810 |
|               |    |          | US 1999-364381 A 19990729 |
| ZA 2001000560 | A  | 20010713 | WO 1999-US18048W 19990809 |
|               |    |          | ZA 2001-560 20010119      |
| BG 105191     | A  | 20011231 | US 1998-131481 A 19980810 |
|               |    |          | BG 2001-105191 20010126   |
|               |    |          | US 1998-131481 A 19980810 |
|               |    |          | US 1999-364381 A 19990729 |
| FI 2001000248 | A  | 20010209 | WO 1999-US18048W 19990809 |
|               |    |          | FI 2001-248 20010209      |
|               |    |          | US 1998-131481 A 19980810 |
|               |    |          | US 1999-364381 A 19990729 |
| NO 2001000693 | A  | 20010305 | WO 1999-US18048W 19990809 |
|               |    |          | NO 2001-693 20010209      |
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|               |    |          | US 1999-364381 A 19990729 |
| HR 2001000106 | A1 | 20020228 | WO 1999-US18048W 19990809 |
|               |    |          | HR 2001-106 20010209      |
|               |    |          | US 1998-131481 A 19980810 |
|               |    |          | US 1999-364381 A 19990729 |
|               |    |          | WO 1999-US18048W 19990809 |

OS MARPAT 138:353993

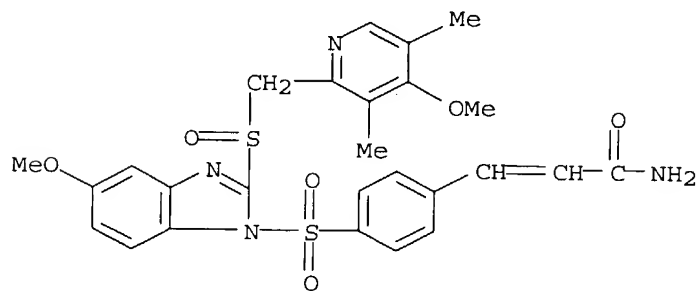
IT **519182-94-6P 519182-95-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as prodrugs of proton pump inhibitors)

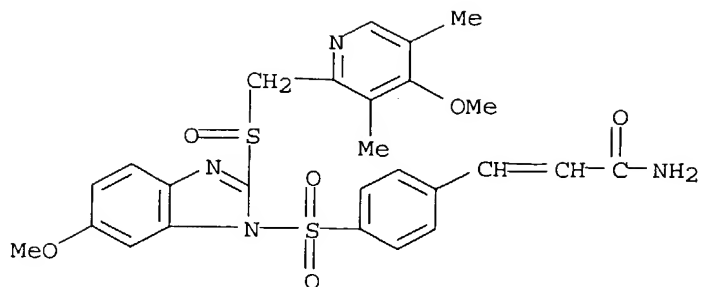
RN 519182-94-6 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI)  
(CA INDEX NAME)

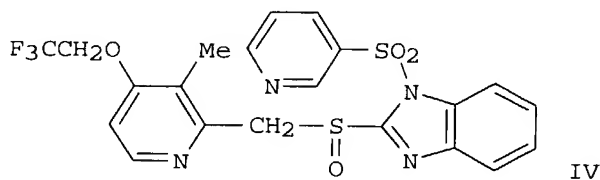
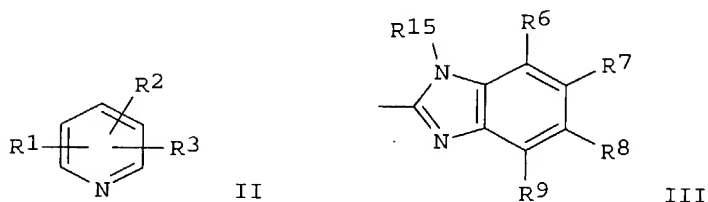


RN 519182-95-7 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI)  
(CA INDEX NAME)



GI



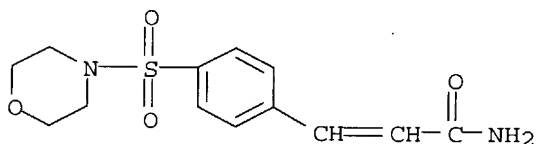
IV

AB The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepared. Thus, reacting 2-([3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl)sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compound IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

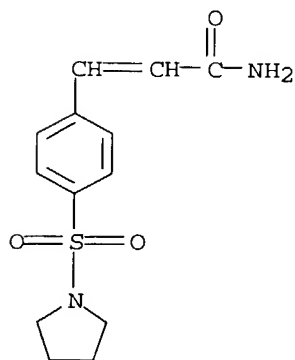
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



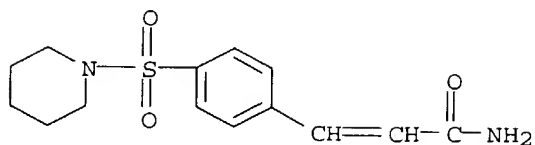
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1984:551705 CAPLUS  
 DN 101:151705  
 TI Derivatives of cinnamide-4-sulfonyl chloride and p-(phthalimido)benzenesulfonyl chloride  
 AU Cremlyn, R. J.; Thandi, K.; Wilson, R.  
 CS Sch. Nat. Sci., Hatfield Polytech., Hatfield, UK  
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(1), 94-6  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DT Journal  
 LA English  
 OS CASREACT 101:151705  
 IT **92082-69-4P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)  
 RN 92082-69-4 CAPLUS  
 CN 2-Propenamide, 3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



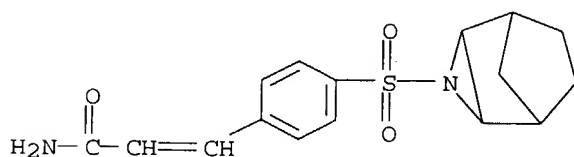
IT **92082-70-7P 92082-71-8P 92082-81-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 92082-70-7 CAPLUS  
 CN 2-Propenamide, 3-[4-(1-pyrrolidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



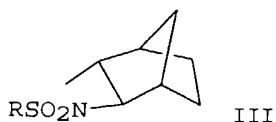
RN 92082-71-8 CAPLUS  
 CN 2-Propenamide, 3-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 92082-81-0 CAPLUS  
 CN 2-Propenamide, 3-[4-(3-azatricyclo[3.2.1.0.2,4]oct-3-enylsulfonyl)phenyl] -  
 (9CI) (CA INDEX NAME)



GI



AB RH (R = H<sub>2</sub>NCOCH:CHC<sub>6</sub>H<sub>4</sub>-4, 4-phthalimidophenylene) reacted with ClSO<sub>3</sub>H to give RSO<sub>2</sub>Cl (I), which reacted with NaN<sub>3</sub> to give RSO<sub>2</sub>N<sub>3</sub> (II). PR13 (R<sub>1</sub> = OEt, OPh, Ph) reacted with II to give RSO<sub>2</sub>N:PR13, whereas norbornene reacted with II to give aziridinenorbornanes III. I were treated with H<sub>2</sub>NNH<sub>2</sub> to give RSO<sub>2</sub>NHNNH<sub>2</sub>, which reacted with R<sub>2</sub>COR<sub>3</sub> [R<sub>2</sub> = R<sub>3</sub> = Me; R<sub>2</sub>R<sub>3</sub> = (CH<sub>2</sub>)<sub>5</sub>; R<sub>2</sub> = H, R<sub>3</sub> = Ph, C<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-4, C<sub>6</sub>H<sub>4</sub>OMe-4) to give hydrazones RSO<sub>2</sub>NHN:CR<sub>2</sub>R<sub>3</sub>. Amines HNR<sub>4</sub>R<sub>5</sub> (R<sub>4</sub> = R<sub>5</sub> = Me, CH<sub>2</sub>CHMe<sub>2</sub>; R<sub>4</sub> = H, R<sub>5</sub> = CH<sub>2</sub>Ph; NR<sub>4</sub>R<sub>5</sub> = morpholino, pyrrolidino, piperidino) and I gave sulfonamides RSO<sub>2</sub>NR<sub>4</sub>R<sub>5</sub>. RSO<sub>2</sub>N<sub>3</sub> and RSO<sub>2</sub>NR<sub>4</sub>R<sub>5</sub> (R<sub>4</sub> = R<sub>5</sub> = Me; NR<sub>4</sub>R<sub>5</sub> = morpholino) were active against Escherichia coli and Staphylococcus aureus at 100 ppm. Several compds. were fungicides for Botrytis cinerea at 100 ppm.

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1980:121570 CAPLUS

DN 92:121570

TI Structure-activity relationships in a series of novel 3,4-dihydro-4-oxopyrimido[4,5-b]quinoline-2-carboxylic acid antiallergy agents

AU Althuis, T. H.; Kadin, S. B.; Czuba, L. J.; Moore, P. F.; Hess, H. J.

CS Cent. Res., Pfizer, Inc., Groton, CT, 06340, USA

SO Journal of Medicinal Chemistry (1980), 23(3), 262-9

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

IT 72786-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); R

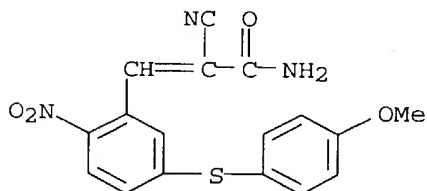
Patel

<4/28/2004>

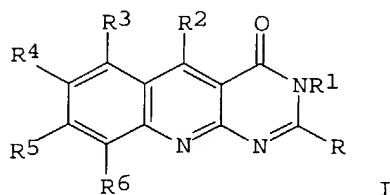
(Reactant or reagent)

(preparation and reduction of)

RN 72786-71-1 CAPLUS

CN 2-Propenamide, 2-cyano-3-[5-[(4-methoxyphenyl)thio]-2-nitrophenyl]- (9CI)  
(CA INDEX NAME)

GI



I

AB The title compds. I [R = H, Me, CO<sub>2</sub>Et, CO<sub>2</sub>H, CONH<sub>2</sub>, etc., R<sub>1</sub> = H, Me, CH<sub>2</sub>CO<sub>2</sub>Et, or (CH<sub>2</sub>)<sub>3</sub> CO<sub>2</sub>Et; R<sub>2</sub> = H or Ph; R<sub>3</sub> = H, Cl, or MeO; R<sub>4</sub> and R<sub>5</sub> = H, F, MeO, etc; R<sub>6</sub> = H or MeO] were prepared by condensation of the appropriate aminoquinolinecarboxamide (intermediate) with dialkyl oxalates or alkyl oxamates. The intermediates were prepared by base-catalyzed condensation of o-aminobenzaldehydes with 2-cyanoacetamide [107-91-5] or Knoevenagel condensation of o-nitroaldehydes with cyanoacetamide. The ability of I to interfere with the passive cutaneous anaphylaxis reaction was measured in male rats. Some I had i.v. potencies 100-400 times that of disodium cromoglycate (DSCG), and unlike DSCG which is inactive orally, some I possessed oral activity. Et 7-ethoxy-3,4-dihydro-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate [55149-13-8] and Et 3,4-dihydro-7-hydroxy-8-methoxy-4-oxopyrimido[4,5-b]quinoline-2-carboxylate trifluoroacetate salt [58662-62-7] were the most effective. A CO<sub>2</sub>H in position 2 afforded optimal activity and esters showed good oral absorption. Structure-activity relations are discussed.

=&gt; d 15 fbib hitstr abs total

L5 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:182229 CAPLUS

DN 140:222903

TI Skin lightening compositions comprising coumarins

IN Harichian, Bijan; Barratt, Michael James; Bosko, Carol Annette

PA Unilever Home &amp; Personal Care Usa, Division of Conopco, Inc., USA

SO U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DT Patent

Patel

&lt;4/28/2004&gt;

LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | US 2004042983   | A1   | 20040304 | US 2002-227642  | 20020823 |
|    | WO 2004017936   | A1   | 20040304 | WO 2003-EP8845  | 20030808 |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |

US 2002-227642 A 20020823

OS MARPAT 140:222903

AB Skin lightening compns. comprise coumarin derivs. and a cosmetic vehicle. Thus, a resorcinol amide was prepared by the reaction of 7-hydroxycoumarin with methylamine. A formulation contained the above amide 0.05%..

L5 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:60271 CAPLUS

DN 140:111196

TI Preparation of 2-amino-9-(2-hydroxymethylcyclopropylidenemethyl)-purines as antiviral agents

IN Zemlicka, Jiri; Drach, John C.

PA Wayne State University, USA; The Regents of the University of Michigan

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA English

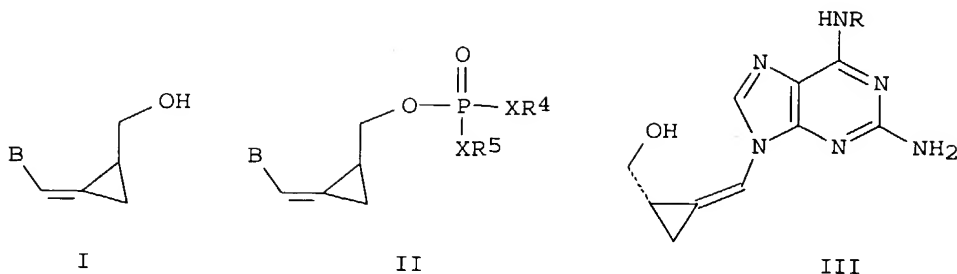
FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2004006867   | A2   | 20040122 | WO 2003-US7909  | 20030313 |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |

US 2002-364495PP 20020315

OS MARPAT 140:111196

GI



AB Synthesis and antiviral activity of title compds. I and II [B = 2-aminopurine-9-yl, which may be unsubstituted or substituted in the 6 position with NHR<sub>1</sub>, OR<sub>2</sub>, or SR<sub>3</sub>; R<sub>1</sub> = alkyl, alkenyl, alkynyl, cycloalkyl, which may be optionally substituted with one or more members of the group consisting of OH, halo, amino, acyl, cycloalkyl, heterocyclyl and aryl; R<sub>2</sub>, R<sub>3</sub> = alkyl, alkenyl, alkynyl, cycloalkyl, any of which may be branched or unbranched and optionally substituted with hydroxy, halo, amino, acyl, cycloalkyl, heterocyclyl, and aryl; X = O; R<sub>4</sub>, R<sub>5</sub> = alkyl, aryl; R<sub>4</sub>X and/or R<sub>5</sub>X may also be amino acid residues with X = NH] are disclosed. The compds. of the present invention also include the R- and S-enantiomers of the above compds. Thus, III [R = allyl (IV)] is prepared by reacting III (R = H) with allylamine in ethanol. IV demonstrated an IC<sub>50</sub> = 0.18  $\mu$ M against human cytomegalovirus.

L5 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:20677 CAPLUS

DN 140:59510

TI Preparation of N-alkenyl carboxamide inhibitors of HCV NS5b polymerase for treating hepatitis C viral infections and associated diseases

IN Gao, Hua; Greene, Meredith L.; Gross, Rebecca J.; Nugent, Richard A.; Pfefferkorn, Jeffrey

PA Pharmacia & Upjohn Company, USA; Finzel, Barry C.

SO PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2004002977   | A1   | 20040108 | WO 2003-US20488 | 20030630 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |

US 2002-392759PP 20020701

OS MARPAT 140:59510

AB The present invention provides YC(O)N(R<sub>2</sub>)C(C(O)R<sub>3</sub>):C(R<sub>1</sub>)AXZ (I; variables defined below; e.g. (2Z)-2-[(2-furoyl)amino]-3-(5-phenyl-2-furyl)prop-2-

Patel

<4/28/2004>

enoic acid (II)), compns. and methods that are useful for treating viral infections and associated diseases, particularly HCV infections and associated diseases. 20 Examples of I were evaluated for inhibition of HCV NS5b RNA dependent RNA polymerase activity; e.g. II exhibited IC50 <10 µM. Although the methods of preparation are not claimed, 13 example preps. are included. For example, II was prepared in 2 steps starting from N-2-furoylglycine and 5-phenyl-2-furaldehyde in Ac2O/NaOAc and involving (4Z)-2-(2-furyl)-4-[(5-phenyl-2-furyl)methylene]-1,3-oxazol-5(4H)-one as an intermediate. For I: X = a covalent bond, NR2, S, O, C(O), C(R2)(R'2), CF2, CCl2, CR2OR'2, CR2NR'2, SO, and SO2; Y = (un)substituted alkyl, (un)substituted heteroalkyl, (un)substituted aryl, (un)substituted heteroaryl and (un)substituted heteroalkyl; Z = (un)substituted aryl and (un)substituted heteroaryl; any two adjacent substituents of Y or Z may be taken together to form a fused carbocyclic or heterocyclic ring = 5-7 members. R1 = H, alkyl, hetero-C1-C8 alkyl, halogen, CN, halo C1-C8 alkyl, aryl, heteroaryl, cyclo-C1-C8 alkyl and ara-C1-C8 alkyl; R2 is H, C1-C8-alkyl, C1-C8-alkenyl, hetero C1-C8 alkyl, C1-C8 cycloalkyl, heterocyclo C1-C8 alkyl, aryl, ara-C1-C8 alkyl, heteroaryl or heteroaralkyl; R3 = H, OH, OR2, N(R2)(R'2) and N(R2)-T-W where T = (un)substituted alkyl or cycloalkyl of 1-8 carbons; W = OH, N(R2)(R'2), CON(R2)(R'2), OCON(R2)(R'2), NCON(R2)(R'2) and CO2R2; A = (un)substituted aromatic or heteroarom. ring of 5 or 6 members; the substituents X and R1-double bond (R1C:) are connected to A in a 1,2, 1,3 or 1,4 spatial relation; the substituents A and COR3 have an E (trans) configuration with respect to the double bond to which they are attached.

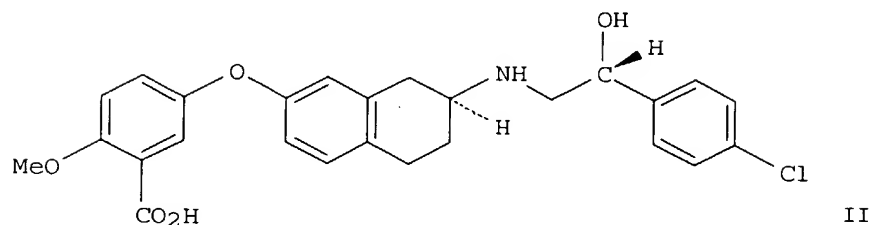
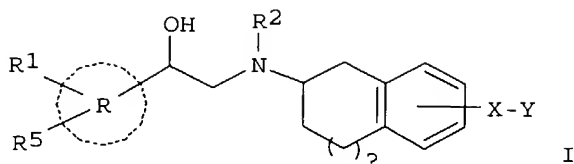
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:737720 CAPLUS  
DN 139:261169  
TI Preparation of aryl and/or pyridinyl aminoalcohol derivatives as selective  
β3 adrenergic receptor agonists useful against pollakiuria, urinary  
incontinence and other conditions  
IN Hattori, Kouji; Tomishima, Yasuyo; Nakajima, Yutaka; Imanishi, Masashi  
PA Fujisawa Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 140 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|---|------|----------|-----------------|------------|
| WO 2003076397   | A1   | 20030918 | WO 2003-JP2821  | 20030310   |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |            |
|   |      |          | AU 2002-1104    | A 20020314 |
|   |      |          | AU 2003-900127  | A 20030110 |

OS MARPAT 139:261169

GI



AB The present invention relates to aminoalcs. (shown as I; e.g. 5-[[[(7S)-7-[[[(2R)-2-(4-chlorophenyl)-2-hydroxyethyl]amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-2-methoxybenzoic acid hydrochloride (base shown as II); R = Ph, pyridinyl; R1 and R5 are each independently H, halogen, lower alkyl, etc.; R2 is H or an amino protective group; X is a bond, -O-O-, -O-CH2-, etc.; Y is substituted Ph, thienyl, pyridinyl, pyrazinyl, piperidinyl; and n is 0, 1 or 2) or a salt thereof. Compds. I and pharmaceutically acceptable salts thereof are useful for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence. The effect of II on the increase in intravesical pressure induced by carbachol in anesthetized dog was found to be a 54 % inhibition at 0.032 mg/kg. Forty-six example preps. of intermediates and 81 of I are included. For example, 3-[[[(7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]benzoic acid Me ester (240 mg) was prepared from (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (400 mg), Et3N (1 mL), (3-methoxycarbonylphenyl)boronic acid (400 mg) and Cu(OAc)2 (400 mg) in CH2Cl2 (10 mL). The reactant (7S)-7-[N-[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]-N-(tert-butoxycarbonyl)amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (12 g) was prepared from (7S)-7-[[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-2-hydroxy-5,6,7,8-tetrahydronaphthalene (10 g) and di-tert-Bu dicarbonate (8 g) in THF (100 mL).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:570940 CAPLUS  
DN **139:133345**  
TI Preparation of Phenyl(alkyl)carboxylic acid derivatives and analogs and their serum glucose and/or serum lipid lowering activity  
IN Giannessi, Fabio; Tassoni, Emanuela; Dell'Uomo, Natalina; Brunetti, Tiziana; Tinti, Maria Ornella; Arduini, Arduino; Pessotto, Pompeo  
PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

Patel

&lt;4/28/2004&gt;

SO PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DT Patent

LA English

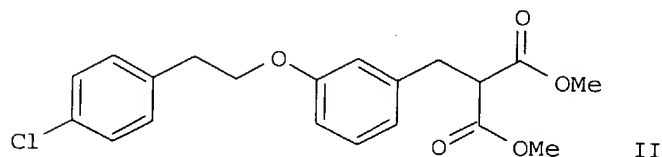
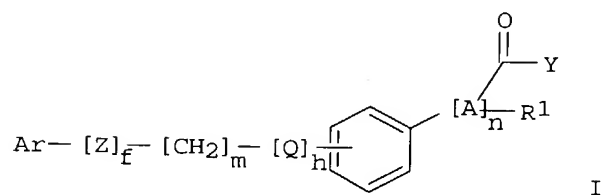
FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2003059864   | A2   | 20030724 | WO 2003-IT7     | 20030113 |
|    | WO 2003059864   | A3   | 20040129 |                 |          |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |      |          |                 |          |

OS MARPAT 139:133345

IT 2002-RM16 A 20020115

GI



AB Title compds. I [A = CH; alkanylidene with 2-4 C atoms, etc.; Ar = mono/bicyclic (hetero)aryl; f, h = 0-1; m = 0-3; n = 0-1 and if n = 0, R1 = absent and COY is directly bound to benzene; Q, Z = NH, O, S, NHCO, etc.; Y = OH, alkoxy, amino] are prepared. For instance, 3-hydroxybenzaldehyde is condensed with dimethylmalonate (HOAc, piperidine, 5 h) and the product reduced (MeOH, H2-10% Pd/C @ 50 psi, 18 h) to give II. II is capable of increasing glucose consumption in 3T3-L1 cells to a similar extent to that achieved by rosiglitazone. I are serum glucose and serum lipid lowering agents and are useful for the prophylaxis and treatment of diabetes, particularly type 2, and its complications, Syndrome X, the various forms of insulin resistance, and hyperlipidemias, and present reduced side effects, and, particularly, reduced or no liver toxicity.



L5 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:454275 CAPLUS  
 DN **139:36349**  
 TI Preparation of arylalkyl-urea/carbamates for treatment of inflammation, diabetes and related disorders  
 IN Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang  
 PA Calyx Therapeutics Inc., USA  
 SO PCT Int. Appl., 107 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|     | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|-----|--|------|----------|-----------------|----------|
| PI  | WO 2003048108  | A2   | 20030612 | WO 2002-US38150 | 20021127 |
|     | WO 2003048108  | A3   | 20031016 |                 |          |
| W:  | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,<br>PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,<br>TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,<br>MD, RU, TJ, TM |      |          |                 |          |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,<br>CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,<br>PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,<br>NE, SN, TD, TG  |      |          |                 |          |

US 2001-334818PP 20011129

OS MARPAT 139:36349  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1-7 = H, alkyl, chloroalkyl, alkenyl, etc.; R8-9 = H, alkyl, alkenyl, heteroaryl, etc.; R10-12 = H, alkyl, alkenyl, aryl, heteroaryl, etc.; X = O, N, S0-2, etc.; Y = O, S, NH; Z = alkoxy, alkyl, chloroalkyl, etc.] and related analogs are prepared For instance, 3-[3,5-dimethoxyphenyl]-2-[4-hydroxyphenyl]acrylic acid (preparation given) is reacted with 4-fluorobenzaldehyde (DMSO, KOBu-t, 100°, 5 h), the resulting aldehyde is reacted with triethylphosphonoacetate (THF, NaH), the disubstituted olefin is then selectively reduced (EtOH-dioxane, H2-Raney Ni), the ester reacted with urea (EtOH, NaOEt) and finally esterified to give II. A selected example compound has IC50 < 1 µM for PDE4 and IC50 = 13.6 µM for PDE3 and inhibits LPS-induced phosphorylation of p44/42 MAP kinase at 30 µM. I are effective inhibiting the cytokine-mediated inflammatory response in cultured cells, in ameliorating bone destruction, in an animal model of arthritis and in lowering blood glucose levels in animal models of Type II diabetes mellitus. I are also useful for a variety of treatments including the treatment of diabetes mellitus, insulin resistance, inflammation, inflammatory diseases, immunol. diseases and cancer.

L5 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:417639 CAPLUS

Patel

<4/28/2004>

DN 139:959  
 TI Remedies for urinary frequency  
 IN Maruyama, Takayuki; Nonaka, Shigeyuki; Yamamoto, Hiroshi; Kobayashi, Kaoru  
 PA Ono Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2

DT Patent  
 LA Japanese

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 2003043655   | A1   | 20030530 | WO 2002-JP12000 | 20021118 |
|    | W:  |      |          |                 |          |
|    | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, |      |          |                 |          |
|    | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, |      |          |                 |          |
|    | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, |      |          |                 |          |
|    | LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, |      |          |                 |          |
|    | PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, |      |          |                 |          |
|    | UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, |      |          |                 |          |
|    | RU, TJ, TM  |      |          |                 |          |
|    | RW:   |      |          |                 |          |
|    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, |      |          |                 |          |
|    | CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, |      |          |                 |          |
|    | PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, |      |          |                 |          |
|    | NE, SN, TD, TG  |      |          |                 |          |

JP 2001-353303 A 20011119

OS MARPAT 139:959

AB Remedies and/or preventives for urinary frequency which comprise a compound having an antagonism to the EP1 receptor which is one of prostaglandin E2 receptor subtypes. Because of having an antagonistic effect on the EP1 receptor which is one of PGE2 receptor subtypes and significantly regulating the urinary frequency in a urinary frequency-induced model, the compound having an antagonism to the EP1 receptor is efficacious in treating and/or preventing urinary frequency (neurogenic bladder, nervous bladder, sensitive bladder, labile bladder, urinary frequency associating hyperplasia, etc.).

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:368903 CAPLUS

DN 138:368609

TI Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for antitumor agents

IN Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DT Patent

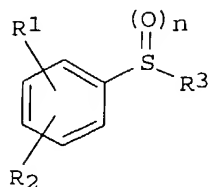
LA Japanese

FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
| PI | JP 2003137861 | A2   | 20030514 | JP 2001-340850  | 20011106 |
|    |               |      |          | JP 2001-340850  | 20011106 |

OS MARPAT 138:368609

GI



AB The compds. I [R1 = NO<sub>2</sub>, cyano, NH<sub>2</sub>, lower alkanoylamino, etc.; R2 = CR2a:CR2bCOR2c; R2a, R2b = H, (un)substituted lower alkyl; R2c = OH, (un)substituted lower alkoxy, amino, lower alkylamino, etc.; R3 = (un)substituted aryl; n = 1-2] or their pharmaceutically acceptable salts are prepared. A sulfide I (R1 = CH:CHCO<sub>2</sub>CMe<sub>3</sub> at p-position, R2 = NO<sub>2</sub> at m-position, R3 = p-MePh, n = 0) was treated with m-chloroperbenzoic acid in CH<sub>2</sub>Cl<sub>2</sub>-MeOH at room temperature for 1 h to give 81% I (R1 = CH:CHCO<sub>2</sub>CMe<sub>3</sub> at p-position, R2 = NO<sub>2</sub> at m-position, R3 = p-MePh, n = 1), showing good inhibitory activity against telomerase in human kidney cancer cell strain.

L5 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:348788 CAPLUS

DN 138:353993

TI Preparation of benzimidazole derivatives as prodrugs of proton pump inhibitors

IN Garst, Michael E.; Sachs, George; Shin, Jai Moo

PA Regents of the University of California, USA; The United States Department of Veteran Affairs; Winston Pharmaceuticals, LLC

SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

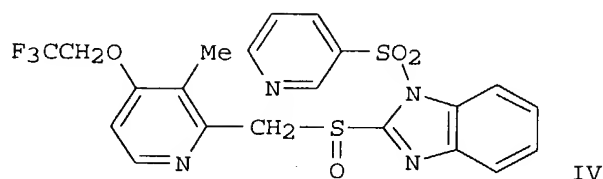
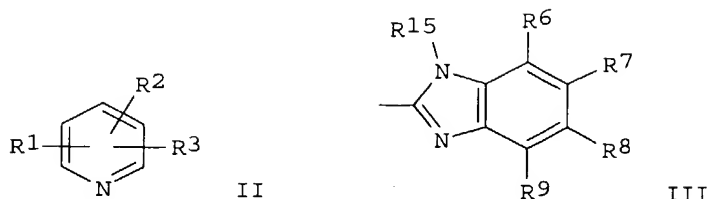
|    | PATENT NO.    | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|------|----------|------------------|----------|
| PI | US 6559167    | B1   | 20030506 | US 2001-783807   | 20010214 |
|    |               |      |          | US 1998-131481 A | 19980810 |
|    |               |      |          | US 1999-364381 B | 19990729 |
|    | US 6093734    | A    | 20000725 | US 1998-131481   | 19980810 |
|    | ZA 2001000560 | A    | 20010713 | ZA 2001-560      | 20010119 |
|    |               |      |          | US 1998-131481 A | 19980810 |

#### PATENT FAMILY INFORMATION:

FAN 2000:133673

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|--|------|----------|------------------|----------|
| PI | WO 2000009498  | A1   | 20000224 | WO 1999-US18048  | 19990809 |
|    | W:   |      |          |                  |          |
|    | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                  |          |
|    | RW:  |      |          |                  |          |
|    | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |      |          |                  |          |
|    |  |      |          | US 1998-131481 A | 19980810 |
|    |  |      |          | US 1999-364381 A | 19990729 |
|    | US 6093734   | A    | 20000725 | US 1998-131481   | 19980810 |

|  |                   |          |                  |          |
|--|-------------------|----------|------------------|----------|
| CA 2338311   | AA                | 20000224 | CA 1999-2338311  | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
| AU 9955518   | A1                | 20000306 | WO 1999-US18048W | 19990809 |
| AU 752292  | B2                | 20020912 | AU 1999-55518    | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| BR 9912937   | A                 | 20010508 | BR 1999-12937    | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| EP 1105387   | A1                | 20010613 | EP 1999-942057   | 19990809 |
| EP 1105387   | B1                | 20030129 |                  |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO |                   |          |                  |          |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| NZ 510180  | A                 | 20021126 | NZ 1999-510180   | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| AT 231857  | E                 | 20030215 | AT 1999-942057   | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| JP 2003534232  | T2                | 20031118 | JP 2000-564950   | 19990809 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| ZA 2001000560  | A                 | 20010713 | ZA 2001-560      | 20010119 |
|  |                   |          | US 1998-131481 A | 19980810 |
| BG 105191  | A                 | 20011231 | BG 2001-105191   | 20010126 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| FI 2001000248  | A                 | 20010209 | FI 2001-248      | 20010209 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| NO 2001000693  | A                 | 20010305 | NO 2001-693      | 20010209 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| HR 2001000106  | A1                | 20020228 | HR 2001-106      | 20010209 |
|  |                   |          | US 1998-131481 A | 19980810 |
|  |                   |          | US 1999-364381 A | 19990729 |
|  |                   |          | WO 1999-US18048W | 19990809 |
| OS   | MARPAT 138:353993 |          |                  |          |
| GI   |                   |          |                  |          |



AB The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepared. Thus, reacting 2-([3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl)sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compound IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The generation of the proton pump inhibitor drugs from the prodrugs of the invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.g., ulcers). Biol. data for compds. I were given.

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:315967 CAPLUS

DN 138:287410

TI Preparation of 3-phenylacrylamides and analogs as inhibitors of cyclooxygenase II

IN Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert; Pascual Avellana, Jaime

PA Laboratorios Menarini, S.A., Spain

SO Span., 27 pp.

CODEN: SPXXAD

DT Patent

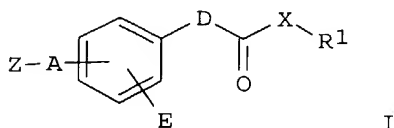
LA Spanish

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | ES 2164564 | A1   | 20020216 | ES 1999-2287    | 19991018 |
|    | ES 2164564 | B1   | 20030216 |                 |          |

OS MARPAT 138:287410  
GI

ES 1999-2287 19991018



AB Carboxylic acids, amides and esters I [D = (alkyl)eth(en)ylene or ethynylene; A = CO, O, S, NH; X = NH or alkylimino; E = halo, alk(en)(yn)yl, cycloalkyl, cycloalkylalkyl, arylalkyl, haloalkyl, acyl, etc.; Z = (un)substituted Ph, pyridyl, furyl or thienyl; R1 = H, alkyl or phenylalkyl] or their pharmaceutically-acceptable salts were prepared as inhibitors of cyclooxygenase II for treatment of inflammation, pain, fever, colorectal cancer, and Alzheimer's disease. Thus, 3-(3-benzoyl-5-ethyl)acrylamide was prepared by a multistep sequence starting from Me 5-aminoisophthalate and involving reaction of 3-bromo-5-ethylbenzophenone with acrylamide in the final step.

L5 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:716124 CAPLUS

DN 137:242190

TI Remedies for depression containing prostaglandin E2 receptor subtype EP1 antagonist as the active ingredient

IN Nonaka, Shigeyuki; Maruyama, Takayuki

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 269 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 1

| PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|---------------|--|----------|------------------|----------|
| WO 2002072145 | A1   | 20020919 | WO 2002-JP2359   | 20020313 |
| W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
| RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
| EP 1369129    | A1   | 20031210 | JP 2001-73011 A  | 20010314 |
| R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          | EP 2002-705130   | 20020313 |
|               |  |          | JP 2001-73011 A  | 20010314 |
|               |  |          | WO 2002-JP2359 W | 20020313 |

OS MARPAT 137:242190

AB Disclosed are remedies and/or preventives for depression containing as the active ingredient a compound (an EP1 antagonist) having antagonism to EP1 receptor which is one of prostaglandin E2 receptor subtypes. The EP1

antagonist is useful in treating depression (for example, endogenous depression, reactive depression, weatherproof depression, neurogenic depression, depression associating organic mental disorder). A capsule containing  
 6-[(2S,3S)-3-(4-chloro-2-methylphenylsulfonylaminomethyl)-bicyclo[2.2.2]octane-2-yl]-5Z-hexenoic acid 100 mg, and a tablet containing  
 4-[2-[N-isopropyl-N-(5-methyl-2-furysulfonyl)amino]-5-trifluoromethylphenoxyethyl]benzoic acid 100 mg were prepared

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:184867 CAPLUS  
 DN **136:236663**  
 TI Hair and skin compositions containing a dibenzoylmethane derivative and an  $\alpha$ -alkylstyrene dimer  
 IN Forestier, Serge  
 PA L'Oreal, Fr.  
 SO PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE       |
|----|---|------|----------|-----------------|------------|
| PI | WO 2002019979   | A2   | 20020314 | WO 2001-FR2655  | 20010823   |
|    | WO 2002019979   | A3   | 20020815 |                 |            |
|    | W: JP, US   |      |          |                 |            |
|    | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR    |      |          |                 |            |
|    |   |      |          | FR 2000-11304   | A 20000905 |
|    |   |      |          | FR 2000-16791   | A 20001221 |
|    | FR 2813526  | A1   | 20020308 | FR 2000-11304   | 20000905   |
|    | FR 2813527  | A1   | 20020308 | FR 2000-16791   | 20001221   |
|    | FR 2813527  | B1   | 20040123 |                 |            |
|    |   |      |          | FR 2000-11304   | A 20000905 |
|    | EP 1367986  | A2   | 20031210 | EP 2001-963119  | 20010823   |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR |      |          |                 |            |
|    |   |      |          | FR 2000-11304   | A 20000905 |
|    |   |      |          | FR 2000-16791   | A 20001221 |
|    |   |      |          | WO 2001-FR2655  | W 20010823 |
|    | US 2003165443   | A1   | 20030904 | US 2003-129483  | 20030319   |
|    |   |      |          | FR 2000-11304   | A 20000905 |
|    |   |      |          | FR 2000-16791   | A 20001221 |
|    |   |      |          | WO 2001-FR2655  | W 20010823 |

OS MARPAT 136:236663

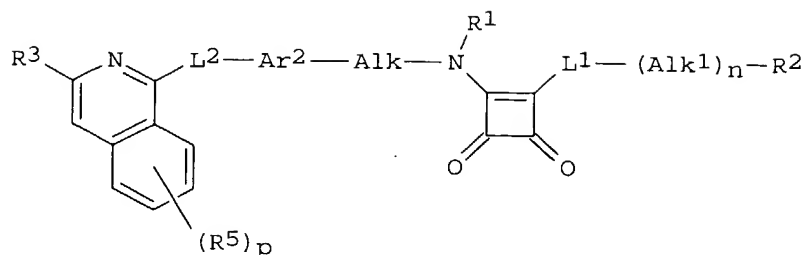
AB The invention concerns a cosmetic or dermatol. composition, for topical use, in particular for solar protection of the skin and hair. The invention is characterized in that it comprises in a cosmetically acceptable carrier:  
 (a) 0.1 to 20 weight of a UV filter derived from dibenzoylmethane; and (b) 0.1 to 20 weight of a particular  $\alpha$ -alkylstyrene dimer. The invention also concerns a novel method for enhancing the stability of at least a dibenzoylmethane derivative towards UV radiation which consists in associating with said dibenzoylmethane derivative an efficient amount of at least a particular  $\alpha$ -alkylstyrene dimer. A composition contained ethoxylated polydimethylmethylceylmethylsiloxane 2, phenyltrimethylsiloxanytrisiloxane 3, Witconol TN 8, drometrizole trisiloxane 2, butylmethoxydibenzoylmethane

2, an  $\alpha$ -alkylstyrene dimer, 6, titanium oxide 3, glycerin 5, magnesium sulfate 0.7, preservatives q.s., and water q.s. 100 g.

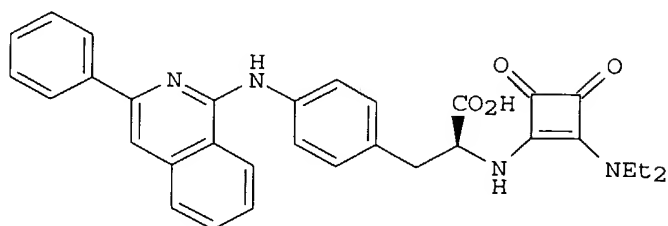
L5 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:107317 CAPLUS  
 DN **136:167287**  
 TI Preparation of novel 3-substituted isoquinolin-1-yl derivatives of squaric acid amides as selective  $\alpha$ 4-integrin inhibitors  
 IN Head, John Clifford; Porter, John Robert; McKay, Catherine  
 PA Celltech R & D Limited, UK  
 SO PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.        | KIND   | DATE     | APPLICATION NO.  | DATE       |
|----|-------------------|--|----------|------------------|------------|
| PI | WO 2002010136     | A1   | 20020207 | WO 2001-GB3429   | 20010730   |
|    | W:                | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |            |
|    | RW:               | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
|    |                   |  |          | GB 2000-18969    | A 20000802 |
|    |                   |  |          | GB 2000-28837    | A 20001127 |
|    | EP 1305291        | A1   | 20030502 | EP 2001-953234   | 20010730   |
|    | R:                | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                  |            |
|    |                   |  |          | GB 2000-18969    | A 20000802 |
|    |                   |  |          | GB 2000-28837    | A 20001127 |
|    | JP 2004505110     | T2   | 20040219 | WO 2001-GB3429 W | 20010730   |
|    |                   |  |          | JP 2002-516268   | 20010730   |
|    |                   |  |          | GB 2000-18969    | A 20000802 |
|    |                   |  |          | GB 2000-28837    | A 20001127 |
|    | US 6469025        | B1   | 20021022 | WO 2001-GB3429 W | 20010730   |
|    | US 2002177605     | A1   | 20021128 | US 2001-920206   | 20010801   |
|    |                   |  |          | GB 2000-18969    | A 20000802 |
|    |                   |  |          | GB 2000-28837    | A 20001127 |
| OS | MARPAT 136:167287 |  |          |                  |            |
| GI |                   |  |          |                  |            |





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II

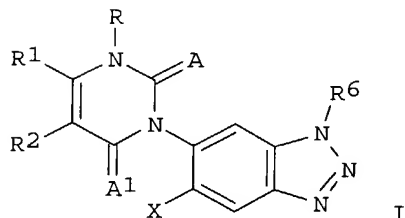
AB Squaric acid derivs. I are described [wherein: R1 = H or C1-6 alkyl; L1 = covalent bond or linker atom or group; Alk1 = (un)substituted aliphatic chain; n = 0 or 1; R2 = H or (un)substituted heteroaliph., (hetero)cycloaliph., (hetero)polycycloaliph., (hetero)aromatic; Alk = CH2CH(R), CH:C(R), CH(CH2R), C(:CHR); R = CO2H or derivative or biostere thereof; Ar2 = (un)substituted (hetero)aromatic linker; L2 = covalent bond or linker atom or group; R3 = L3(Alk2)mL4R4; L3, L4 = covalent bond or linker atom or group; m = 0 or 1; Alk2 = (un)substituted (hetero)aliphatic chain; R4 = (un)substituted (hetero)aromatic group; p = 0-5; R5 = H, halo, (un)substituted alkyl, alkoxy, (hetero)aromatic, SH, OH, (un)substituted NH2, etc.; including salts, solvates, hydrates, and N-oxides]. The compds. are able to inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders, or disorders including the inappropriate growth or migration of cells. In particular, the compds. are selective inhibitors of  $\alpha 4$  integrins. Approx. 50 compds. I were prepared For instance, mono-amidation of the squarate diester 3,4-diisopropoxy-3-cyclobutene-1,2-dione with a corresponding amino acid ester (84%), followed by a second amidation with diethylamine (85%), and alkaline hydrolysis of the ester function (67%), gave title compound II. In bioassays against several integrins, the example compds. generally had IC50 values of  $\leq 1 \mu\text{M}$  against  $\alpha 4\beta 1$  and  $\alpha 4\beta 7$  integrins, but IC50  $\geq 50 \mu\text{M}$  against  $\alpha 5\beta 1$ ,  $\alpha \text{m}\beta 2$ , and  $\alpha \text{IIb}\beta 3$  integrins.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:72034 CAPLUS  
DN 136:118463  
TI Preparation of 1-alkyl-3-[1-(substituted phenyl)benzotriazol-6-yl]uracils as herbicides  
IN Diehl, Robert E.; Trotto, Susan; Guaciario, Michael; Wepplo, Peter  
PA Basf Aktiengesellschaft, Germany  
SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.        | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|-------------------|--|----------|------------------|----------|
| PI | WO 2002006219     | A2   | 20020124 | WO 2001-EP8175   | 20010713 |
|    | WO 2002006219     | A3   | 20040219 |                  |          |
|    | W:                | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:               | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    | US 2002111274     | A1   | 20020815 | US 2000-218511PP | 20000715 |
|    |                   |  |          | US 2001-902875   | 20010711 |
|    |                   |  |          | US 2000-218511PP | 20000715 |
|    | AU 2001072543     | A5   | 20020130 | AU 2001-72543    | 20010713 |
|    |                   |  |          | US 2000-218511PP | 20000715 |
|    |                   |  |          | WO 2001-EP8175 W | 20010713 |
| OS | MARPAT 136:118463 |  |          |                  |          |
| GI |                   |  |          |                  |          |



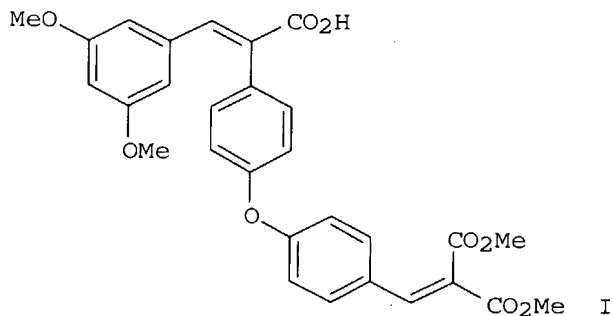
AB The title compds. [I; R = alkyl, haloalkyl, cycloalkyl, etc.; R1, R2 = H, halo, alkyl, etc.; X = H, halo; A, A1 = O, S; R6 = (un)substituted Ph], useful for the control of undesirable plant species, were prepared. Thus, reacting 5-fluoro-1-(2-methoxyphenyl)-1H-1,2,3-benzotriazol-6-amine with 2-(dimethylamino)-4-trifluoromethyl-6H-1,3-oxazine-6-one in AcOH (87%) followed by methylation of the resulting pyrimidinedione with Me2SO4 (81%) afforded I [R = Me; R1 = CF3; R2 = H; A, A1 = O; X = F; R6 = 2-MeOC6H4] which showed 100% control of velvetleaf at 0.008 kg/ha.

L5 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:676588 CAPLUS  
 DN **135:221312**  
 TI Therapeutic uses of PPAR mediators as ABC-1 expression modulators, and preparation thereof  
 IN Jaye, Michael; Duverger, Nicolas; Searfoss, George; Minnich, Anne  
 PA Aventis Pharma Deutschland G.m.b.H., Germany  
 SO PCT Int. Appl., 176 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 1

|         | PATENT NO.  | KIND   | DATE     | APPLICATION NO.   | DATE     |
|---------|---|--|----------|-------------------|----------|
| PI      | WO 2001066098   | A2   | 20010913 | WO 2001-EP2482    | 20010306 |
|         | WO 2001066098   | A3   | 20020404 |                   |          |
|         | W:  | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |          |
|         | RW:   | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         | BR 2001009107   | A  | 20021203 | BR 2001-9107      | 20010306 |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         | EP 1267874  | A2   | 20030102 | WO 2001-EP2482 W  | 20010306 |
|         | R:  | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          | EP 2001-956185    | 20010306 |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         | JP 2004500389   | T2   | 20040108 | WO 2001-EP2482 W  | 20010306 |
|         |   |  |          | JP 2001-564751    | 20010306 |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         | NO 2002004273   | A  | 20021007 | WO 2001-EP2482 W  | 20010306 |
|         |   |  |          | NO 2002-4273      | 20020906 |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         | US 2003220373   | A1   | 20031127 | WO 2001-EP2482 W  | 20010306 |
|         |   |  |          | US 2002-237578    | 20020909 |
|         |   |  |          | US 2000-188323PP  | 20000309 |
|         |   |  |          | GB 2000-13589 A   | 20000606 |
|         |   |  |          | WO 2001-EP2482 A1 | 20010306 |
| OS      | MARPAT 135:221312   |  |          |                   |          |
| AB      | The invention discloses the use of PPAR mediators, and their pharmaceutical compns., as ATP binding cassette transporter 1 (ABC-1) expression modulators, wherein the PPAR ligand receptor agonists of the invention are useful as inducers of ABC-1 expression. Preparation of compds. of the invention is included. Also disclosed are methods for treating e.g. low levels of HDL. |  |          |                   |          |
| L5      | ANSWER 16 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  |  |          |                   |          |
| AN      | 2001:359750 CAPLUS  |  |          |                   |          |
| DN      | <b>134:348284</b>   |  |          |                   |          |
| TI      | Phenyl compounds to treat diabetes and associated conditions  |  |          |                   |          |
| IN      | Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath; Medicherla, Satyanarayana   |  |          |                   |          |
| PA      | Calyx Therapeutics, Inc., USA   |  |          |                   |          |
| SO      | PCT Int. Appl., 47 pp.<br>CODEN: PIXXD2   |  |          |                   |          |
| DT      | Patent  |  |          |                   |          |
| LA      | English   |  |          |                   |          |
| FAN.CNT | 1   |  |          |                   |          |

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO.   | DATE     |
|----|---|------|----------|-------------------|----------|
| PI | WO 2001034094   | A2   | 20010517 | WO 2000-US30927   | 20001108 |
|    | WO 2001034094   | C2   | 20020725 |                   |          |
|    | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                   |          |
|    | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                   |          |
|    | US 6525093  | B1   | 20030225 | US 1999-436047 A  | 19991108 |
|    | AU 2001017607   | A5   | 20010606 | US 1999-436047    | 19991108 |
|    |   |      |          | AU 2001-17607     | 20001108 |
|    |   |      |          | US 1999-436047 A  | 19991108 |
|    |   |      |          | WO 2000-US30927W  | 20001108 |
|    | EP 1235785  | A2   | 20020904 | EP 2000-980331    | 20001108 |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |      |          |                   |          |
|    |   |      |          | US 1999-436047 A  | 19991108 |
|    |   |      |          | WO 2000-US30927W  | 20001108 |
|    | JP 2004503464   | T2   | 20040205 | JP 2001-536099    | 20001108 |
|    |   |      |          | US 1999-436047 A  | 19991108 |
|    |   |      |          | WO 2000-US30927W  | 20001108 |
|    | US 2002107285   | A1   | 20020808 | US 2002-75442     | 20020215 |
|    |   |      |          | US 1999-436047 A3 | 19991108 |
| OS | MARPAT 134:348284   |      |          |                   |          |
| GI |   |      |          |                   |          |



AB Ph compds. (Markush included) are provided that lower blood glucose concns., lower serum triglyceride concns., lower systolic blood pressure, and increase glucose uptake by adipose tissue, but do not affect the expression of PPAR- $\gamma$  by adipose tissue. Compds. of the invention include e.g. I.

L5 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:824129 CAPLUS

DN **134:507**

TI Anticancer agents containing prostaglandin E2 receptor subtype EP1 antagonists as the active ingredient

IN Wakabayashi, Keiji; Maruyama, Takayuki  
 PA Ono Pharmaceutical Co., Ltd., Japan; Japan as Represented by President of  
 National Cancer Center; The Organization for Pharmaceutical Safety and  
 Research  
 SO PCT Int. Appl., 30 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|--|----------|------------------|----------|
| PI | WO 2000069465 | A1   | 20001123 | WO 2000-JP3028   | 20000511 |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |               |  |          | JP 1999-131195 A | 19990512 |

OS MARPAT 134:507

AB Disclosed are preventives and/or remedies for cancer which contain prostaglandin E2 receptor subtype EP1 antagonists as the active ingredient. Because of showing effects of inhibiting the formation of intestinal polyps and adenomas and inhibiting breast cancer, EP1 antagonists typified by 6-[(2S,3S)-3-(4-chloro-2-methylphenylsulfonylaminomethyl)-bicyclo[2.2.2]octan-2-yl]-5Z-hexenoic acid and 4-[2-[N-isobutyl-N-(2-furylsulfonyl)amino]-5-trifluoromethylphenoxymethyl]cinnamic acid are useful in treating and/or preventing cancer.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 476 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

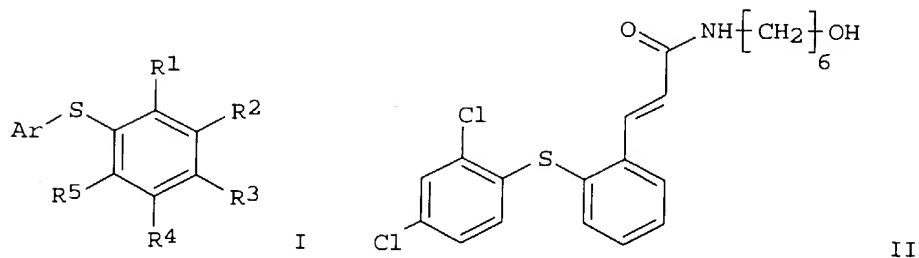
|    | PATENT NO.    | KIND  | DATE     | APPLICATION NO. | DATE     |
|----|---------------|---|----------|-----------------|----------|
| PI | WO 2000059880 | A1  | 20001012 | WO 2000-US8895  | 20000403 |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, |          |                 |          |

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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 US 1999-474517 A 19991229  
 US 2000-541795 A 20000331  
 EP 1165505 A1 20020102  
 EP 2000-921654 20000403  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 WO 2000-US8895 W 20000403  
 BR 2000-9426 20000403  
 US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 US 2000-541795 A 20000331  
 WO 2000-US8895 W 20000403  
 BR 2000009426 A 20020409  
 US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 WO 2000-US8895 W 20000403  
 EE 2001-513 20000403  
 US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 US 2000-541795 A 20000331  
 WO 2000-US8895 W 20000403  
 EE 200100513 A 20021216  
 US 1999-286645 A 19990402  
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 NO 2001-4767 20011001  
 US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 WO 2000-US8895 W 20000403  
 BG 2001-106029 20011018  
 US 1999-286645 A 19990402  
 US 1999-474517 A 19991229  
 US 2000-541795 A 20000331  
 WO 2000-US8895 W 20000403  
 HR 2001-776 20011023  
 US 1999-286645 A 19990402  
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 US 2000-541795 A 20000331  
 WO 2000-US8895 W 20000403  
 ZA 2001-8944 20011030  
 US 1999-286645 A 19990402  
 NO 2001004767 A 20011130  
 BG 106029 A 20020531  
 HR 2001000776 A1 20021231  
 ZA 2001008944 A 20030702

OS MARPAT 133:296281  
 GI



AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO<sub>2</sub>, CHO, and least one of R1 or R3 is an (un)substituted

cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 µM. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 µM and 0.6 µM, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:457022 CAPLUS  
DN **133:89514**  
TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds  
IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;  
Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;  
Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.  
PA Abbott Laboratories, USA  
SO PCT Int. Appl., 400 pp.  
CODEN: PIXXD2  
DT Patent  
LA English

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO.  | DATE     |
|---|------|----------|------------------|----------|
| WO 2000039081   | A2   | 20000706 | WO 1999-US31162  | 19991229 |
| WO 2000039081   | A3   | 20010525 |                  |          |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG |      |          |                  |          |
| US 6110922  | A    | 20000829 | US 1998-222491 A | 19981229 |
| CA 2356320  | AA   | 20000706 | US 1998-222491   | 19981229 |
|   |      |          | CA 1999-2356320  | 19991229 |
|   |      |          | US 1998-222491 A | 19981229 |
|   |      |          | WO 1999-US31162W | 19991229 |
| EP 1140814  | A2   | 20011010 | EP 1999-966709   | 19991229 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |          |                  |          |
|   |      |          | US 1998-222491 A | 19981229 |
|   |      |          | WO 1999-US31162W | 19991229 |
| JP 2002533434   | T2   | 20021008 | JP 2000-590994   | 19991229 |
|   |      |          | US 1998-222491 A | 19981229 |
|   |      |          | WO 1999-US31162W | 19991229 |
| EE 200100355  | A    | 20021015 | EE 2001-355      | 19991229 |
|   |      |          | US 1998-222491 A | 19981229 |

|               |    |          |                  |          |
|---------------|----|----------|------------------|----------|
| NZ 512687     | A  | 20031219 | WO 1999-US31162W | 19991229 |
|               |    |          | NZ 1999-512687   | 19991229 |
|               |    |          | US 1998-222491 A | 19981229 |
| NO 2001003241 | A  | 20010828 | WO 1999-US31162W | 19991229 |
|               |    |          | NO 2001-3241     | 20010628 |
|               |    |          | US 1998-222491 A | 19981229 |
| HR 2001000512 | A1 | 20020831 | WO 1999-US31162W | 19991229 |
|               |    |          | HR 2001-512      | 20010710 |
|               |    |          | US 1998-222491 A | 19981229 |
| BG 105732     | A  | 20020228 | WO 1999-US31162W | 19991229 |
|               |    |          | BG 2001-105732   | 20010725 |
|               |    |          | US 1998-222491 A | 19981229 |
|               |    |          | WO 1999-US31162W | 19991229 |

OS MARPAT 133:89514

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. containing these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepared by standard methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4μM.

L5 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:150474 CAPLUS

DN **132:180377**

TI Preparation of naphthalenes and their intermediates

IN Mizufune, Hideya; Nakamura, Minoru

PA Takeda Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DT Patent

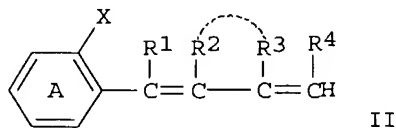
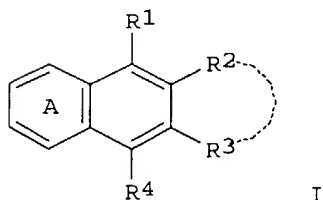
LA Japanese

FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------|------|----------|-----------------|----------|
| PI | JP 2000072696 | A2   | 20000307 | JP 1998-244484  | 19980831 |
|    |               |      |          | JP 1998-244484  | 19980831 |

OS CASREACT 132:180377; MARPAT 132:180377

GI





AB Title compds. I [A = (un)substituted benzene ring; R1 = H, (un)substituted lower alkyl; R2, R3 = C-containing group; R4 = (un)substituted cyclic group; R2R3 may form ring] or their salts are prepared by cyclization of II [R1-R4, A = same as I; X = halo, (un)substituted benzenesulfonyl oxy] in the presence of Pd catalysts. 3-(4-Fluorobenzylidene)-4-(6-bromo-3,4-methylenedioxybenzylidene)-2,5-pyrrolidinedione (prepared from 6-bromo-3,4-methylenedioxybenzaldehyde and 3-(4-fluorobenzylidene)-2,5-pyrrolidinedione) was reacted in the presence of Pd acetate and Et3N in DMF at 100° for 2 h to give 73.7% 9-(4-fluorophenyl)-6H-1,3-benzodioxolo[5,6-f]isoindole-6,8(7H)-dione.

L5 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:144042 CAPLUS

DN 132:180568

TI Preparation of 3-arylpyrazoles as herbicides.

IN Schallner, Otto; Linker, Karl-Heinz; Kluth, Joachim; Drewes, Mark Wilhelm; Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo

PA Bayer A.-G., Germany

SO Ger. Offen., 20 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN. CNT 1

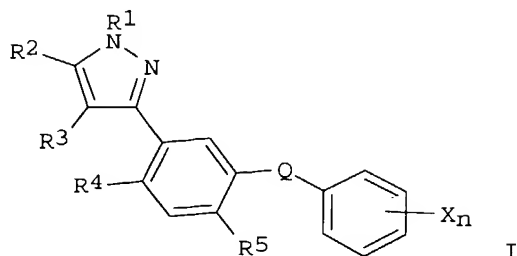
|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.   | DATE     |
|----|---------------|--|----------|-------------------|----------|
| PI | DE 19838706   | A1   | 20000302 | DE 1998-19838706  | 19980826 |
|    | CA 2341656    | AA   | 20000309 | CA 1999-2341656   | 19990813 |
|    |               |  |          | DE 1998-19838706A | 19980826 |
|    |               |  |          | WO 1999-EP5963 W  | 19990813 |
|    | WO 2000012480 | A2   | 20000309 | WO 1999-EP5963    | 19990813 |
|    | WO 2000012480 | A3   | 20000608 |                   |          |
|    | W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                   |          |
|    | RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                   |          |
|    | AU 9955165    | A1   | 20000321 | DE 1998-19838706A | 19980826 |
|    | AU 761661     | B2   | 20030605 | AU 1999-55165     | 19990813 |
|    |               |  |          | DE 1998-19838706A | 19980826 |
|    |               |  |          | WO 1999-EP5963 W  | 19990813 |
|    | BR 9913282    | A  | 20010515 | BR 1999-13282     | 19990813 |
|    |               |  |          | DE 1998-19838706A | 19980826 |
|    |               |  |          | WO 1999-EP5963 W  | 19990813 |
|    | EP 1107955    | A2   | 20010620 | EP 1999-941618    | 19990813 |
|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                   |          |
|    |               |  |          | DE 1998-19838706A | 19980826 |
|    |               |  |          | WO 1999-EP5963 W  | 19990813 |
|    | JP 2002525279 | T2   | 20020813 | JP 2000-571047    | 19990813 |
|    |               |  |          | DE 1998-19838706A | 19980826 |
|    |               |  |          | WO 1999-EP5963 W  | 19990813 |
|    | US 6495492    | B1   | 20021217 | US 2001-763429    | 20010220 |

US 6559102

B1 20030506

DE 1998-19838706A 19980826  
 WO 1999-EP5963 W 19990813  
 US 2002-279669 20021024  
 DE 1998-19838706A 19980826  
 WO 1999-EP5963 W 19990813  
 US 2001-763429 A320010220

OS MARPAT 132:180568  
 GI



AB Title compds. [I; n = 0-5; Q = O, S, SO, SO<sub>2</sub>, imino; R<sub>1</sub> = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl; R<sub>2</sub> = (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonylalkenyl, alkenyloxy, alkenylthio, alkynyl, alkynyloxy, alkynylthio, cycloalkyl, cycloalkylalkyl; R<sub>3</sub> = H, halo, (substituted) alkyl; R<sub>4</sub> = H, cyano, thiocarbamoyl, halo; R<sub>5</sub> = cyano, thiocarbamoyl, halo, (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; X = OH, amino, NO<sub>2</sub>, cyano, CO<sub>2</sub>H, carbamoyl, thiocarbamoyl, F, Cl, Br, iodo, (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, etc.], were prepared Thus, Et 2-(4-hydroxyphenoxy)propionate in Me<sub>2</sub>SO was treated with NaH and then with 4-bromo-3-(4-cyano-2,5-difluorophenyl)-5-difluoromethoxy-1-methyl-1H-pyrazole followed by 2.5 h stirring to give 56% Et 2-[4-[2-cyano-5-[4-bromo-5-(difluoromethoxy)-1-methyl-1H-pyrazol-3-yl]-4-fluorophenoxy]phenoxy]propionate. The latter showed strong herbicidal activity and was well tolerated by crop plants.

L5 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:795787 CAPLUS

DN **132:35700**

TI Preparation of benzamidine derivatives as activated blood coagulation factor X inhibitors

IN Nakagawa, Tadakiyo; Sagi, Kazuyuki; Yoshida, Kaoru; Fukuda, Yumiko; Shoji, Masataka; Takehana, Shunji; Kayahara, Takashi; Takahara, Akira

PA Ajinomoto Co., Inc., Japan

SO PCT Int. Appl., 143. pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 9964392  | A1   | 19991216 | WO 1999-JP3055  | 19990608 |
|    | W:  |      |          |                 |          |
|    | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, |      |          |                 |          |
|    | DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, |      |          |                 |          |
|    | JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, |      |          |                 |          |

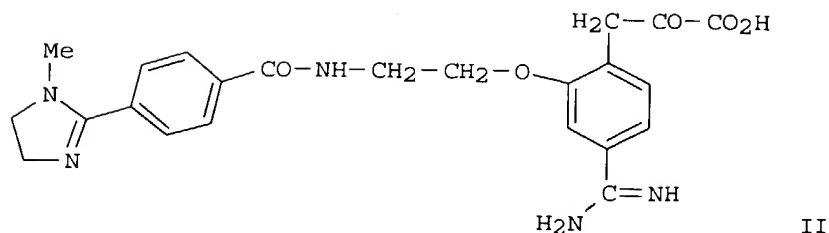
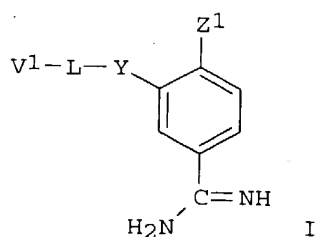
Patel

<4/28/2004>

MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

|               |    |          |   |
|---------------|----|----------|---|
| CA 2334476    | AA | 19991216 | JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>CA 1999-2334476 19990608<br>JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>AU 1999-40604 19990608                               |
| AU 9940604    | A1 | 19991230 | JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>EP 1999-923959 19990608  |
| AU 758567     | B2 | 20030327 | EP 1999-923959 19990608<br>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI<br>JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>US 2000-731729 20001208                    |
| EP 1086946    | A1 | 20010328 | JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>US 2000-731729 20001208  |
| US 2001056123 | A1 | 20011227 | JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>US 2000-731729 20001208  |
| US 6410538    | B2 | 20020625 | JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 W 19990608<br>US 2002-73985 20020214<br>JP 1998-159627 A 19980608<br>JP 1998-159628 A 19980608<br>WO 1999-JP3055 A119990608<br>US 2000-731729 A120001208 |
| US 2002107290 | A1 | 20020808 |   |

OS MARPAT 132:35700  
 GI



AB The title compds. I [L is CH<sub>2</sub>CH<sub>2</sub>, etc.; Z1 is CH:CHCOR<sub>2</sub>, etc.; R<sub>2</sub> is OH, etc.; Y is CH:CH, etc.; V1 is, for example, H, (un)substituted benzoyl, etc.; extensive details on V1 are given] are prepared I are useful as antithrombotics. In an in vitro test for inhibiting activity against activated blood coagulation factor X, the title compound II.2CF<sub>3</sub>CO<sub>2</sub>H showed pIC<sub>50</sub> of 8.1.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:424220 CAPLUS

DN **129:95327**

TI Preparation of sulfonamide and carboxamide derivatives as drugs  
IN Ohuchida, Shuichi; Nagao, Yuuki

PA Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki  
SO PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|--|------|----------|------------------|----------|
| PI | WO 9827053   | A1   | 19980625 | WO 1997-JP4593   | 19971212 |
|    | W: AU, CA, CN, HU, JP, KR, MX, NO, US                                  |      |          |                  |          |
|    | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                  |          |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    | TW 523506  | B    | 20030311 | TW 1997-86118583 | 19971210 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    | AU 9854115   | A1   | 19980715 | AU 1998-54115    | 19971212 |
|    | AU 733493  | B2   | 20010517 |                  |          |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    |  |      |          | WO 1997-JP4593 W | 19971212 |
|    | EP 947500  | A1   | 19991006 | EP 1997-947925   | 19971212 |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI  |      |          |                  |          |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    |  |      |          | WO 1997-JP4593 W | 19971212 |
|    | CN 1247529   | A    | 20000315 | CN 1997-181861   | 19971212 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    | JP 3426252   | B2   | 20030714 | JP 1998-527533   | 19971212 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    |  |      |          | WO 1997-JP4593 W | 19971212 |
|    | ZA 9711336   | A    | 19980625 | ZA 1997-11336    | 19971217 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    | KR 2000057576  | A    | 20000925 | KR 1999-705335   | 19990615 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    | NO 9902935   | A    | 19990816 | NO 1999-2935     | 19990616 |
|    |  |      |          | JP 1996-353818 A | 19961218 |
|    |  |      |          | JP 1997-305055 A | 19971021 |
|    |  |      |          | WO 1997-JP4593 W | 19971212 |
|    | MX 9905770   | A    | 20000228 | MX 1999-5770     | 19990618 |

US 6448290 B1 20020910

US 2003060460 A1 20030327

JP 1996-353818 A 19961218  
 JP 1997-305055 A 19971021  
 WO 1997-JP4593 W 19971212  
 US 1999-331327 19990618  
 JP 1996-353818 A 19961218  
 JP 1997-305055 A 19971021  
 WO 1997-JP4593 W 19971212  
 US 2002-207078 20020730  
 JP 1996-353818 A 19961218  
 JP 1997-305055 A 19971021  
 WO 1997-JP4593 W 19971212  
 US 1999-331327 A319990618

OS MARPAT 129:95327

GI For diagram(s), see printed CA Issue.

AB The title compds. (I; rings A and B represent each a carbocycle or a heterocycle; Z1 represents COR1, CH:CHCOR1, etc.; R1 represents OH, C1-4 alkoxy, etc.; Z2 represents H, alkyl, etc.; Z3 represents a single bond or alkylene; Z4 represents SO2 or CO; Z5 represents alkyl, Ph, a heterocycle, etc.; R2 represents CONR8, O, S, etc.; R8 represents H, C1-4 alkyl; R3 represents H, alkyl, halo, CF3, etc.; R4 represents H, optionally substituted alkyl, etc.; n, t = 1-4) are prepared I bind to prostaglandin E2 (PGE2) receptors and exert an antagonism. I have the effects of inhibiting uterine muscle contraction, analgesia, inhibiting digestive tract movement, hypnosis, enlarging vesical capacity, contracting the uterine, promoting the digestive tract movement, suppressing the secretion of gastric hydrochloric acid, lowering blood pressure, or diuresis. Thus, compound (II; W = Me) was treated with aqueous NaOH and followed by aqueous HCl to

give the title compound II (W = H), which showed Ki of 0.099  $\mu$ M against PGE2 receptors.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:208529 CAPLUS

DN 128:257229

TI Preparation of aryl-substituted acrylamides with leukotriene B4 (LTB-4) receptor antagonist activity

IN Greenspan, Paul David; Fujimoto, Roger Aki

PA Novartis A.-G., Switz.; Greenspan, Paul David; Fujimoto, Roger Aki

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

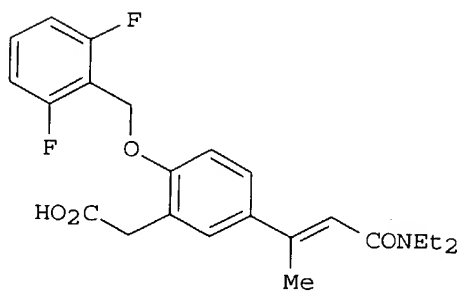
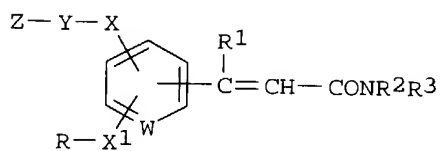
FAN.CNT 1

| PATENT NO. | KIND   | DATE     | APPLICATION NO.  | DATE     |
|------------|--|----------|------------------|----------|
| WO 9813347 | A1   | 19980402 | WO 1997-EP5255   | 19970924 |
| W:         | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
| RW:        | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                  |          |
| AU 9745573 | A1   | 19980417 | US 1996-27468P P | 19960926 |
|            |  |          | AU 1997-45573    | 19970924 |

Patel

&lt;4/28/2004&gt;

|   |    |          |                           |
|---|----|----------|---------------------------|
| EP 942903   | A1 | 19990922 | US 1996-27468P P 19960926 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI |    |          | WO 1997-EP5255 W 19970924 |
|   |    |          | EP 1997-943901 19970924   |
| JP 2001501202   | T2 | 20010130 | US 1996-27468P P 19960926 |
|   |    |          | WO 1997-EP5255 W 19970924 |
|   |    |          | JP 1998-515275 19970924   |
| US 6291530  | B1 | 20010918 | US 1996-27468P P 19960926 |
|   |    |          | WO 1997-EP5255 W 19970924 |
| OS  |    |          | US 1999-269251 19990323   |
| GI  |    |          | US 1996-27468P P 19960926 |
| MARPAT 128:257229   |    |          |                           |

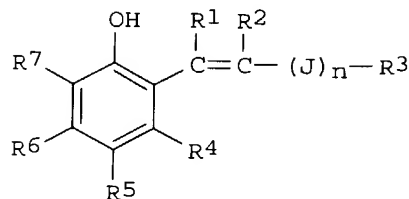


AB The title compds. [I; W = CH, N; R = (mono- or di-carbocyclic or heterocyclic aryl)-lower alkyl; R1 = H, lower alkyl; R2, R3 = H, lower alkyl, lower alkoxy-lower alkyl, aryl-lower alkyl; R2R3 = lower alkylene optionally interrupted by O, NH, N-lower alkyl or S; X = O, S, SO, SO2, a direct bond; X1 = O, S, SO, SO2, a direct bond; Y = a direct bond, lower alkylene, lower alkylidene; Z = COOH, 5-tetrazolyl, HOCH2, carboxyl derivatized in the form of a pharmaceutically acceptable ester], useful as LTB-4 antagonists, were prepared. Thus, treatment of a solution of (E)-[5-(2-diethylcarbamoyl-1-methylvinyl)-2-(2,6-difluorobenzoyloxy)phenyl]acetaldehyde (preparation described) and 2M isobutylene/THF in tBuOH with a solution of NaClO2 and NaH2PO4.H2O in H2O afforded the title compound (E)-II which showed IC50 of 87 nM against LTB-4 binding.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:106182 CAPLUS  
 DN **128:198602**  
 TI Silver halide photographic material with improved light fastness, tone,  
 and color formation  
 IN Nishijima, Toyoki  
 PA Konica Co., Japan  
 SO Jpn. Kokai Tokkyo Koho, 19 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

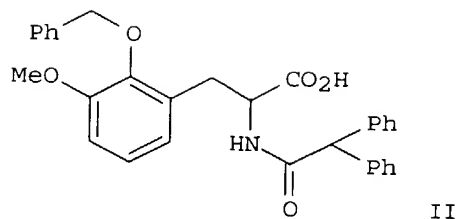
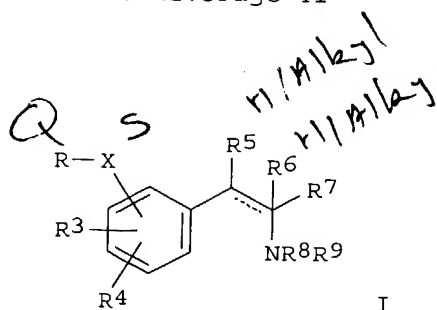
|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
| PI | JP 10039465       | A2   | 19980213 | JP 1996-193352  | 19960723 |
| OS | MARPAT 128:198602 |      |          | JP 1996-193352  | 19960723 |
| GI |                   |      |          |                 |          |



AB The material, which comprises a support and a Ag halide emulsion layer and a light insensitive layer on the support, contains a hydroxystyryl compound I (R1, R2 = H, aliphatic group, aryl; R3-7 = H, substituent;  $\geq 2$  of R4-7 may form a ring; J = divalent linkage; n = 0, 1) in  $\geq 1$  of the layers. The material shows improved light fastness, tone, and color formation.

L5 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:574515 CAPLUS  
 DN **127:220986**  
 TI Preparation of phenylalanine derivatives as endothelin antagonists  
 IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds, Jeremy John; Klutchko, Sylvester  
 PA Warner-Lambert Co., USA  
 SO U.S., 23 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
| PI | US 5658943        | A    | 19970819 | US 1995-369209  | 19950105 |
| OS | MARPAT 127:220986 |      |          | US 1995-369209  | 19950105 |
| GI |                   |      |          |                 |          |



AB Novel endothelin antagonists I [R = absent, Q; R1, R2 = independently H, lower alkyl, halo, OH, alkoxy, alkylthio, CN, amino, alkylamino, dialkylamino, acylamino, CF3, carboxy, carboalkoxy, hydroxyalkyl, aminoalkyl, NO2; R1R2 = OCH2O, OCH2CH2O; n = 0-4; X = absent, O, S(O)m, NH, N-alkyl; m = 0-2; R3, R4 = independently H, alkyl, OH, alkoxy, aryloxy, alkylthio, arylthio, alkyl-NH, dialkylamino, halo, Z(CH2)qCO2R11, Z(CH2)qOR11; Z = NH, S, O; q = 0-4; R11 = H, lower alkyl; R3R4 = OCH2O, OCH2CH2O; R5 = H, YR10; Y = O, S(O)m, NH, N-alkyl, (CH2)p; p = 0-3; R10 = alkyl, (un)substituted phenyl; R6 = H, alkyl, alkenyl, CH2Ph; R7 = hydroxyalkyl, CO2R6, CONR62, NHSO2-alkyl, NHSO2CF3, NHSO2-aryl, SO3R9, PO3R9, CONHSO2-alkyl, CONHSO2-aryl, CONH-tetrazole, tetrazole; R8 = H, alkyl, aryl, aralkyl, heteroaryl, COR14, aralkyl, diaralkyl, OR15, NR15R16; R9 = H, alkyl, (un)substituted Ph; R14 = alkyl, aryl; R15, R16 = independently H, alkyl, cycloalkyl, aryl, aralkyl] are described, as well as novel intermediates used in their preparation, methods for the preparation

and pharmaceutical compns. of the same, which are useful in treating elevated levels of endothelin, essential, renovascular, malignant and pulmonary hypertension, cerebral infarction, myocardial ischemia, cerebral ischemia, congestive heart failure and subarachnoid hemorrhage. Thus, acylation of 2-benzyloxy-3-methoxy-DL-phenylalanine with diphenylacetyl chloride gave phenylalanine derivative II. II and related phenylalanine derivs. showed endothelin receptor binding activity with IC50 = 1.0 to >25  $\mu$ M.

L5 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1997:358966 CAPLUS  
DN 126:331322  
TI Cinnamamides and their use as UV stabilizers  
IN Horn, Keith A.; Heath, Richard B.; Schwind, David B.  
PA Alliedsignal Inc., USA  
SO PCT Int. Appl., 30 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.  | DATE     |
|----|--|------|----------|------------------|----------|
| PI | WO 9713749   | A2   | 19970417 | WO 1996-US15429  | 19960925 |
|    | WO 9713749   | A3   | 19970626 |                  |          |
|    | W: CN, JP, KR  |      |          |                  |          |
|    | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                  |          |
|    | US 5888481   | A    | 19990330 | US 1995-536919 A | 19950929 |
|    | EP 871610  | A2   | 19981021 | US 1995-536919   | 19950929 |
|    | EP 871610  | B1   | 20011129 | EP 1996-945918   | 19960925 |



R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

|             |    |          |                           |
|-------------|----|----------|---------------------------|
| CN 1198152  | A  | 19981104 | US 1995-536919 A 19950929 |
|             |    |          | WO 1996-US15429W 19960925 |
| JP 11513435 | T2 | 19991116 | CN 1996-197317 19960925   |
|             |    |          | US 1995-536919 A 19950929 |
|             |    |          | JP 1996-515056 19960925   |
|             |    |          | US 1995-536919 A 19950929 |
| AT 209629   | E  | 20011215 | WO 1996-US15429W 19960925 |
|             |    |          | AT 1996-945918 19960925   |
|             |    |          | US 1995-536919 A 19950929 |
| TW 442524   | B  | 20010623 | WO 1996-US15429W 19960925 |
|             |    |          | TW 1996-85111823 19960926 |
|             |    |          | US 1995-536919 A 19950929 |

OS MARPAT 126:331322

AB The title cinnamamides are used as UV absorbers in a variety of engineered resins such as polyamides (especially nylon 6 and 66), polycarbonates, polyacetals, polysulfones, polyimides, polyaryletherketones, and polyesters, (especially PET and PBT), as well as, other polymers such as polyvinylchloride and polyolefins (polyethylenes and polypropylenes). M-xylylenediamine-N,N'-bis(2-cyano-3,3-diphenylpropenamide) was prepared by reaction of m-xylylenediamine and 2-cyano-3,3-diphenylpropenoyl chloride, and was used as a UV absorbent for nylon 6.

LS ANSWER 28 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:88731 CAPLUS

DN **126:104074**

TI Preparation of substituted phenol derivatives as blood sugar lowering agents

IN Takeno, Shuichi; Ikemoto, Tomoyuki; Saito, Isao; Watanabe, Kazuhiro

PA Sumitomo Metal Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 21 pp.

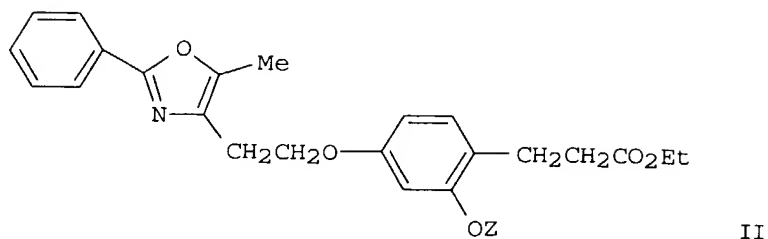
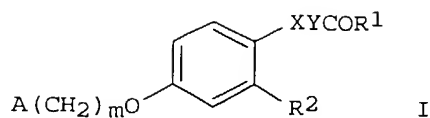
CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
|    | -----             | ---- | -----    | -----           | -----    |
| PI | JP 08325250       | A2   | 19961210 | JP 1995-133462  | 19950531 |
| OS | MARPAT 126:104074 |      |          | JP 1995-133462  | 19950531 |
| GI |                   |      |          |                 |          |



AB The title compds. (I; R<sup>1</sup> = OH, lower alkoxy, NH<sub>2</sub>, phenoxy, etc.; R<sup>2</sup> = OH, NO<sub>2</sub>, halo, etc.; A = N-containing heterocyclyl; XY = CH<sub>2</sub>CH<sub>2</sub>, CH:CH, OCH<sub>2</sub>, NHCH<sub>2</sub>; m = 0-2) are prepared. I, possessing blood sugar lowering property, are useful for prevention and treatment of hyperlipemia and diabetes mellitus. Thus, oxazole derivative (II, Z = H) (preparation given) was reacted with ClCH<sub>2</sub>OMe in the presence of EtN(i-Pr)<sub>2</sub> to give 63% the title compd II (Z = CH<sub>2</sub>OMe), which at 37 mg/kg/day showed blood sugar and triglyceride lowering results of -49% and -82% resp. when tested on mouse in vivo.

L5 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:531729 CAPLUS

DN **125:167598**

TI Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoates and analogs for treatment of keratinization disorders

IN Bernardon, Jean-Michel

PA Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA French

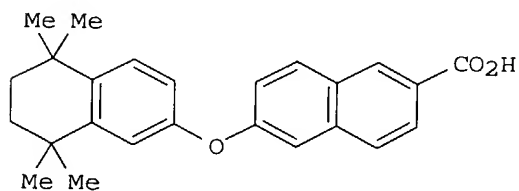
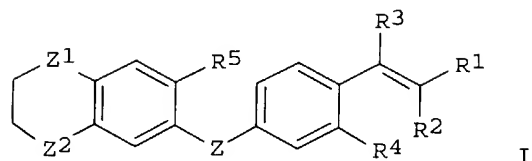
FAN.CNT 1

|    | PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE       |
|----|---|------|----------|-----------------|------------|
| PI | EP 722928                                     | A1   | 19960724 | EP 1995-120073  | 19951219   |
|    | EP 722928                                     | B1   | 19970806 |                 |            |
|    | R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE |      |          |                 |            |
|    | FR 2729664                                    | A1   | 19960726 | FR 1995-659     | A 19950120 |
|    | FR 2729664                                    | B1   | 19970221 | FR 1995-659     | 19950120   |
|    | AT 156474                                     | E    | 19970815 | AT 1995-120073  | 19951219   |
|    |   |      |          | FR 1995-659     | A 19950120 |
|    | ES 2111364                                    | T3   | 19980301 | ES 1995-120073  | 19951219   |
|    |   |      |          | FR 1995-659     | A 19950120 |
|    | AU 9640794                                    | A1   | 19960815 | AU 1996-40794   | 19960104   |
|    | AU 684405                                     | B2   | 19971211 |                 |            |
|    | CA 2167651                                    | AA   | 19960721 | FR 1995-659     | A 19950120 |
|    | CA 2167651                                    | C    | 20010313 | CA 1996-2167651 | 19960119   |
|    |   |      |          | FR 1995-659     | A 19950120 |

JP 08245475 A2 19960924  
 US 5763487 A 19980609  
 US 5985928 A 19991116  
 US 6156750 A 20001205

JP 1996-7863 19960119  
 FR 1995-659 A 19950120  
 US 1996-589388 19960122  
 FR 1995-659 A 19950120  
 US 1998-5601 19980109  
 FR 1995-659 A 19950120  
 US 1996-589388 A319960122  
 US 1999-229829 19990113  
 FR 1995-659 A 19950120  
 US 1996-589388 A319960122  
 US 1998-5601 A319980109

OS MARPAT 125:167598  
 GI

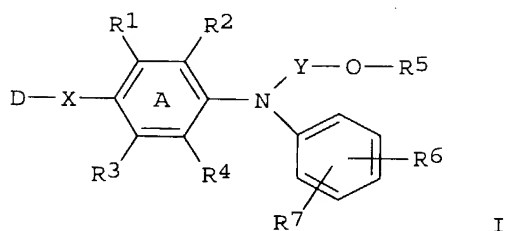


AB Title compds. [I; R1 = H, Me, alkoxy(methyl), alkanoyl, CO2H, etc.; R2 = H, alkyl, OH, alkoxy, etc.; R3 = H or alkyl; R2R3 = bond; R4 = H, alkyl, alkoxy, alkanoyloxy; R2R4 = CH:CH; R5 = H, halo, alkyl, alkoxy, etc.; Z = O, SOO-2, (alkyl)imino; Z1,Z2 = CH2, O, SOO-2, etc.] were prepared for treatment of keratinization disorders (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthol was etherified by Me 2-bromo-2-naphthoate to give title compound II.

L5 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1995:657646 CAPLUS  
 DN **123:69846**  
 TI Diphenylamine compounds  
 IN Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger  
 PA BASF A.-G., Germany  
 SO Ger. Offen., 11 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | DE 4335496 | A1   | 19950420 | DE 1993-4335496 | 19931019 |
|    | WO 9511278 | A1   | 19950427 | WO 1994-EP3330  | 19941010 |

W: JP, KR, US  
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  
 EP 724609 A1 19960807 DE 1993-4335496A 19931019  
 R: CH, DE, FR, GB, IT, LI, NL EP 1994-928882 19941010  
 JP 09505331 T2 19970527 DE 1993-4335496A 19931019  
 US 5696243 A 19971209 WO 1994-EP3330 W 19941010  
 OS MARPAT 123:69846 JP 1994-511265 19941010  
 GI DE 1993-4335496A 19931019  
 WO 1994-EP3330 W 19941010  
 US 1996-628641 19960419  
 DE 1993-4335496A 19931019  
 WO 1994-EP3330 W 19941010



AB The title compds. are described by the general formula I (the ring A may be benzoanellated; D = an aryl residue or a 5-membered aromatic ring which includes 1-3 heteroatoms selected from N, O, or S in a heterocyclic ring and which can be anellated with benzene, thiophene, pyridine, or pyrimidine rings; X = N:N or, when D = an aryl residue, CH:CH, or D-X is a 1,2,2-tricyanovinyl residue; R1-4 = independently selected H, C1-4 alkyl, C1-6 alkoxy, or halogen residues; R5 = prop-1-en-3-yl, acryloyl, or methacryloyl; R6 and R7 = independently selected H, C1-6 alkyl, C1-6 alkoxy, halogen, prop-1-en-3-yl, acryloyl, methacryloyl, or oxiranylmethoxy residues; and Y = a C1-20 alkylene group). The use of the compds., and of polymers containing them, for nonlinear optical applications is also described.

L5 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1995:207617 CAPLUS  
 DN 122:10065

TI Trisubstituted phenyl derivatives as phosphodiesterase inhibitors and processes for their preparation

IN Warreallow, Graham John; Cole, Valerie Anne; Alexander, Rikki Peter

PA Celltech Limited, UK

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

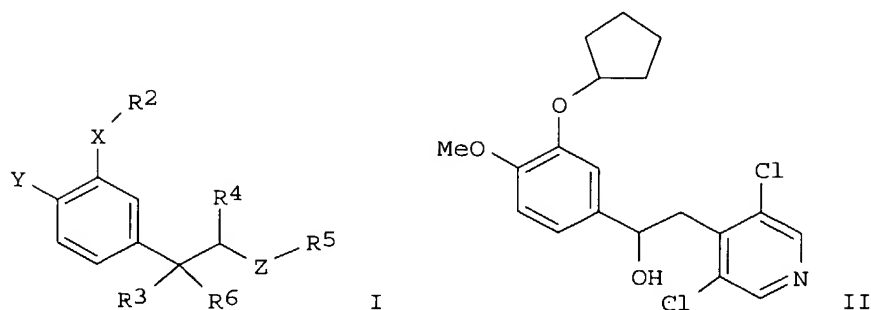
LA English

FAN.CNT 1

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

PI WO 9420446 A1 19940915 WO 1994-GB453 19940309  
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN  
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
GB 1993-4920 A 19930310  
CA 2135480 AA 19940915 CA 1994-2135480 19940309  
GB 1993-4920 A 19930310  
AU 9461489 A1 19940926 AU 1994-61489 19940309  
AU 675511 B2 19970206  
GB 1993-4920 A 19930310  
WO 1994-GB453 W 19940309  
EP 640065 A1 19950301 EP 1994-908454 19940309  
EP 640065 B1 20011017  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
GB 1993-4920 A 19930310  
WO 1994-GB453 W 19940309  
JP 08505158 T2 19960604 JP 1994-519748 19940309  
GB 1993-4920 A 19930310  
WO 1994-GB453 W 19940309  
AT 207051 E 20011115 AT 1994-908454 19940309  
GB 1993-4920 A 19930310  
WO 1994-GB453 W 19940309  
ES 2162623 T3 20020101 ES 1994-908454 19940309  
GB 1993-4920 A 19930310  
PT 640065 T 20020429 PT 1994-94908454 19940309  
GB 1993-4920 A 19930310  
US 5739144 A 19980414 US 1995-543962 19951017  
GB 1993-4920 A 19930310  
US 1994-208656 B1 19940309  
US 1995-384612 B1 19950202  
US 5962483 A 19991005 US 1998-8173 19980116  
GB 1993-4920 A 19930310  
US 1994-208656 B1 19940309  
US 1995-384612 B1 19950202  
US 1995-543962 A3 19951017

OS MARPAT 122:10065  
GI



AB Title compds. I [wherein Y = halo or OR<sub>1</sub>; R<sub>1</sub> = (un)substituted alkyl; X = O, S, or NR<sub>7</sub>, R<sub>7</sub> = H, alkyl; R<sub>2</sub> = (un)substituted cycloalkyl or

cycloalkenyl; R3, R4 = H, alkyl, CO2R8 (where R8 = H, alkyl, aryl, or aralkyl), CONR9R10 (where R9, R10 = H, alkyl, aryl or aralkyl), CSNR9R10, cyano, CH2CN; Z = (CH2)n (where n = 0-3); R5 = (un)substituted mono- or bicyclic aryl group optionally containing  $\geq 1$  heteroatom(s) selected from O, S, or N; R6 = H or OH] and the salts, solvates, hydrates, prodrugs and N-oxides thereof are disclosed. The compds. are potent and selective inhibitors of phosphodiesterase (PDE) type IV, and are useful in the prophylaxis and treatment of diseases such as asthma, where unwanted inflammatory responses or muscular spasms are present. For example, lithiation of 3,5-dichloro-4-methylpyridine with LDA in THF at -70°, followed by reaction with 3-cyclopentyloxy-4-methoxybenzaldehyde, gave title compound ( $\pm$ )-II. I are said to show concentration-dependent inhibition of recombinant PDE IV at 0.1-1000 nM with little or no activity against PDE I, II, III, or V at up to 100  $\mu$ M. Preps. of approx. 20 I and 20 intermediates, along with general ranges of results for addnl. biol. tests, are described.

L5 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:700595 CAPLUS  
 DN **121:300595**  
 TI Preparation of styryl derivatives as PDE-IV inhibitors  
 IN Warreallow, Graham John; Cole, Valerie Anne; Alexander, Rikki Peter  
 PA Celltech Ltd., UK  
 SO PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | WO 9420455  | A1   | 19940915 | WO 1994-GB452   | 19940309 |
|    | W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN |      |          |                 |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|    | CA 2135479  | AA   | 19940915 | GB 1993-4919    | 19930310 |
|    |   |      |          | CA 1994-2135479 | 19940309 |
|    | AU 9461488  | A1   | 19940926 | GB 1993-4919    | 19930310 |
|    | AU 677294   | B2   | 19970417 | AU 1994-61488   | 19940309 |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    |   |      |          | WO 1994-GB452   | 19940309 |
|    | EP 640070   | A1   | 19950301 | EP 1994-908453  | 19940309 |
|    | EP 640070   | B1   | 19970910 |                 |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE   |      |          |                 |          |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    |   |      |          | WO 1994-GB452   | 19940309 |
|    | JP 08501801   | T2   | 19960227 | JP 1994-519747  | 19940309 |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    |   |      |          | WO 1994-GB452   | 19940309 |
|    | US 5633257  | A    | 19970527 | US 1994-209419  | 19940309 |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    | AT 157962   | E    | 19970915 | AT 1994-908453  | 19940309 |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    | ES 2108978  | T3   | 19980101 | ES 1994-908453  | 19940309 |
|    |   |      |          | GB 1993-4919    | 19930310 |
|    | US 5723460  | A    | 19980303 | US 1995-465869  | 19950606 |

US 5962492

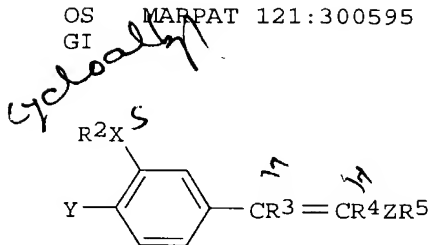
A

19991005

GB 1993-4919 19930310  
 US 1994-209419 19940309  
 US 1997-974812 19971120  
 GB 1993-4919 19930310  
 US 1994-209419 19940309  
 US 1995-465869 19950606

OS MARPAT 121:300595

GI



I

AB Title compds. I (Y = halo, R10, wherein R1 = (substituted) alkyl; X = O, S, R6N, wherein R6 = H, (substituted); R2 = (substituted) cycloalkyl or cycloalkenyl; R3, R4 = H, (substituted) alkyl, R7O2C wherein R7 = H, (substituted) alkyl, aralkyl, aryl, aryloxyalkyl, alkanoyloxyalkyl, aroyloxyalkyl, R8R9NCO, R8R9NCS wherein R8, R9, = R7, NC, NCCH2;  $\text{Z} = (\text{CH}_2)_n$  wherein n = 0-3; R5 = (substituted) monocyclic or bicyclic aryl), salts, solvates, hydrates, prodrugs and N-oxides thereof useful as PDE (phosphodiesterase) IV inhibitors, are prepared 2-Thiopheneacetonitrile and 3-(cyclopentyloxy)-4-methoxybenzaldehyde (preparation given) were reacted to give I (Y = MeO, X = O, R2 = cyclopentyl, R3 = H, R4 = 2-thienyl, R5Z = NC). I cause a concentration-dependent inhibition of recombinant PDE-IV at 0.1-1000 nM with little or no activity against pde I. II, III or V at concentration  $\leq 100 \mu\text{M}$ .

L5 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:630784 CAPLUS

DN 121:230784

TI Preparation of 2-benzoylpyrimidine derivatives as herbicides and agrochemical fungicides

IN Yamada, Hirokazu; Tanaka, Katsunori; Adachi, Hiroyuki; Yamada, Shigeo; Shimoda, Susumu

PA Nippon Soda Co., Ltd., Japan

SO PCT Int. Appl., 200 pp.

CODEN: PIXXD2

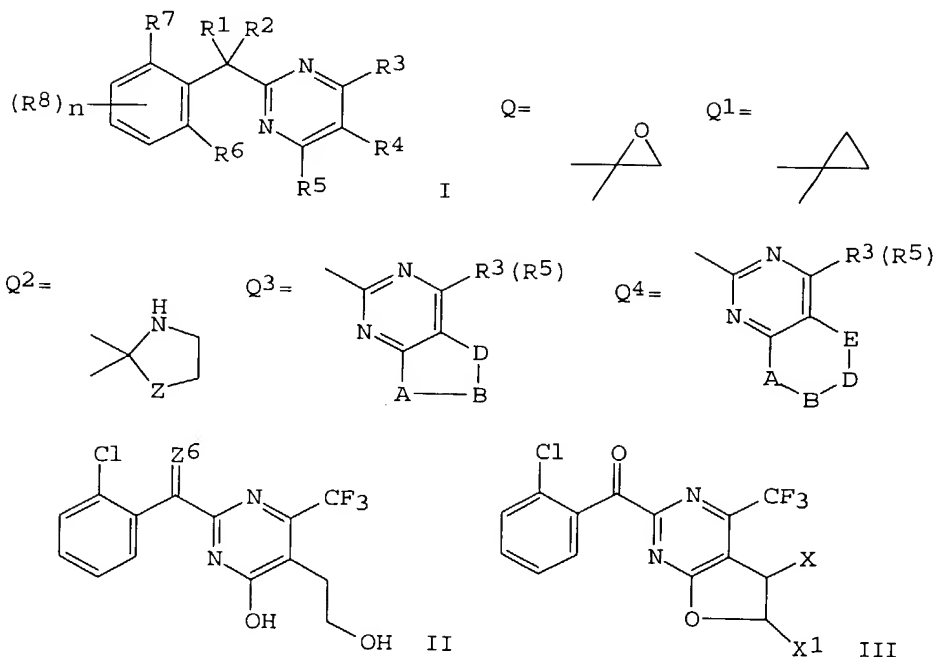
DT Patent

LA Japanese

FAN.CNT 1

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9408975  | A1   | 19940428 | WO 1993-JP1478  | 19931014 |
| W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG                |      |          |                 |          |
|   |      |          | JP 1992-304622  | 19921016 |
|   |      |          | JP 1993-28313   | 19930528 |
|   |      |          | JP 1993-154303  | 19930601 |
| AU 9351611  | A1   | 19940509 | AU 1993-51611   | 19931014 |
|   |      |          | JP 1992-304622  | 19921016 |

|   |                   |          |                |          |
|---|-------------------|----------|----------------|----------|
|   |                   |          | JP 1993-28313  | 19930528 |
|   |                   |          | JP 1993-154303 | 19930601 |
|   |                   |          | WO 1993-JP1478 | 19931014 |
| EP 665224   | A1                | 19950802 | EP 1993-922632 | 19931014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE |                   |          |                |          |
|   |                   |          | JP 1992-304622 | 19921016 |
|   |                   |          | JP 1993-154303 | 19930601 |
|   |                   |          | WO 1993-JP1478 | 19931014 |
| BR 9307264  | A                 | 19990511 | BR 1993-7264   | 19931014 |
|   |                   |          | JP 1992-304622 | 19921016 |
|   |                   |          | JP 1993-154303 | 19930601 |
|   |                   |          | WO 1993-JP1478 | 19931014 |
| JP 07048359   | A2                | 19950221 | JP 1993-282006 | 19931015 |
|   |                   |          | JP 1992-304622 | 19921016 |
|   |                   |          | JP 1993-154303 | 19930601 |
| CN 1098717  | A                 | 19950215 | CN 1994-100163 | 19940110 |
|   |                   |          | JP 1993-154303 | 19930601 |
| OS  | MARPAT 121:230784 |          |                |          |
| GI  |                   |          |                |          |



AB The title compds. [I; R<sup>1</sup>, R<sup>2</sup> = H, alkyl, alkenyl, alkynyl, Ph, cyano, CO<sub>2</sub>H, alkoxy carbonyl, halo, (un)substituted OH or SH, NH<sub>2</sub>, etc.; or alternatively R<sup>1</sup>R<sup>2</sup> = oxo, thioxo, cyclic ketal or thioketal, (un)substituted :CH<sub>2</sub>, :NH, :NNH<sub>2</sub>, or :NOH, or a spiro ring selected from among Q - Q<sub>2</sub> (wherein Z = O, S, NH); R<sup>3</sup>, R<sup>5</sup> = H, halo, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted NH<sub>2</sub>, NHNH<sub>2</sub>, OH, CO<sub>2</sub>H, or CONH<sub>2</sub>, cyano, etc.; R<sup>4</sup> = H, halo, NH<sub>2</sub>, cyano, alkyl, alkenyl, alkynyl, Ph,

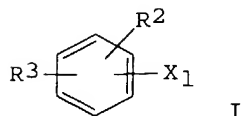


cycloalkyl, (un)substituted CO<sub>2</sub>H, CONH<sub>2</sub>, or OH, etc.; provided that R<sub>3</sub> and R<sub>5</sub> are different from each other when R<sub>4</sub> = H and that R<sub>4</sub> may be combined with R<sub>3</sub> and R<sub>5</sub> and the pyrimidine ring to represent a condensed ring Q<sub>3</sub> or Q<sub>4</sub> [wherein at least one of A, B, D, and E = (un)substituted CH<sub>2</sub> or NH, O, or S(O)<sub>q</sub> (wherein q = 0, 1, 2), and the rest = (un)substituted CH<sub>2</sub>]; R<sub>6</sub>, R<sub>7</sub> = H, halo, NO<sub>2</sub>, cyano, alkyl, alkenyl, alkynyl, Ph, cycloalkyl, (un)substituted CO<sub>2</sub>H, CONH<sub>2</sub>, or OH, etc.; provided that R<sub>6</sub> = R<sub>7</sub> ≠ H; R<sub>8</sub> = any group listed in R<sub>6</sub> and R<sub>7</sub> except H; n = 0, 1, 2, 3; provided that when R<sub>1</sub> = R<sub>2</sub> = H, R<sub>4</sub> may be combined with R<sub>3</sub> and R<sub>5</sub> and the pyrimidine ring to represent a condensed ring Q<sub>3</sub> or Q<sub>4</sub>] are prepared. Thus, 5 g 2-(2-chlorophenyl)acetamide hydrochloride and 5.3 g α-trifluoroacetyl-γ-butyrolactone were added to a solution of Na in EtOH and refluxed for 18 h to give 5.2 g benzylpyrimidine derivative (II; Z<sub>6</sub> = H<sub>2</sub>) which was refluxed with SeO<sub>2</sub> in aqueous dioxane to give benzoylpyrimidine derivative II (Z<sub>6</sub> = O). The latter compound was refluxed with POCl<sub>3</sub> in toluene for 2 h to give 5,6-dihydrofuro[2,3-d]pyrimidine (III; X = X<sub>1</sub> = H) which was refluxed with NiO<sub>2</sub> in toluene for 5 h to give furo[2,3-d]pyrimidine III (XX<sub>1</sub> = bond). This compound at 200 are preemergence controlled 100% *Digitaria ciliaris*, *Setaria Faberii*, and *Amaranthus Blitum* and at 200 ppm completely controlled *Plasmopara viticola* in grape vine leaves. A total of .apprx.400 I were prepared

L5 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:469078 CAPLUS  
 DN 121:69078  
 TI Organic nonlinear optical material containing (thio)carbonyl- or sulfone-substituted benzene derivatives  
 IN Yamamoto, Hironobu; Roberuto, Jonson; Funato, Satoru; Uerunaaru, Purasu; Tokida, Akihiko; Yo, Tsutomu; Donarudo, Ruho  
 PA Hoechst Japan, Japan  
 SO Jpn. Kokai Tokkyo Koho, 23 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|    | PATENT NO.       | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------------|------|----------|-----------------|----------|
| PI | JP 06018946      | A2   | 19940128 | JP 1992-304124  | 19921113 |
| OS | MARPAT 121:69078 |      |          | JP 1992-112784  | 19920501 |

GI



AB Title material contains ≥1 benzene derivs. I and/or I whose H are (totally) substituted by D [l = 0-3; X = L<sub>1</sub>(CO)R<sub>1</sub>, L<sub>1</sub>(C:S)R<sub>1</sub>, L<sub>1</sub>SO<sub>2</sub>R<sub>1</sub>; L<sub>1</sub> = NH, O, NHNH; R<sub>1</sub> = R<sub>4</sub>, L<sub>2</sub>R<sub>4</sub>, OH, NH<sub>2</sub>, NR<sub>42</sub> [R<sub>4</sub> = C<sub>1</sub>-10 (substituted) alkyl, (substituted) Ph]; L<sub>2</sub> = O, CO<sub>2</sub>, NH, NHCO, NHCO<sub>2</sub>, O(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>, O(CH<sub>2</sub>)<sub>m</sub>O, OCMeHCO<sub>2</sub>, Ph, anilino, phenoxy, benzyloxy, carboxyvinyl, β-naphthyloxy, in which benzene rings may be substituted by R<sub>2</sub>; m = 1-10; R<sub>2</sub> = H, OH, amino, NO<sub>2</sub>, cyano, carboxyl, formyl, halo, CF<sub>3</sub>, R<sub>4</sub>,

L3R4, NR42; L3 = O, NH, CO, CO2, S; R3 = NO2, cyano, carboxyl, formyl, nitroso, sulfone, carboxylvinyl styryl, L4R4, CH:C(CN)L5, CH:C(CO2R4)2, CO2(CH2)nOH, CH:CHCOR4; n = 1-10; L4 = CO, CO2, SO2; L5 = carboxyl, cyano, benzoyl, carbamoyl, ureidocarbonyl, CO2R4; when l = 0 R3 = CO2(CH2)nOH; when l = 1, R2 = OH, and X = NHCOR1 R1 ≠ R4, NHR4, Ph, anilino; when l = 1, X = NHCO2R4, and R2 = H R3 ≠ NO2]. The material is useful for laser beam wavelength conversion or parametric amplification.

L5 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:457342 CAPLUS  
 DN **121:57342**  
 TI Process for the preparation of 4-substituted-1,4-dihydropydrines  
 IN Auerbach, Joseph  
 PA Merck and Co., Inc., USA  
 SO U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 759,026, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| US 5310917  | A    | 19940510 | US 1992-920701  | 19920728 |
| WO 9306082  | A1   | 19930401 | US 1991-759026  | 19910913 |
| W: BG, CS, FI, HU, NO, PL, RO, RU                                 |      |          | WO 1992-US7220  | 19920826 |
| IL 103010   | A1   | 19961031 | US 1991-759026  | 19910913 |
|   |      |          | US 1992-920701  | 19920728 |
|   |      |          | IL 1992-103010  | 19920901 |
|   |      |          | US 1991-759026  | 19910913 |
| EP 534520   | A2   | 19930331 | US 1992-920701  | 19920728 |
| EP 534520   | A3   | 19930505 | EP 1992-202690  | 19920905 |
| EP 534520   | B1   | 19970319 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          | US 1991-759026  | 19910913 |
|   |      |          | US 1992-920701  | 19920728 |
| AT 150456   | E    | 19970415 | AT 1992-202690  | 19920905 |
|   |      |          | US 1991-759026  | 19910913 |
| ES 2101027  | T3   | 19970701 | US 1992-920701  | 19920728 |
|   |      |          | ES 1992-202690  | 19920905 |
|   |      |          | US 1991-759026  | 19910913 |
| JP 05221984   | A2   | 19930831 | US 1992-920701  | 19920728 |
| JP 07051562   | B4   | 19950605 | JP 1992-238429  | 19920907 |
|   |      |          | US 1991-759026  | 19910913 |
|   |      |          | US 1992-920701  | 19920728 |
| CA 2077919  | AA   | 19930314 | CA 1992-2077919 | 19920910 |
|   |      |          | US 1991-759026  | 19910913 |
| AU 9223552  | A1   | 19930318 | US 1992-920701  | 19920728 |
| AU 654387   | B2   | 19941103 | AU 1992-23552   | 19920911 |
|   |      |          | US 1991-759026  | 19910913 |
|   |      |          | US 1992-920701  | 19920728 |
| CN 1070907  | A    | 19930414 | CN 1992-110385  | 19920911 |
|   |      |          | US 1991-759026  | 19910913 |
|   |      |          | US 1992-920701  | 19920728 |
| ZA 9206935  | A    | 19930428 | ZA 1992-6935    | 19920911 |
|   |      |          | US 1991-759026  | 19910913 |

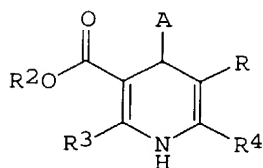
LV 12072 B 19980920

LV 1998-44 19980306  
 US 1991-759026 19910913  
 US 1992-920701 19920728

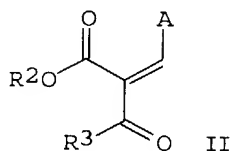
## PATENT FAMILY INFORMATION:

FAN 1993:495345

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 534520   | A2   | 19930331 | EP 1992-202690  | 19920905 |
|    | EP 534520   | A3   | 19930505 |                 |          |
|    | EP 534520   | B1   | 19970319 |                 |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |          |
|    | US 5310917  | A    | 19940510 | US 1991-759026  | 19910913 |
|    |   |      |          | US 1992-920701  | 19920728 |
|    |   |      |          | US 1992-920701  | 19920728 |
|    |   |      |          | US 1991-759026  | 19910913 |
| OS | CASREACT 121:57342; MARPAT 121:57342                              |      |          |                 |          |
| GI |   |      |          |                 |          |



I



II

AB 4-Substituted-1,4-dihydropyridines I (R = carboxy, amido, etc.; A = benzylidene, arylmethylene, etc.; R2-R4 = alkyl, aralkyl, etc.) are prepared by a cycloaddn. reaction of an (arylmethylene)oxoacetate II in which the cyclization is driven to completion, after thermal reaction, by addition of an acid. Felodipine, a vasodilator, is prepared by a cycloaddn. reaction of Et 3-aminocrotonate with a suitably substituted dichlorobenzylidene under reaction conditions whereby the product crystallizes out of the reaction solution and may be directly isolated by filtration.

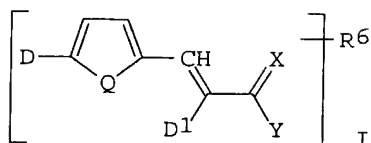
L5 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1994:334788 CAPLUS  
 DN 120:334788  
 TI Photographic material  
 IN Bergthaller, Peter  
 PA Agfa-Gevaert A.-G., Germany  
 SO Ger. Offen., 20 pp.  
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
| PI | DE 4214741        | A1   | 19931111 | DE 1992-4214741 | 19920504 |
|    |                   |      |          | DE 1992-4214741 | 19920504 |
| OS | MARPAT 120:334788 |      |          |                 |          |
| GI |                   |      |          |                 |          |



AB The title material comprises multiple color-sensitive layers and  $\geq 1$  layer, preferably nonphotosensitive, containing I [D = OH, group hydrolyzable to OH, N<sub>2</sub>SO<sub>2</sub>R; D<sub>1</sub> = OH, NHOH, NHR<sub>1</sub>, or a group hydrolyzable to OH or NHOH group; Q = atoms necessary to form benzene, naphthalene, or thiophene ring; X = O, NR<sub>2</sub>; Y = OH, OR<sub>3</sub>, NR<sub>4</sub>R<sub>5</sub>, atoms necessary to form heterocyclic ring with R<sub>1</sub> or R<sub>2</sub>; R = aliphatic, aromatic, heterocyclic group; R<sub>1</sub> = SO<sub>2</sub>R, COR, atoms necessary to form heterocyclic group with R<sub>4</sub>; R<sub>2</sub> = atoms necessary to form heterocyclic group with R<sub>4</sub> or R<sub>5</sub>; R<sub>3</sub> = alkyl, aralkyl; R<sub>4</sub> = H, alkyl, aryl, atoms necessary to form heterocyclic, preferably hydantoin ring with R<sub>5</sub>; R<sub>5</sub> = H, alkyl, aryl; R<sub>6</sub> = development inhibitor group]. The material provides improved interimage and edge effects.

L5 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1994:270460 CAPLUS

DN 120:270460

TI [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor antagonists

IN Bryan, Deborah Lynne; Elliot, John Duncan

PA Smithkline Beecham Corp., USA

SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO.           | DATE     |
|----|--|------|----------|---------------------------|----------|
| PI | WO 9402474   | A1   | 19940203 | WO 1993-US6667            | 19930715 |
|    | W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN              |      |          |                           |          |
|    | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG |      |          |                           |          |
|    |  |      |          | US 1992-916051 A219920717 |          |
|    |  |      |          | US 1993-49606 A219930419  |          |
|    | AU 9346797   | A1   | 19940214 | AU 1993-46797             | 19930715 |
|    |  |      |          | US 1992-916051 A 19920717 |          |
|    |  |      |          | US 1993-49606 A 19930419  |          |
|    |  |      |          | WO 1993-US6667 W 19930715 |          |
|    | EP 650484  | A1   | 19950503 | EP 1993-917208            | 19930715 |
|    | EP 650484  | B1   | 20000126 |                           |          |
|    | R: BE, CH, DE, FR, GB, IT, LI, NL  |      |          |                           |          |
|    |  |      |          | US 1992-916051 A 19920717 |          |
|    |  |      |          | US 1993-49606 A 19930419  |          |
|    |  |      |          | WO 1993-US6667 W 19930715 |          |
|    | JP 07509465  | T2   | 19951019 | JP 1993-504560            | 19930715 |
|    |  |      |          | US 1992-916051 A 19920717 |          |
|    |  |      |          | US 1993-49606 A 19930419  |          |
|    |  |      |          | WO 1993-US6667 W 19930715 |          |
|    | CN 1088581   | A    | 19940629 | CN 1993-116592            | 19930717 |
|    |  |      |          | US 1992-916051 A 19920717 |          |

US 5559105

A

19960924

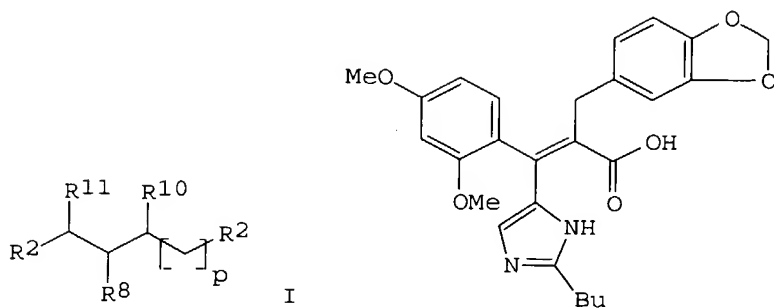
US 1993-49606 A 19930419

US 1995-374544 19950117

WO 1993-US6667 W 19930715

OS MARPAT 120:270460

GI



II

AB Endothelin receptor antagonists I (R1, R2 = Ph, benzodioxolyl, etc.; R10 = H, Ph, benzyl, etc.; R8 = carboxy, carbamoyl, cyano, etc.; R11 = aryl, cycloalkyl, etc.; p=0-2) and unsatd. derivs. of I were disclosed. A specifically claimed compound is (E)-3-(2-butyl-5-imidazolyl)-3-(2,4-dimethoxyphenyl)-2-(3,4-methylenedioxybenzyl)-2-propenoic acid (II). Pharmacol. test data for II were not listed; the potency of I range is 0.1 nM to 50  $\mu$ M in an in vitro assay of endothelin-induced contractions of rat aortae. I are useful for the treatment of renal failure and as antihypertensives.

L5 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:263859 CAPLUS

DN 120:263859

TI Preparation of herbicidal benzene derivatives.

IN Patel, Kanu Maganbhai

PA du Pont de Nemours, E. I., and Co., USA

SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

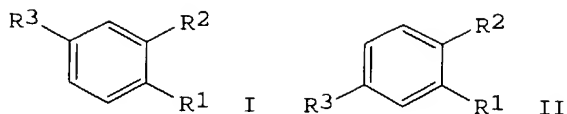
| PATENT NO.   | KIND | DATE     | APPLICATION NO.   | DATE     |
|--|------|----------|-------------------|----------|
| WO 9405153   | A1   | 19940317 | WO 1993-US8096    | 19930902 |
| W: JP, KR, US  |      |          |                   |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                   |          |
| EP 659047  | A1   | 19950628 | US 1992-942539 A2 | 19920909 |
| R: DE, ES, FR, IT, PT  |      |          |                   |          |
| JP 08501100  | T2   | 19960206 | US 1992-942539 A  | 19920909 |
|  |      |          | WO 1993-US8096 W  | 19930902 |
|  |      |          | JP 1994-507335    | 19930902 |
|  |      |          | US 1992-942539 A  | 19920909 |
|  |      |          | WO 1993-US8096 W  | 19930902 |

OS MARPAT 120:263859

GI

Patel

&lt;4/28/2004&gt;



AB The benzene derivs. I and II (R1 = Cl, Br, iodo, OMe, OCHF2, OCF3, NO2; R2 = CO2H, CN, CONH2, CO2Me, etc.; R3 = Ph, OCH2CHMe2, OCH2Ph, etc.) and their salts are prepared as herbicides. 2-Chloro-4-(2-methylpropyloxy)benzoic acid (preparation given) was refluxed with thionyl chloride in benzene. The product was dissolved in THF and treated with aqueous NH4Cl, to give 2-chloro-4-(2-methylpropyloxy)benzamide (III). Postemergence 400 g III/ha totally controlled barnyardgrass, with no injury to barley. Formulation examples are given.

L5 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:134516 CAPLUS

DN 120:134516

TI Preparation of phenoxazines as herbicides

IN Andree, Roland; Drewes, Mark Wilhelm; Santel, Hans Joachim; Luerksen, Klaus; Schmidt, Robert R.

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

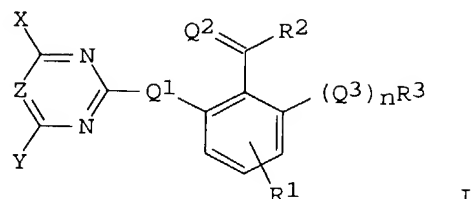
LA German

FAN.CNT 1

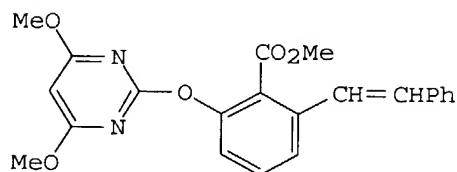
|    | PATENT NO.                            | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---------------------------------------|------|----------|-----------------|----------|
| PI | EP 564920                             | A1   | 19931013 | EP 1993-104985  | 19930325 |
|    | R: BE, CH, DE, DK, FR, GB, IT, LI, NL |      |          |                 |          |
|    | DE 4211610                            | A1   | 19931014 | DE 1992-4211610 | 19920407 |
|    | US 5371062                            | A    | 19941206 | US 1993-41650   | 19930401 |
|    | CA 2093291                            | AA   | 19931008 | CA 1993-2093291 | 19930402 |
|    | JP 06049040                           | A2   | 19940222 | JP 1993-101915  | 19930405 |
|    | BR 9301464                            | A    | 19931013 | BR 1993-1464    | 19930406 |
|    |                                       |      |          | DE 1992-4211610 | 19920407 |

OS MARPAT 120:134516

GI



I



II

AB Title compds. [I; n = 0,1; Q1, Q3 = O, S, NH, alkylimino; Q2 = O, S, NR4, CR5R6; R1 = H, amino, OH, cyano, NO2, halo, (halo)alkyl, (halo)alkoxy, (halo)alkylthio, Ph, etc; R2 = H, OH, alkyl, (substituted) alkoxy, alkylthio, alkylamino, aralkoxy, aralkylthio, aryloxy, arylthio, arylamino, etc; R3 = substituted alkyl, (substituted) alkenyl; R4 = H, amino, (substituted) alkyl, alkenyl, alkynyl, aralkyl, aryl, alkoxyalkoxy, arylaminocarbonyloxy, carboxyalkoxy, alkoxyalkoxy, alkylamino, arylamino, alkylcarbonylamino, alkylsulfonylamino, arylsulfonylamino, etc; R5 = H, halo, cyano, carboxy, alkoxyalkoxy, alkylcarbonylamino, dialkoxylphosphoryl; R6 = formyl, cyano, carboxy, hydroxymethyl, carbamoyl, (substituted) alkoxyalkoxy, cycloalkoxyalkoxy, aralkoxyalkoxy, arylaminocarbonyl, piperazinocarbonyl, etc; X, Y = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylamino, etc; Z = N, CH, C-halo], were prepared as herbicides (no data). Thus, Me 2-hydroxy-6-styrylbenzoate and 4,6-dimethoxy-2-methylsulfonylpyrimidine were refluxed with K2CO3 in MeCN to give 54% title compound II. II was said to show strong pre- and postemergent activity against weeds.

L5 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1993:538979 CAPLUS

DN **119:138979**

TI Preparation of 2-[(1,2,3-triazolylmethyl)phenyl]carbapenems as antibacterial agents

IN Schmitt, Susan M.

PA Merck and Co., Inc., USA

SO U.S., 23 pp. Cont. of U.S. Ser. No. 793,270, abandoned.

CODEN: USXXAM

DT Patent

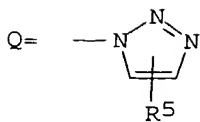
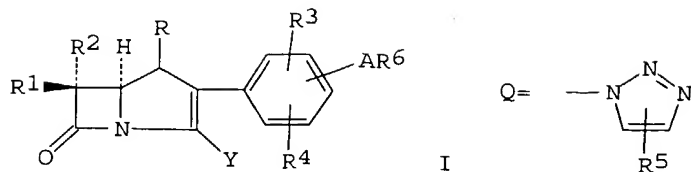
LA English

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | US 5208229 | A    | 19930504 | US 1992-859599  | 19920323 |
|    |            |      |          | US 1990-619647  | 19901129 |
|    |            |      |          | US 1991-793270  | 19911113 |

OS MARPAT 119:138979

GI



AB Title compds. [I; A = (CH<sub>2</sub>)<sub>m</sub>Z(CH<sub>2</sub>)<sub>n</sub>; R = H or Me; R<sub>1</sub>, R<sub>2</sub> = H, Me, CH<sub>2</sub>OH, (R)-CHMe(OH), etc.; R<sub>3</sub>, R<sub>4</sub> = H, CF<sub>3</sub>, halo, OH, alkoxy, etc.; R<sub>6</sub> = triazole group Q; R<sub>5</sub> = groups cited for R<sub>3</sub>, N-attached heterocyclylmethyl, etc.; Y = CO<sub>2</sub>H, CO<sub>2</sub>-, CO<sub>2</sub>M, etc.; M = alkali metal; m, n = 0-6] were prepared as antibacterials (no data). Thus, I [A = 4-CH<sub>2</sub>; R = R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = H; R<sub>2</sub> = (R)-CHMe(OR<sub>7</sub>)] (II; R<sub>6</sub> = OH, R<sub>7</sub> = Y = CO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub>) was treated with EtO<sub>2</sub>CN:CO<sub>2</sub>Et, HN<sub>3</sub>, and Ph<sub>3</sub>P in Et<sub>2</sub>O and the product cyclocondensed with HC.tplbond.CCO<sub>2</sub>CH<sub>2</sub>CH:CH<sub>2</sub> to give, after deprotection, II (R<sub>6</sub> = Q, R<sub>5</sub> = 5-CO<sub>2</sub>K, R<sub>7</sub> = H, Y = CO<sub>2</sub>K) and II (R<sub>6</sub> = Q, R<sub>5</sub> = 4-CO<sub>2</sub>K, R<sub>7</sub> = H, Y = CO<sub>2</sub>K).

L5 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1993:495345 CAPLUS  
DN 119:95345

TI Process for the preparation of 4-aryl-1,4-dihydropyridine-3,5-dicarboxylates

IN Auerbach, Joseph

PA Merck and Co., Inc., USA

SO Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | EP 534520   | A2   | 19930331 | EP 1992-202690  | 19920905 |
|    | EP 534520   | A3   | 19930505 |                 |          |
|    | EP 534520   | B1   | 19970319 |                 |          |
|    | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |          |
|    | US 5310917  | A    | 19940510 | US 1991-759026  | 19910913 |
|    |   |      |          | US 1992-920701  | 19920728 |
|    |   |      |          | US 1992-920701  | 19920728 |
|    |   |      |          | US 1991-759026  | 19910913 |

#### PATENT FAMILY INFORMATION:

FAN 1994:457342

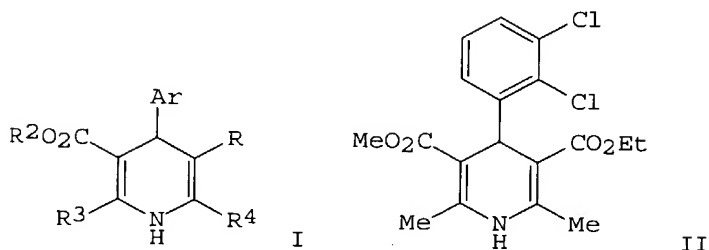
|    | PATENT NO.                        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-----------------------------------|------|----------|-----------------|----------|
| PI | US 5310917                        | A    | 19940510 | US 1992-920701  | 19920728 |
|    | WO 9306082                        | A1   | 19930401 | US 1991-759026  | 19910913 |
|    | W: BG, CS, FI, HU, NO, PL, RO, RU |      |          |                 |          |
|    |                                   |      |          | WO 1992-US7220  | 19920826 |
|    |                                   |      |          | US 1991-759026  | 19910913 |
|    |                                   |      |          | US 1992-920701  | 19920728 |
|    | IL 103010                         | A1   | 19961031 | IL 1992-103010  | 19920901 |
|    |                                   |      |          | US 1991-759026  | 19910913 |
|    |                                   |      |          | US 1992-920701  | 19920728 |
|    | EP 534520                         | A2   | 19930331 | EP 1992-202690  | 19920905 |
|    | EP 534520                         | A3   | 19930505 |                 |          |
|    | EP 534520                         | B1   | 19970319 |                 |          |



R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

|             |    |          |                 |          |
|-------------|----|----------|-----------------|----------|
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| AT 150456   | E  | 19970415 | AT 1992-202690  | 19920905 |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| ES 2101027  | T3 | 19970701 | ES 1992-202690  | 19920905 |
|             |    |          | US 1991-759026  | 19910913 |
| JP 05221984 | A2 | 19930831 | US 1992-920701  | 19920728 |
| JP 07051562 | B4 | 19950605 | JP 1992-238429  | 19920907 |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| CA 2077919  | AA | 19930314 | CA 1992-2077919 | 19920910 |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| AU 9223552  | A1 | 19930318 | AU 1992-23552   | 19920911 |
| AU 654387   | B2 | 19941103 |                 |          |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| CN 1070907  | A  | 19930414 | CN 1992-110385  | 19920911 |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |
| ZA 9206935  | A  | 19930428 | ZA 1992-6935    | 19920911 |
|             |    |          | US 1991-759026  | 19910913 |
| LV 12072    | B  | 19980920 | LV 1998-44      | 19980306 |
|             |    |          | US 1991-759026  | 19910913 |
|             |    |          | US 1992-920701  | 19920728 |

OS MARPAT 119:95345  
GI



AB A process is described for the preparation of title compds. I [Ar = certain (un)substituted Ph which may be fused to certain areno groups (e.g., benzo-1,4-dioxolan-5-yl or 1-naphthyl); R = certain carboxylate, amide, thioamide, or iminoamide groups, or -CN; R<sub>2</sub> = a wide variety of organic groups; R<sub>3</sub>, R<sub>4</sub> = C1-8 alkyl, C3-8 cycloalkyl, (CH<sub>2</sub>)<sub>n</sub>R<sub>12</sub> (n = 0-3, R<sub>12</sub> = certain functional groups), H]. The process involves cycloaddn. of benzylidene ArCH:C(CO<sub>2</sub>R<sub>2</sub>)COR<sub>3</sub> with R<sub>4</sub>C(NH<sub>2</sub>):CHR, where the cyclization is driven to completion, after thermal reaction, by addition of an acid. The preparation of felodipine (II) by this process is claimed and described. Thus, heating a mixture of 2,3-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH:(CO<sub>2</sub>Me)COMe with Et 3-aminocrotonate in a solvent (anhydrous EtOH) at 50-140° for 3-6 h, followed by addition of a strong acid (e.g., concentrate aqueous HCl) with or without further heating afforded felodipine in high yields. Cooling the solution causes crystallization of felodipine

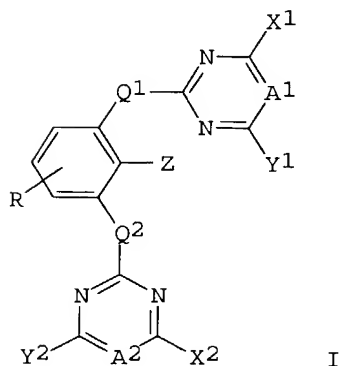
Patel

&lt;4/28/2004&gt;

for isolation by filtration. The process also applies to the preparation of lacidipine, nifedipine, nilvadipine, nimodipine, nisoldipine, and nitrendipine.

L5 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:511634 CAPLUS  
 DN **117:111634**  
 TI Preparation of 2,6-bis[(4,6-dimethoxypyrimidinyl)oxy]benzaldehyde and related compounds as herbicides  
 IN Andree, Roland; Drewes, Mark Wilhelm; Santel, Hans Joachim; Luerksen, Klaus; Schmidt, Robert R.  
 PA Bayer A.-G., Germany  
 SO Ger. Offen., 24 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

|    | PATENT NO.                                | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | DE 4030041                                | A1   | 19920326 | DE 1990-4030041 | 19900922 |
|    | EP 477637                                 | A1   | 19920401 | EP 1991-115182  | 19910909 |
|    | R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL |      |          |                 |          |
|    | US 5186734                                | A    | 19930216 | DE 1990-4030041 | 19900922 |
|    |   |      |          | US 1991-757860  | 19910911 |
|    | JP 04305571                               | A2   | 19921028 | DE 1990-4030041 | 19900922 |
|    |   |      |          | JP 1991-265379  | 19910918 |
|    | CA 2051874                                | AA   | 19920323 | DE 1990-4030041 | 19900922 |
|    |   |      |          | CA 1991-2051874 | 19910919 |
|    | BR 9104034                                | A    | 19920602 | DE 1990-4030041 | 19900922 |
|    |   |      |          | BR 1991-4034    | 19910920 |
|    | ZA 9107519                                | A    | 19920624 | DE 1990-4030041 | 19900922 |
|    |   |      |          | ZA 1991-7519    | 19910920 |
|    |   |      |          | DE 1990-4030041 | 19900922 |
| OS | MARPAT 117:111634                         |      |          |                 |          |
| GI |   |      |          |                 |          |

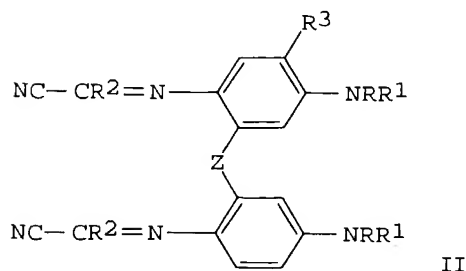
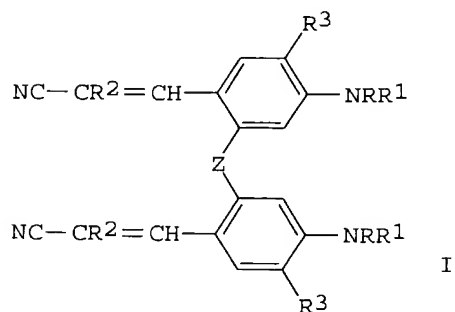


AB The title compds. [I; A1, A2 = N, CX; X = H, halo, alkyl, alkoxy; Q1, Q2 = O, S, NH, N-alkyl; R = H, HO, alkyl, amino, cyano, NO2, halo, (halo)alkyl, (halo)alkoxy, (di)alkylamino, etc.; X1, X2, Y1, Y2 = H, halo, (halo)alkyl, (halo)alkoxy, alkylthio, (di)alkylamino, (un)substituted PhO; Z = COR1,

C(:NR<sub>2</sub>)R<sub>1</sub>, etc.; R<sub>1</sub> = H, (un)substituted alkyl, (un)substituted Ph; R<sub>2</sub> = H, HO, amino, (un)substituted alkyl, etc.] were prepared as herbicides (no data). I, intermediates I (Z = CHR<sub>1</sub>OH, CHR<sub>1</sub>X<sub>3</sub>; X<sub>3</sub> = Cl, Br), and I (Z = COR<sub>1</sub> where a H atom is on the Q<sub>2</sub>-bridging group instead of azinyl moiety; R<sub>1</sub> as above) are claimed. A mixture of 2-[(4,6-dimethoxypyrimidin-2-yl)oxy]-6-hydroxybenzaldehyde [preparation by reduction of Me 2,6-bis[(4,6-dimethoxypyrimidin-2-yl)oxy]benzoate by Red-Al given], 4,6-dimethoxy-2-(methylsulfonyl)pyrimidine, and K<sub>2</sub>CO<sub>3</sub> in MeCN was refluxed for 5 h to give 54% title compound I (A<sub>1</sub> = A<sub>2</sub> = CH, Q<sub>1</sub> = Q<sub>2</sub> = O, R = H, X<sub>1</sub> = X<sub>2</sub> = Y<sub>1</sub> = Y<sub>2</sub> = MeO; Z = CHO).

L5 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:265728 CAPLUS  
 DN **116:265728**  
 TI Thermal-transfer sheets using bisaniline type dye  
 IN Sugafuji, Junpei; Kafuku, Masaaki; Nakamura, Masayuki  
 PA Dai Nippon Printing Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 7 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
| PI | JP 03297691       | A2   | 19911227 | JP 1990-99936   | 19900416 |
|    | JP 2857466        | B2   | 19990217 |                 |          |
| OS | MARPAT 116:265728 |      |          | JP 1990-99936   | 19900416 |
| GI |                   |      |          |                 |          |



AB The thermal-transfer sheets are prepared by forming, on 1 side of a sheet substrate, a layer containing a dye I or II [R, R1 = H, (substituted) alkyl, cycloalkyl, aralkyl, aryl, R and R1 may form a 5- or 6-membered ring which may contain O, N or S atom; R2 = electron-attractive group; R3 = H, atom(s) required to form a 5- or 6-membered ring together with R; Z = divalent group]. A thermal-transfer sheet using I (R = R1 = Et, R2 = CN, R3 = H, Z = CH2) showed good thermal sensitivity and gave high d. yellow images with good storage stability.

L5 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:151783 CAPLUS

DN 116:151783

TI Preparation of 2-[2-(hydrazonomethine)phenoxy]pyrimidines and analogs as herbicides

IN Drewes, Mark Wilhelm; Kirsten, Rolf; Kraemer, Wolfgang; Krueger, Bernd Wieland; Santel, Hans Joachim; Luerksen, Klaus; Schmidt, Robert R.

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DT Patent

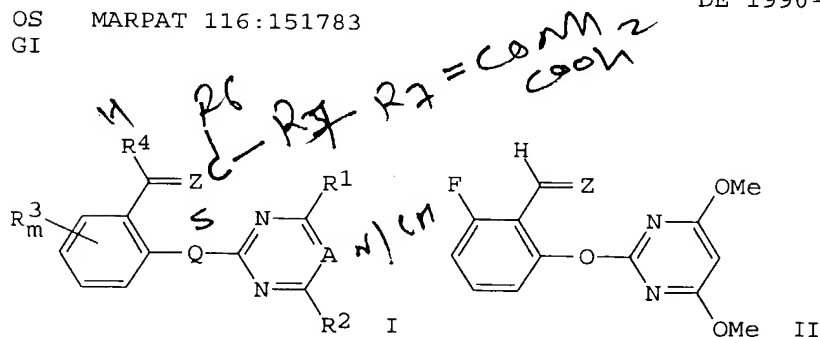
LA German

FAN.CNT 1

|    | PATENT NO.                                | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
|    | -----                                     | ---  | ----     | -----           | -----    |
| PI | EP 459243                                 | A2   | 19911204 | EP 1991-108010  | 19910517 |
|    | EP 459243                                 | A3   | 19920304 |                 |          |
|    | R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL |      |          |                 |          |
|    |   |      |          | DE 1990-4017339 | 19900530 |
|    |   |      |          | DE 1990-4037003 | 19901121 |
|    | DE 4037003                                | A1   | 19911205 | DE 1990-4037003 | 19901121 |
|    |   |      |          | DE 1990-4017339 | 19900530 |
|    | US 5167693                                | A    | 19921201 | US 1991-704545  | 19910523 |
|    |   |      |          | DE 1990-4017339 | 19900530 |
|    |   |      |          | DE 1990-4037003 | 19901121 |
|    | CA 2043310                                | AA   | 19911201 | CA 1991-2043310 | 19910527 |
|    |   |      |          | DE 1990-4017339 | 19900530 |
|    |   |      |          | DE 1990-4037003 | 19901121 |
|    | JP 06345740                               | A2   | 19941220 | JP 1991-150938  | 19910528 |
|    |   |      |          | DE 1990-4017339 | 19900530 |
|    |   |      |          | DE 1990-4037003 | 19901121 |

OS MARPAT 116:151783

GI



AB Title compds. [I; A = N, CH, halomethine; Q = O, S; R1, R2 = H, halo, (halo)alkyl, (halo)alkoxy, etc.; R3 = halo, NH2, OH, (halo)alkyl,

(halo)alkoxy, etc.; R4 = H, alkyl; Z = NR5, CR6R7; R5 = H, NH2, (substituted) alkyl, alkenyl, etc.; R6 = H, halo, CO2H, cyano, etc.; R7 = CHO, cyano, CO2H, CONH2, alkoxy, carbonyl, etc.; m = 0-3] were prepared as herbicides (no data). Thus, 4,6-dimethoxy-2-methylsulfonylpyrimidine was condensed with 3-FC6H4OH and the product formylated to give phenoxypyrimidine II (Z = O) which was condensed with PhNHNH2 to give II (Z = NNHPh).

L5 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1992:13416 CAPLUS

DN 116:13416

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------|------|----------|-----------------|----------|
| PI | JP 03142277 | A2   | 19910618 | JP 1989-282319  | 19891030 |
|    |             |      |          | JP 1989-282319  | 19891030 |

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-containing aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-containing alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without containing heteroatom) and electron-accepting compound

L5 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1991:566386 CAPLUS

DN 115:166386

TI Ultraviolet light absorbing compounds as packaging material and sunscreen formulations

IN Krutak, James John; Weaver, Max Allen; Coates, Clarence Alvin; Hilbert, Samuel David; Oldfield, Terry Ann; Parham, William Whitefield; Pruett, Wayne Payton

PA Eastman Kodak Co., USA

SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | EP 413648  | A1   | 19910220 | EP 1990-420378  | 19900814 |
|    | EP 413648  | B1   | 19940216 |                 |          |
|    | R: GR      |      |          |                 |          |
|    | US 5057594 | A    | 19911015 | US 1989-395386  | 19890817 |
|    | CA 2051690 | AA   | 19910218 | US 1989-395386  | 19890817 |
|    | CA 2051690 | C    | 19980811 | CA 1990-2051690 | 19900814 |
|    | WO 9102715 | A2   | 19910307 | US 1989-395386  | 19890817 |
|    | WO 9102715 | A3   | 19910711 | WO 1990-US4573  | 19900814 |

W: AU, CA, JP, KR

RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE

|            |    |          |                |          |
|------------|----|----------|----------------|----------|
| AU 9061710 | A1 | 19910403 | US 1989-395386 | 19890817 |
| AU 629774  | B2 | 19921008 | AU 1990-61710  | 19900814 |

|           |    |          |                |          |
|-----------|----|----------|----------------|----------|
|           |    |          | US 1989-395386 | 19890817 |
|           |    |          | WO 1990-US4573 | 19900814 |
| EP 486560 | A1 | 19920527 | EP 1990-912161 | 19900814 |

R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE

|             |    |          |                |          |
|-------------|----|----------|----------------|----------|
|             |    |          | US 1989-395386 | 19890817 |
|             |    |          | WO 1990-US4573 | 19900814 |
| JP 04507419 | T2 | 19921224 | JP 1990-511662 | 19900814 |
| JP 2951399  | B2 | 19990920 |                |          |

|           |   |          |                |          |
|-----------|---|----------|----------------|----------|
|           |   |          | US 1989-395386 | 19890817 |
|           |   |          | WO 1990-US4573 | 19900814 |
| AT 101593 | E | 19940315 | AT 1990-420378 | 19900814 |

|            |    |          |                |          |
|------------|----|----------|----------------|----------|
|            |    |          | US 1989-395386 | 19890817 |
|            |    |          | EP 1990-420378 | 19900814 |
| ES 2063320 | T3 | 19950101 | ES 1990-420378 | 19900814 |

|            |   |          |                |          |
|------------|---|----------|----------------|----------|
|            |   |          | US 1989-395386 | 19890817 |
| US 5302740 | A | 19940412 | US 1992-968917 | 19921030 |
|            |   |          | US 1989-395386 | 19890817 |

|            |   |          |                |          |
|------------|---|----------|----------------|----------|
|            |   |          | US 1991-733769 | 19910722 |
| US 5374419 | A | 19941220 | US 1992-994069 | 19921218 |
|            |   |          | US 1989-395386 | 19890817 |

|            |   |          |                |          |
|------------|---|----------|----------------|----------|
|            |   |          | US 1991-733769 | 19910722 |
| US 5442086 | A | 19950815 | US 1994-308863 | 19940919 |
|            |   |          | US 1989-395386 | 19890817 |

|  |  |  |                |          |
|--|--|--|----------------|----------|
|  |  |  | US 1991-733769 | 19910722 |
|  |  |  | US 1992-994069 | 19921218 |

OS MARPAT 115:166386

AB Polymethine compds. R1C(R2):CHAZLZACH:C(R2)R1[I; R1, R2 = cyano, carboxy, alkenyloxycarbonyl, (un)substituted alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl etc.; A = (un)substituted 1,2-phenylene, 1,2-naphthylene; Z = O, S; L = an organic linking group bonded by non-oxo carbon atoms to each Z atom] are useful as sunscreens and polymeric material for packaging. A sunscreen cream contained triethanolamine 0.50, water 67.8, mineral oil 6.0, iso-Pr. myristate 7.0, glyceryl monostearate 3.0, propylene glycol 3.0, stearic acid 3.0, hexadecanol 0.5, vitamin E 1.0, I [R1 = CO2CH2CH(C2H5)(CH2)4H, R2 = CN, R4 = H, Z = O, L = CH2-CH2)] 8.0, methylparaben 0.05, propylparaben 0.05, and fragrance 0.10.

L5 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:408580 CAPLUS

DN 115:8580

TI Preparation of basic 4-aryldihydropyridinamides as pharmaceutical agents  
 IN Stoltefuss, Juergen; Schwenner, Eckhard; Gross, Rainer; Heibisch, Siegbert;  
 Schramm, Matthias; Bechem, Martin; Hirth, Claudia; Stasch, Johannes Peter

PA Bayer A.-G., Germany

SO Ger. Offen., 32 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

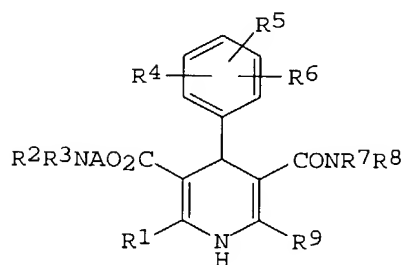
|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | DE 3833892 | A1   | 19900412 | DE 1988-3833892 | 19881005 |
|    | NO 8903756 | A    | 19900406 | NO 1989-3756    | 19890921 |

Patel

&lt;4/28/2004&gt;

|   |    |          |                 |          |
|---|----|----------|-----------------|----------|
| EP 362632   | A2 | 19900411 | DE 1988-3833892 | 19881005 |
| EP 362632   | A3 | 19901107 | EP 1989-117494  | 19890921 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE |    |          |                 |          |
| US 5015650  | A  | 19910514 | DE 1988-3833892 | 19881005 |
| CA 2000081  | AA | 19900405 | US 1989-413365  | 19890927 |
| FI 8904677  | A  | 19900406 | DE 1988-3833892 | 19881005 |
| DD 296683   | A5 | 19911212 | CA 1989-2000081 | 19891003 |
| DD 297813   | A5 | 19920123 | DE 1988-3833892 | 19881005 |
| DK 8904898  | A  | 19900406 | FI 1989-4677    | 19891003 |
| ZA 8907532  | A  | 19900627 | DE 1988-3833892 | 19881005 |
| AU 8942609  | A1 | 19900412 | DD 1989-342972  | 19891003 |
| AU 616801   | B2 | 19911107 | DE 1988-3833892 | 19881005 |
| CN 1041758  | A  | 19900502 | DD 1989-333272  | 19891003 |
| HU 52055  | A2 | 19900628 | DE 1988-3833892 | 19881005 |
| JP 02169572   | A2 | 19900629 | DK 1989-4898    | 19891004 |
|   |    |          | DE 1988-3833892 | 19881005 |
|   |    |          | ZA 1989-7532    | 19891004 |
|   |    |          | DE 1988-3833892 | 19881005 |
|   |    |          | AU 1989-42609   | 19891005 |
|   |    |          | DE 1988-3833892 | 19881005 |
|   |    |          | CN 1989-107734  | 19891005 |
|   |    |          | DE 1988-3833892 | 19881005 |
|   |    |          | HU 1989-5229    | 19891005 |
|   |    |          | DE 1988-3833892 | 19881005 |
|   |    |          | JP 1989-258935  | 19891005 |
|   |    |          | DE 1988-3833892 | 19881005 |

OS MARPAT 115:8580  
GI



I

AB The title compds. I (R1, R9 = (un)substituted C1-6 alkyl or C1-6 cycloalkyl, cyano, or Ph; R2, R3 = H, (un)substituted C1-12 alkyl, alkenyl, or alkynyl, C3-8 cycloalkyl, C6-12 aryl; or together form a 5- to 7-membered heterocycle; R4, R5 = H, halogen, C1-6 alkyl, C1-6 alkoxy, C1-4 alkylthio, cyano, NO2, dialkylamino, F3C, F3CO, F2CHO, F3CS; R6 = O(CH2)nR10, S(CH2)nR10, OSO2(CH2)nR10, or OCO(CH2)nR10 where R10 = cyclohexyl or substituted aryl, and n = 0-3; R7 and R8 = H, C3-8 cycloalkyl, (un)substituted alkyl or alkenyl, (un)substituted aryl, or a 5- to 7-membered saturated or unsatd. heterocycle; A a divalent alkylene or cycloalkylene group or the like), their physiolo. compatible salts, diastereomer mixts., racemic forms, and antipods are prepared for use in treating circulatory system disorders, cardiac insufficiency, diabetes, edema, and blood pressure disorders (no data). Thus, 2-

benzyloxybenzylideneacetic acid cyclopropylamide was treated with  $\beta$ -aminocrotonic acid 2-(N-morpholino)ethyl ester to give 1,4-dihydro-2,6-dimethyl-4-(2-benzyloxyphenyl)pyridine-3-carboxylic acid 2-(N-morpholino) Et ester-5-carboxylic acid cyclopropylamide HCl.

L5 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:81892 CAPLUS

DN **114:81892**

TI Preparation of herbicidal triazinediones

IN Theodoridis, George

PA FMC Corp., USA

SO U.S., 10 pp.

CODEN: USXXAM

DT Patent

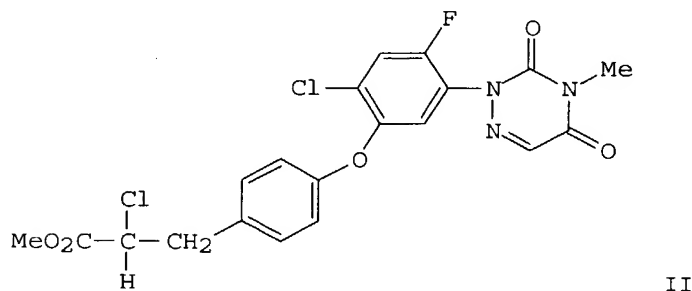
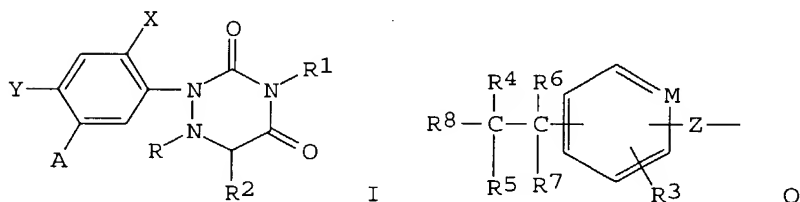
LA English

FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | US 4956004 | A    | 19900911 | US 1989-350053  | 19890510 |
|    |            |      |          | US 1989-350053  | 19890510 |

OS MARPAT 114:81892

GI



AB Title compds. I [R1 = (halo)alkyl; R, R2 = H, or RR2 = bond; X = H, halo, (halo)alkyl, NO2; Y = H, halo, alkyl(thio), (halo)alkyl, (halo)alkoxy, SOCF3; A = Q; R3 = H, halo, (halo)alkyl, NO2, NH2, alkoxy, alkylthio, cyano; R4 = H, halo, alkyl, alkenyl, alkynyl; R5 = H, halo, alkyl, alkenyl, alkynyl, cyano, R8; R6 = H, halo, alkyl, alkoxy; or R5R6 = bond; R7 = H, alkyl; R8 = CHO, CO2H and salts, alkoxycarbonyl, cyano, etc.; Z = O, S, NH, alkylimino; M = CH, NJ, useful as herbicides (no data), are prepared For example, II is prepared in 3 steps from 2-(4-chloro-2-fluoro-5-hydroxyphenyl)-4-methyl-1,2,4-triazine-3,5(2H,4H)-dione.



=> s diarylsulfides  
L6 9 DIARYLSULFIDES

=> s l6 and cinnamide  
L7 0 L6 AND CINNAMIDE

=> s cinnamides  
L8 61 CINNAMIDES

=> s l8 and l6  
MISSING OPERATOR L8 AND L6  
The search profile that was entered contains terms or  
nested terms that are not separated by a logical operator.

=> s l8 and l6  
L9 0 L8 AND L6

=> d his

(FILE 'HOME' ENTERED AT 17:22:40 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004  
L1 STRUCTURE UPLOADED  
L2 11 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004  
L3 48 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004  
L4 4 S L2  
L5 48 S L3  
L6 9 S DIARYLSULFIDES  
L7 0 S L6 AND CINNAMIDE  
L8 61 S CINNAMIDES  
L9 0 S L8 AND L6

=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:757675 CAPLUS  
DN 139:276699  
TI Preparation of fluorinated diaryl sulfides and their use in positron  
emission tomography for imaging serotonin transporters  
IN Kung, Hank F.; Shiue, Chyng-Yann  
PA USA  
SO PCT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.    | KIND | DATE     | APPLICATION NO. | DATE  |
|----|---------------|------|----------|-----------------|---|
|    | -----         |      | -----    | -----           | -----   |
| PI | WO 2003078393 | A2   | 20030925 | WO 2003-US7935  | 20030314  |
|    | W:            |      |          |                 |   |
|    |               |      |          |                 | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, |
|    |               |      |          |                 | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, |
|    |               |      |          |                 | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, |

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
 GW, ML, MR, NE, SN, TD, TG

US 2003236234

A1

20031225

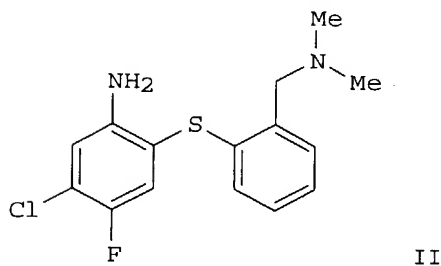
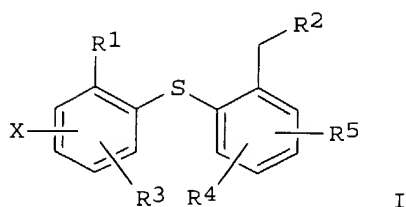
US 2002-364905PP 20020314

US 2003-388363 20030314

US 2002-364905PP 20020314

OS MARPAT 139:276699

GI



AB Title compds. I [wherein R1 = hydroxyalkyl, haloalkyl, nitro, azido, halo, amino and derivs.; R2 = amino and derivs.; R3, R4, R5 = independently H, halo, cyano, alkyl, halo(alkyl/alkanoyl), alkoxy; X = H or halo provided that one of R1, R2, R3, R4, R5 or X contains 18F, and if R1 = NH2, and R2 = N(CH3)2, then R3 = H, halo, cyano, alkyl, halomethyl or alkoxy, and if R1 = fluoromethyl, then R3 and X are other than 4-iodine; and their pharmaceutically acceptable salts, esters, amides or prodrugs] were prepared as imaging agents of serotonin transporters (SERT) in positron emission tomog. (PET). For example, [18F]II was prepared, in 15% radiochem. yield (radiochem. purity > 99%), by nucleophilic substitution of [2-chloro-5-[2-[(dimethylamino)carbonyl]phenylthio]-4-nitrophenyl]trimethylammonium trifluoromethanesulfonate (preparation given) with [18F]F<sup>-</sup> (cyclotron source)/Kryptofix[2.2.2]/K2CO3 at 55° for 10 min, reduction with BH3/THF at 55° for 25 min, and reduction with SnCl2 at room temperature for 20 min. Unlabeled II displayed excellent binding affinity to SERT (Ki = 0.05 nM, in membrane preps. of LLC-PK1-cloned cell lines expressing the specific monoamine transporter) and showed more than 1,000-fold selectivity for SERT over dopamine transporter and

norepinephrine transporter ( $K_i = 3,020$  nM and 650 nM resp.). [18F]II displayed excellent initial brain uptake in rats (3.27% dose/organ at 2 min after iv injection), and showed the highest uptake in the hypothalamus region (60-120 min after injection). The specific uptake in hypothalamus, striatum and hippocampus regions was blocked by pretreatment with the SERT-selective competitor (+)McN5652, whereas other noncompeting drugs showed no effect. In vivo metabolism study of [18F]II in rats showed that this compound was not metabolized in rat brain (95.5% of radioactivity was recovered as the original [18F]II). Thus, I are used in pharmaceutical compns. and their diagnostic compns. are useful for imaging serotonin transporters.

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:447122 CAPLUS  
DN 139:214004

TI A new application of layered double hydroxides as solid bases for the sulfoxidation reaction activation

AU Hulea, Vasile; Fajula, Francois; Dumitriu, Emil

CS Laboratory of Catalysis, Technical University of Iasi, Iasi, 6600, Rom.

SO Revue Roumaine de Chimie (2003), Volume Date 2002, 47(7), 657-662

CODEN: RRCHAX; ISSN: 0035-3930

PB Editura Academiei Romane

DT Journal

LA English

AB The layered double hydroxides (LDHs) are able to promote the sulfoxidn. of thioethers and thiophene derivs. with H peroxide in the presence of acetonitrile. The last serves both as reagent and solvent. The active species in the oxidation reaction is the peroxyimide acid arising from the addition of H peroxide to acetonitrile promoted by LDH as base catalyst. The reactivity of S compds. in the sulfoxidn. reaction with H<sub>2</sub>O<sub>2</sub> is correlated to the nucleophilicity of the S atom, so that **diarylsulfides** are more easily oxidized than dibenzothiophene. A competition between the sulfoxidn. reaction and the direct decomposition of H peroxide was observed

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1997:111678 CAPLUS  
DN 126:225058

TI Nucleophilic properties of thiourea towards aromatic halides

AU Combellas, C.; Dellerue, S.; Mathey, G.; Thiebault, A.

CS Lab. Chimie Electrochimie Materiaux Mol., ESPCI, Paris, 75231, Fr.

SO Tetrahedron Letters (1997), 38(4), 539-542

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

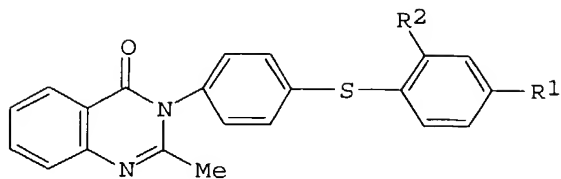
LA English

OS CASREACT 126:225058

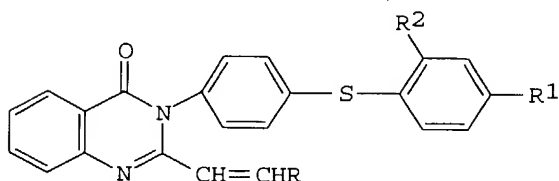
AB Arylsulfides and diaryldisulfides (obtained spontaneously by oxidation of the arylsulfides during the work-up) and **diarylsulfides** were obtained by substituting aryl radicals by a thiourea anion in liquid ammonia under an electrochem. inducement. For example, the reaction of 4-chlorobenzonitrile in the presence of 4,4'-dipyridyl as mediator gave 4-mercaptobenzonitrile (34% yield), 4,4'-dithiobis[benzonitrile] (13% yield) and 4,4'-thiobis[benzonitrile] (14% yield).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

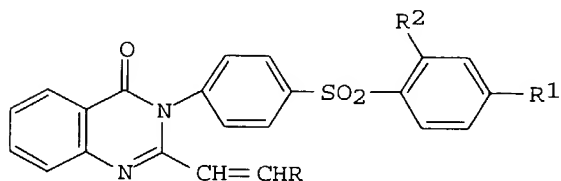
- L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1987:406839 CAPLUS  
 DN 107:6839  
 TI Some new reactions in benzene derivatives  
 AU Oda, Ryohei  
 CS Kyoto Univ., Kyoto, Japan  
 SO Senryo to Yakuhin (1986), 31(8), 214-24  
 CODEN: SETYAL; ISSN: 0370-9671  
 DT Journal; General Review  
 LA Japanese  
 AB A review with 12 refs. on deoxybenzoylation of phenols and enols, reaction between phenols and 1-dodecene in presence of  $\text{Al(OPh)}_3$ , synthesis of bis(2-hydroxyaryl)phosphinic acid, reactions of 2,6-di-tert-butyl-1,4-benzoquinone with dialkyl phosphites, PPO resin modified with bisphenol at both end groups, synthesis of N-methylindole derivs. from N-methylaniline and glycol using  $\text{RuCl}_2$  as catalyst, formation of 1-phenylbenzimidazole from azobenzene and  $\text{Bu}_3\text{N}$  using  $\text{RuCl}_3$  as catalyst, substitution reaction of polychlorobenzene with thiolate anion, synthesis of **diarylsulfides**, synthesis of p-terphenyl and unsym. biaryls, synthesis of o-, and m- and p-chloromethylstyrene, and selective mono-tert-butylation of aromatic compds.
- L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1983:64602 CAPLUS  
 DN 98:64602  
 TI Complexes of palladium(II) with tertiary arsines  
 AU Serban, V.; Serban, Ileana  
 CS Rom.  
 SO Buletinul Institutului Politehnic Gheorghe Gheorghiu-Dej Bucuresti, Seria Chimie-Metalurgie (1982), 44(1), 73-7  
 CODEN: BPGCDL; ISSN: 0378-9616  
 DT Journal  
 LA Romanian  
 AB  $\text{PdX}_2\text{L}_2$  (x = Cl, Br, I, NO<sub>2</sub>; L =  $\text{As}(\text{CH}_2\text{C}_6\text{H}_5)_3$ ),  $\text{Pd}_2\text{Cl}_4\text{L}_2$ , and  $\text{PdCl}_2\text{LL}_2$  (L<sub>2</sub> = py,  $\text{AsPh}_3$ ,  $\text{PPh}_3$ ) were prepared and characterized by IR, elec. conductivity, and dipole moment measurements and elemental analyses.  $\text{PdCl}_2\text{L}_2$  was obtained in the reaction between  $\text{PdCl}_2$  and  $\text{As}(\text{CH}_2\text{C}_6\text{H}_5)_3$ . Other  $\text{PdX}_2\text{L}_2$  were obtained by metathesis. trans- $\text{PdXCl}_2\text{LL}'$  were obtained by disruption of Cl bridges in  $\text{Pd}_2\text{Cl}_4\text{L}_2$  by amines, phosphines, arsines, dialkyl- or **diarylsulfides**.
- L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1981:497704 CAPLUS  
 DN 95:97704  
 TI Synthesis of some new **diarylsulfides** and diarylsulfones containing a quinazol-4-one moiety  
 AU Abbady, Mahmoud A.; Ali, Morsy M.; Kandeel, Maymouna M.  
 CS Chem. Dep., Assiut Univ., Assiut, Egypt  
 SO Journal of Chemical Technology and Biotechnology (1979-1982) (1981), 31(2), 111-14  
 CODEN: JCTBDC; ISSN: 0142-0356  
 DT Journal  
 LA English  
 OS CASREACT 95:97704  
 GI



I



II



III

AB Diaryl sulfides and sulfones containing the 4-quinazolinone moiety were prepared, characterized, and their biol. activity was tested. 4-Amino-4'- and 4-amino-2'-nitrodiphenyl sulfide were condensed with 2-methyl-3,1-benzoxazin-4-one to give I (R1 = NO2, R2 = H; R1 = H, R2 = NO2). II (R1 = NO2, H; R2 = H, NO2; R = Ph, p-O2NC6H4, p-Me2NC6H4, p-MeOC6H4, o-HOC6H4, PhCH:CH) were prepared by heating I with aromatic aldehydes using piperidine as a basic catalyst. II oxidized in H2O2-AcOH to give III. II and III (R1 = NO2, R2 = H, R = p-MeOC6H4, PhCH:CH) showed .apprx.60-75% inhibition of bacteria, e.g. Escherichia coli, at 1 + 10<sup>-1</sup> to 1 + 10<sup>-5</sup>M.

L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1981:111312 CAPLUS

DN 94:111312

TI Anodic oxidation of **diarylsulfides** and some cyclic sulfur-containing compounds

AU Voronkov, M. G.; Deryagina, E. N.; Deriglazov, N. M.; Shagun, L. G.; Anisimova, M. I.

CS Inst. Org. Khim., Irkutsk, USSR

SO Zhurnal Obshchei Khimii (1980), 50(11), 2603-7

CODEN: ZOKHA4; ISSN: 0044-460X

DT Journal

LA Russian

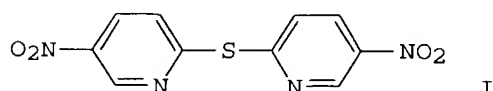
AB The electrochem. oxidation was studied of substituted diaryl sulfides, their thiophene analogs and S-containing heterocycles on a Pt rotating electrode in a medium of MeCN in 0.1N LiClO4 supporting electrolyte. The half-wave potentials and activation energies of oxidation of the studied compds. were determined Preparative electrolysis of certain compds. established that the compds. are oxidized to the sulfoxides under the conditions of the study.

L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1980:158264 CAPLUS

DN 92:158264

TI Dipole moments, molecular conformations and in vitro antibacterial activity of some diaryl sulfides  
 AU Pappalardo, G. C.; Scarlata, G.; Blandino, G.  
 CS Ist. Dip. Chim. Chim. Ind., Univ. Catania, Catania, Italy  
 SO Farmaco, Edizione Scientifica (1979), 34(12), 1015-21  
 CODEN: FRPSAX; ISSN: 0430-0920  
 DT Journal  
 LA English  
 GI



AB The 2-pyridyl-Ph sulfide [3111-54-4], di-2-pyridyl sulfide [4262-06-0] and its p-nitro [4262-10-6] and p,p-dinitro [73322-00-6] derivs. were synthesized and tested for the vitro antibacterial activity against strains of gram-pos. and gram-neg. bacteria. Dipole moments (in benzene, 25°) were also measured and analyzed in terms of mol. conformation of these compds. The sulfides examined were slightly active (minimal inhibitory concns., ≤100) only against gram-pos. bacteria, the bis-(5-nitro-2-pyridyl)sulfide (I) [2127-11-9] being the most effective one. The relatively low antibacterial activity of diaryl sulfides when compared to that previously observed for corresponding analogous diaryl sulfones was discussed in relation to the marked difference of the conformational properties determined for the 2 system series.

L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1975:593949 CAPLUS  
 DN 83:193949

TI New aromatic polyamides. I. Polyamides from 4,4'-benzophenonedicarboxylic acid dichloride

AU Guidotti, V.; Johnston, N. J.

CS Langley Res. Cent., NASA, Hampton, VA, USA

SO Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (1974), 15(1), 570-5  
 CODEN: ACPPAY; ISSN: 0032-3934

DT Journal

LA English

AB Thermogravimetric, thermochem., and solubility properties were determined for 16-aromatic polyamides made from 4,4'-benzophenonedicarbonyl chloride and diamines selected from the following 5 types: benzophenones, diphenylmethanes, diphenylsilyls, **diarylsulfides**, and compds. containing 3 phenyl groups separated by methylene and/or carbonyl groups. Meta orientation in the amine moiety was very effective in decreasing glass temperature regardless of the nature of the flexible linking groups between the aromatic rings. Diamines containing meta and para linkages gave rise to polyamides with glass temps. closer to those from m,m'-isomers than to those originated by the p,p'-isomers. The dimethylsilyl group was the most effective linkage for lowering glass temperature. An increase in the length of the repeat unit reduced glass temps. Nearly all of the polyamides had 2 addnl. regions of mech. loss below the glass temperature

=&gt; d his

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FILE 'REGISTRY' ENTERED AT 17:23:14 ON 28 APR 2004

L1 STRUCTURE UPLOADED

L2 11 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 17:23:51 ON 28 APR 2004

L3 48 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:25:17 ON 28 APR 2004

L4 4 S L2

L5 48 S L3

L6 9 S DIARYLSULFIDES

L7 0 S L6 AND CINNAMIDE

L8 61 S CINNAMIDES

L9 0 S L8 AND L6

=&gt; d 18 fbib hitstr abs total

L8 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:226476 CAPLUS

TI N-(2-Amino-phenyl)-4-(oxo/dioxo-heteroarylmethyl)-benzamides: Novel histone deacetylase inhibitors

AU Paquin, Isabelle; Vaisburg, Arkadii; Bouchain, Giliane; Frechette, Sylvie; Gaudette, Frederic; Leit, Silvana; Moradei, Oscar; Raeppl, Stephane; Zhou, Nancy Zhihong; Woo, Soon Hyung; Jin, Zhiyun; Gillespie, Jeff; Wang, James; Fournel, Marielle; Yan, Pu T.; Trachy-Bourget, Marie-Claude; Kalita, Ann; Lu, Aihua; Beaulieu, Carole; Li, Zuomei; Robert, Marie-France; Macleod, Robert; Besterman, Jeffrey; Delorme, Daniel

CS Department of Medicinal Chemistry, MethylGene Inc, Montreal, QC, H4S2A1, Can.

SO Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004 (2004), MEDI-118 Publisher: American Chemical Society, Washington, D. C.

CODEN: 69FGKM

DT Conference; Meeting Abstract

LA English

AB Histone acetylation / deacetylation in eukaryotic cells is essential for chromatin remodeling and the regulation of gene transcription. Histone deacetylases (HDACs) and histone acetyltransferases (HATs) are enzymes that catalyze deacetylation (associated with transcriptional silencing) and acetylation (associated with transcriptional activation) of lysine residues located in the NH2 terminal tails of core histones. Perturbations of this balance have been linked to cancer. Inhibition of HDACs is emerging as a novel therapeutic strategy against cancer. In our efforts to identify novel non-hydroxamate HDAC inhibitors with high potency and a low toxicity, we initially designed arylsulfonamido-2-aminophenyl **cinnamides** and 2-aminophenylamides of  $\omega$ -substituted alkanolic acids. Here we present the synthesis and biol. evaluation of N-(2-amino-phenyl)-4-(oxo/dioxo-heteroarylmethyl)-benzamides. These compds. were shown to inhibit partially purified human HDAC with IC 50 of low micromolar range, induce hyperacetylation of histones, expression of p21, and inhibit proliferation of human cancer cells. Certain compds. of this class were active in vivo against different cancer cell lines.

L8 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:153597 CAPLUS  
 TI Solid-phase synthesis of an N-(phenylalkyl)cinnamide library via Horner-Wadsworth-Emmons reaction  
 AU Weber, Csaba; Bielik, Attila; Szendrei, Gyorgyi I.; Keseru, Gyorgy M.; Greiner, Istvan  
 CS Chemical and Biotechnological Research and Development, Gedeon Richter Ltd, Budapest, H-1475, Hung.  
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1279-1281  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 AB A readily automated solid-phase approach to the synthesis of diverse N-(phenylalkyl)**cinnamides**, analogs of the NR2B antagonist 2, is described. The procedure utilizes polymer supported N-(phenylalkyl)amines, (diethylphosphono)acetic acid and a wide range of com. available hydroxybenzaldehydes. The key step, a Horner-Wadsworth-Emmons reaction is achieved under mild conditions and was found to be general for a large number of benzaldehydes. A 225-member focused library was synthesized using a Tecan Combitec synthesizer.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:235035 CAPLUS  
 DN 139:285618  
 TI QSAR Study on Some p-Arylthio **Cinnamides** as Antagonists of Biochemical ICAM-1/LFA-1 Interaction and ICAM-1/JY-8 Cell Adhesion in Relation to Anti-inflammatory Activity  
 AU Debnath, Bikash; Samanta, Soma; Roy, Kunal; Jha, Tarun  
 CS Department of Pharmaceutical Technology, Division of Pharmaceutical and Medicinal Chemistry, Jadavpur University, Kolkata, 700 032, India  
 SO Bioorganic & Medicinal Chemistry (2003), 11(8), 1615-1619  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB To find out the chemical and structural features of some p-arylthio **cinnamides** 1 as antagonists of biochem. ICAM-1/LFA-1 interaction as well as ICAM-1/JY-8 cell adhesion in relation to anti-inflammatory activity, QSAR study was performed. Steric effect on the arylthio ring and lipophilic substitutions at 2,3-positions, especially 2,3-disubstitution with Cl or CF<sub>3</sub> or both on **cinnamides** 1 were conducive to the activity, whereas simultaneous presence of methoxy group at arylthio ring and NCOCH<sub>3</sub> group at heterocyclic ring of **cinnamides** 1 were detrimental to activity in antagonism of biochem. ICAM-1/LFA-1 interaction. When inhibition of ICAM-1/JY-8 cell adhesion was considered, lipophilic substitution on ring B and simultaneous presence of CF<sub>3</sub> groups at 2 and 3 positions of the ring B were advantageous to antagonism. This QSAR study showed that B ring has played the most important role for both types of activities.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2002:293624 CAPLUS  
 DN 136:309854  
 TI Preparation of N-(4-aryloxypiperidin-1-ylalkyl) **cinnamides** as



chemokine CCR3 receptor antagonists.

IN Bhalay, Gurdip; Howe, Trevor John; Le Grand, Darren Mark  
 PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.  
 SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 2

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE       |
|----|---------------|--|----------|------------------|------------|
| PI | WO 2002030899 | A1   | 20020418 | WO 2001-EP11628  | 20011008   |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |            |
|    | RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-6030     | A 20010312 |
|    | AU 2002015928 | A5   | 20020422 | AU 2002-15928    | 20011008   |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-6030     | A 20010312 |
|    |               |  |          | WO 2001-EP11628W | 20011008   |

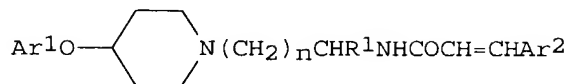
## PATENT FAMILY INFORMATION:

FAN 2002:293623

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE       |
|----|---------------|--|----------|------------------|------------|
| PI | WO 2002030898 | A1   | 20020418 | WO 2001-EP11627  | 20011008   |
|    | W:            | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |            |
|    | RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                  |            |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-20549    | A 20010823 |
|    | AU 2001095601 | A5   | 20020422 | AU 2001-95601    | 20011008   |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-20549    | A 20010823 |
|    |               |  |          | WO 2001-EP11627W | 20011008   |
|    | EP 1330436    | A1   | 20030730 | EP 2001-976285   | 20011008   |
|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                  |            |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-20549    | A 20010823 |
|    | BR 2001014485 | A  | 20031118 | WO 2001-EP11627W | 20011008   |
|    |               |  |          | BR 2001-14485    | 20011008   |
|    |               |  |          | GB 2000-24675    | A 20001009 |
|    |               |  |          | GB 2001-20549    | A 20010823 |
|    | JP 2004511467 | T2   | 20040415 | WO 2001-EP11627W | 20011008   |
|    |               |  |          | JP 2002-534284   | 20011008   |
|    |               |  |          | GB 2000-24675    | A 20001009 |

GB 2001-20549 A 20010823  
WO 2001-EP11627W 20011008

OS MARPAT 136:309854  
GI



AB Title compds. (I; Ar1 = (substituted) Ph; Ar2 = (substituted) Ph, naphthyl; R1 = H, alkyl; n = 1-4), were prepared Thus, 2-(formyl-3-methoxyphenoxy)ethyl polystyrene resin in MeOH/CH2Cl2 was shaken 16 h with H2NCH2CH2OH and NaBH(OAc)3; the resulting dried resin was shaken 18 h with imidazole, I2, imidazole, and Ph3P in THF/MeCN to give an uncharacterized resin intermediate. The latter was heated with 4-(4-fluorophenoxy)piperidine and diisopropylethylamine in DMF at 55° for 6 h and at room temperature for 3 days. The resulting resin was shaken 20

h with (E)-3-(5-cyano-2-methoxyphenyl)acrylic acid, diisopropylethylamine, and O-(7-azobenzotriazol-1-yl) N,N,N',N'-tetramethyluronium hexafluorophosphate in DMF followed by treatment of the resulting resin with CF3CO2H in CH2Cl2 for 1 h to give (E)-3-(5-cyano-2-methoxyphenyl)-N-[2-[4-(4-fluorophenoxy)piperidin-1-yl]ethyl]acrylamide trifluoroacetate. Tested I bound to CCR3 receptors with IC50 ≤ 1 μM.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:758465 CAPLUS

DN 136:47984

TI Discovery of Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide

AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.

CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA

SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB We have shown that p-arylthio **cinnamides** can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Compds. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examined using an NMR based model of the LFA-1 I domain/compound 31 complex. One of our compds. (38) was able to

reduce cell migration in two different in vivo expts.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:693264 CAPLUS  
DN 135:257269  
TI Preparation of N-heterocyclyl amide compounds as 5-HT antagonists  
IN Yamada, Akira; Tomishima, Masaki; Hayashida, Hisashi; Imanishi, Masashi;  
Spears, Glen W.; Ito, Kiyotaka; Takahashi, Fumie; Miyake, Hiroshi  
PA Fujisawa Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 239 pp.  
CODEN: PIXXD2

DT Patent  
LA Japanese

FAN.CNT 1

|    | PATENT NO.    | KIND   | DATE     | APPLICATION NO.  | DATE     |
|----|---------------|--|----------|------------------|----------|
| PI | WO 2001068585 | A1   | 20010920 | WO 2001-JP1993   | 20010313 |
|    | W:            | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                  |          |
|    | RW:           | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                  |          |
|    |               |  |          | JP 2000-70127 A  | 20000314 |
|    |               |  |          | JP 2000-305947 A | 20001005 |
|    | AU 2001041128 | A5   | 20010924 | AU 2001-41128    | 20010313 |
|    |               |  |          | JP 2000-70127 A  | 20000314 |
|    |               |  |          | JP 2000-305947 A | 20001005 |
|    |               |  |          | WO 2001-JP1993 W | 20010313 |
| EP | 1264820       | A1   | 20021211 | EP 2001-912338   | 20010313 |
|    | R:            | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR   |          |                  |          |
|    |               |  |          | JP 2000-70127 A  | 20000314 |
|    |               |  |          | JP 2000-305947 A | 20001005 |
|    |               |  |          | WO 2001-JP1993 W | 20010313 |

OS CASREACT 135:257269; MARPAT 135:257269

AB Amides compds. represented by the general formula R1-A-X-NHCO-Y-R2 [wherein R1 is an optionally substituted heterocyclic group or optionally substituted phenyl; R2 is optionally substituted fused Ph, optionally substituted Ph, or optionally substituted thienyl; A is a group represented by the formula -(CH2)t-(O)m- or -(CR3R4)pNR5(CO)n- (wherein R3 and R4 each is hydrogen or R3 and R4 in combination form imino; R5 is hydrogen or lower alkyl; t is 0, 1, or 2; and p, m, and n each is 0 or 1); X is optionally substituted phenylene or an optionally substituted, divalent, nitrogenous heterocyclic group; and Y is a bond, lower alkylene, or lower alkenylene] and salts thereof are prepared. These amides include phenylacetamide, **cinnamides**, 1H-indole-7-carboxamides, 3-(2-pyridyl)-2-propenamides, 5-phenyl-2-thiophenecarboxamides, 9H-carbazolecarboxamides, 3-phenyl-2-propenamides, 9H-fluorene-1-carboxamides, 2,3-dihydrobenz[b]oxepine-4-carboxamides, 1H-benzo[b]thiepin-4-carboxamides, and 3-(1H-indol-3-yl)-2-propenamides. They are antagonists of 5-hydroxytryptamine (5-HT), in particular 5-HT2c, and are useful for the treatment of 5-HT-mediated diseases such as (1) central nervous system disorders including anxiety, depression,

obsessive-compulsive neurosis, migraine headache, anorexia, Alzheimer's disease, sleep disorder, over-eating, and panic, (2) withdrawal symptom caused by cocaine, ethanol, nicotine, and benzodiazepine, (3) schizophrenia, (4) spinal cord injury, and /or (5) head injury such as hydrocephalus. Thus, SOCl<sub>2</sub> was added to a solution of (E)-4-phenyl-3-butenic acid in benzene, heated under reflux for 1 h, and cooled, followed by adding 3-(imidazol-1-yl)aniline and Et<sub>3</sub>N, and the resulting mixture was stirred at room temperature for 1 h to give (3E)-N-[3-(imidazol-1-yl)phenyl]-4-phenyl-3-butenamide (I). I in vitro inhibited by 82% the binding of [3H]mesulergine to 5-HT<sub>2c</sub> receptor which was prepared from rat frontal lobe cortex.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:555592 CAPLUS  
DN 135:282681  
TI Discovery of Potent Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 3. Amide (C-Ring) Structure-Activity Relationship and Improvement of Overall Properties of Arylthio **Cinnamides**  
AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Reilly, Edward B.; Lubbers, Nathan L.; Huth, Jeffery R.; Link, James T.; von Geldern, Thomas W.; Cox, Bryan F.; Leitz, Sandra; Gao, Yi; Marsh, Kennan C.; DeVries, Peter; Okasinski, Greg F.  
CS Departments of Metabolic Disease Research Integrative Pharmacology Advanced Technology and Drug Analysis Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA  
SO Journal of Medicinal Chemistry (2001), 44(18), 2913-2920  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
AB The interaction of LFA-1 and ICAM-1 plays an important role in the cell adhesion process. On the basis of previously reported SAR and structural information on the binding of our p-arylthiocinnamide series to LFA-1, we have identified the cyclic amide (C-ring) as a site for modification. Improvement in potency and, more importantly, in the phys. properties and pharmacokinetic profiles of the leading compds. resulted from this modification. One of the best compds. (11f) is also shown to reduce myocardial infarct size in rat.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:202150 CAPLUS  
TI Potent antagonists of leukocyte function-associated antigen-1/intracellular adhesion molecule-1 interaction  
AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Winn, Marty; Link, James T.; von Geldern, Thomas; Reilly, Edward; Leitz, Sandra; DeVries, Peter; Gao, Yi; Okasinski, Greg F.  
CS Abbott Laboratories, Abbott Park, IL, 60064, USA  
SO Abstracts of Papers - American Chemical Society (2001), 221st, MEDI-246  
CODEN: ACSRAL; ISSN: 0065-7727  
PB American Chemical Society  
DT Journal; Meeting Abstract  
LA English  
AB A series of p-arylthio **cinnamides**-based antagonists of leukocyte

function-associated antigen-1/intracellular adhesion mol.-1 (LFA-1/ICAM-1) interaction were prepared with the aim of improving the phys. properties as well as the potencies. The SAR and in vivo activity will be presented.

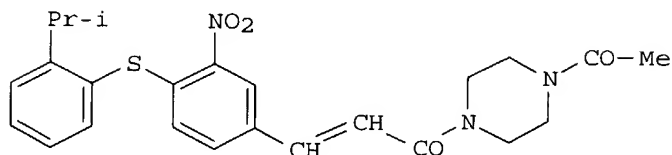
- L8 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:201915 CAPLUS  
 TI Novel p-Arylthio **cinnamides** as antagonists of LFA-1/ICAM-1 interaction, 2: Determination of the mechanism of inhibition and structure-based SAR approach for improved pharmaceutical properties  
 AU Liu, Gang; Huth, Jeff, R.; Olejniczak, Edward, T.; Mendoza, Renaldo; DeVries, Peter; Reiley, Edward, B.; Leitz, Sandra; Okasinski, Gregory, F.; von Geldern, Thomas, W.; Fesik, Stephen, W.  
 CS D-47R, AP10, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA  
 SO Abstracts of Papers - American Chemical Society (2001), 221st, MEDI-020 CODEN: ACSRAL; ISSN: 0065-7727  
 PB American Chemical Society  
 DT Journal; Meeting Abstract  
 LA English  
 AB Recently, a novel series of p-arylthio **cinnamides** has been disclosed from this laboratory as potent antagonists of LFA-1/ICAM-1 interaction, represented by A-286982. These compds. were found to bind to the I domain of LFA-1 using 2D 15N/1H HSQC spectra of 15N-labeled LFA-1 I domain. On the basis of NOE studies between A-286982 and I domain of LFA-1, a model of the complex was constructed, revealing that the compound does not interact with the Metal Ion Dependent Adhesion Site (MIDAS). Instead it binds to the previously proposed I domain allosteric site (IDAS) of LFA-1, and likely modulates the activation of LFA-1 by interacting with this regulatory site. A fragment-based NMR screening strategy was applied to identify small, more water-soluble ligands that bind to a specific region of the IDAS. When incorporated into the parent cinnamide template, the resulting analogs exhibited improved aqueous solubility and pharmacokinetic profiles.
- L8 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:192987 CAPLUS  
 DN 135:160  
 TI Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 2. Mechanism of Inhibition and Structure-Based Improvement of Pharmaceutical Properties  
 AU Liu, Gang; Huth, Jeffrey R.; Olejniczak, Edward T.; Mendoza, Renaldo; DeVries, Peter; Leitz, Sandra; Reilly, Edward B.; Okasinski, Gregory F.; Fesik, Stephen W.; von Geldern, Thomas W.  
 CS Metabolic Disease Research and Research NMR Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA  
 SO Journal of Medicinal Chemistry (2001), 44(8), 1202-1210 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 135:160  
 AB The interaction between leukocyte function-associated antigen-1 (LFA-1) and intracellular adhesion mol.-1 (ICAM-1) has been implicated in inflammatory and immune diseases. Recently, a novel series of p-arylthio **cinnamides** has been described as potent antagonists of the LFA-1/ICAM-1 interaction. These compds. were found to bind to the I domain of LFA-1 using two-dimensional NMR spectroscopy of 15N-labeled

LFA-1 I domain. On the basis of NOE studies between a certain compound and the I domain of LFA-1, a model of the complex was constructed. This model revealed that this compound does not directly inhibit ICAM-1 binding by interacting with the metal ion dependent adhesion site (MIDAS). Instead, it binds to the previously proposed I domain allosteric site (IDAS) of LFA-1 and likely modulates the activation of LFA-1 through its interaction with this regulatory site. A fragment-based NMR screening strategy was applied to identify small, more water-soluble ligands that bind to a specific region of the IDAS. When incorporated into the parent cinnamide template, the resulting analogs exhibited increased aqueous solubility and improved pharmacokinetic profiles in rats, demonstrating the power of this NMR-based screening approach for rapidly modifying high-affinity ligands.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L8 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:796169 CAPLUS  
TI Discovery of novel p-arylthio **cinnamides** as antagonists of LFA-1/ICAM-1 interaction. I. Identification of an additional binding site based on an anilino diaryl sulfide lead.  
AU Liu, Gang; Link, James, T.; Pei, Zhonghua; von Geldern, Thomas W.; Reilly, Edward B.; Leitz, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Greg F.  
CS Metabolic Disease Research, Abbott Laboratories, Abbott Park, IL, 60064, USA  
SO Abstracts of Papers - American Chemical Society (2000), 220th, MEDI-171  
CODEN: ACSRAL; ISSN: 0065-7727  
PB American Chemical Society  
DT Journal; Meeting Abstract  
LA English  
AB The interaction between leukocyte function-associated antigen-1 (LFA-1, CD18/CD11a), a member of b2 integrin family of adhesion mol., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. Based on an anilino diaryl sulfide screening lead 1, in combination with pharmacophore anal. of other screening hits, we have identified an addnl. binding pocket adjacent to where the parent compound 1 binds. Subsequently, a para-cinnamido linker was discovered to be the preferred one to access this binding site from the parent compound. Solution phase parallel synthesis enabled us to quickly optimize the amine partner for this pocket. In conjunction with fine tuning of the aryl substituents, we have discovered a novel series of potent, nonpeptidic inhibitors of LFA-1/ICAM-1 interaction, represented by A-286982 (2) with IC50s of 44 nM and 35 nM in the LFA-1/ICAM-1 biochem. assay and LFA-1/ICAM-1-mediated cellular adhesion assay, resp.
- L8 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:736318 CAPLUS  
DN 134:25112  
TI Discovery of Novel p-Arylthio **Cinnamides** as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead  
AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitz, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark  
CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA  
SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040

CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 GI



I

AB The interaction between leukocyte function-associated antigen-1 (LFA-1), a member of the  $\beta$ 2-integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a critical role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Solution-phase parallel synthesis enabled rapid optimization of the **cinnamides** for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)**cinnamides** as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 476 pp.

CODEN: PIXXD2

DT Patent

LA English

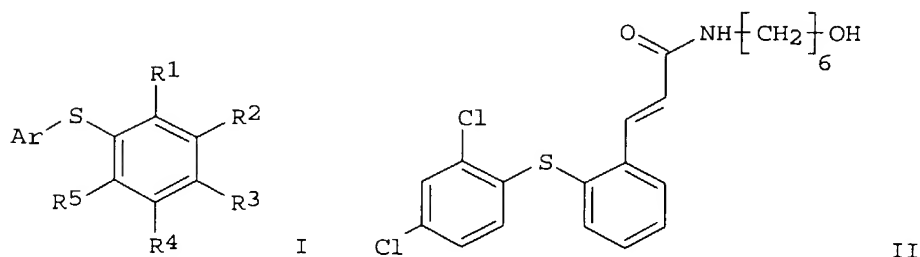
FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 2000059880  | A1   | 20001012 | WO 2000-US8895  | 20000403 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, |      |          |                 |          |

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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US 1999-474517 A 19991229  
US 2000-541795 A 20000331  
EP 1165505 A1 20020102 EP 2000-921654 20000403  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO  
US 1999-286645 A 19990402  
US 1999-474517 A 19991229  
WO 2000-US8895 W 20000403  
BR 2000009426 A 20020409 BR 2000-9426 20000403  
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EE 200100513 A 20021216 EE 2001-513 20000403  
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NO 2001004767 A 20011130 NO 2001-4767 20011001  
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BG 106029 A 20020531 BG 2001-106029 20011018  
US 1999-286645 A 19990402  
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HR 2001000776 A1 20021231 HR 2001-776 20011023  
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US 2000-541795 A 20000331  
WO 2000-US8895 W 20000403  
ZA 2001008944 A 20030702 ZA 2001-8944 20011030  
US 1999-286645 A 19990402

OS MARPAT 133:296281  
GI



AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO<sub>2</sub>, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepared as cell adhesion inhibitors for the treatment of inflammatory and immune



diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixture of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (preparation given), malonic acid, piperidine in anhydrous pyridine was heated at 110°C for 2 h and then treated with aqueous HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4  $\mu$ M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4  $\mu$ M and 0.6  $\mu$ M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:269999 CAPLUS  
DN 133:43280  
TI Stereoselective radical bromination of  $\alpha$ -chlorohydrocinnamic acid derivatives  
AU Wong, Leh See; Chan, Bun; Tan, Eng Wui  
CS Department of Chemistry, University of Otago, Dunedin, N. Z.  
SO Tetrahedron Letters (2000), 41(15), 2671-2674  
CODEN: TELEAY; ISSN: 0040-4039  
PB Elsevier Science Ltd.  
DT Journal  
LA English  
OS CASREACT 133:43280  
AB Reaction of (S)-2-chloro-3-phenylpropanoic acid derivs. with N-bromosuccinimide gave the corresponding 3-bromo 2-chloro derivs. with a preference for the formation of the (2R,3S) over the (2R,3R) isomers. The stereoselectivity was affected by the nature of the carboxylic acid derivative. The reaction of esters was highly stereoselective, while the reaction of amides showed varied stereoselectivity depending on the nature of the amide. Theor. studies at the UHF/3-21G\* level showed that the intermediate benzylic radical of the Me ester, the N-Me amide, and the N,N-diisopropyl amide had different energy profiles with respect to rotation of the C(2)-C(3) bond. The different stereoselectivity observed from reaction of the various acid derivs. could be attributed, at least in part, to different distribution of conformers of the radical intermediate.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

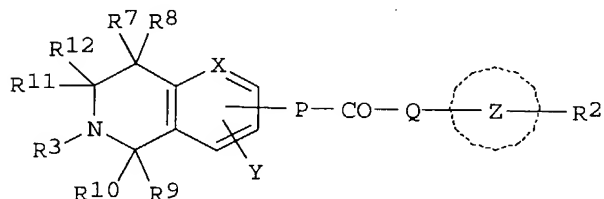
L8 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:167046 CAPLUS  
DN 133:4557  
TI Enantiopure epoxidation of electrophilic alkenes  
AU Meth-Cohn, Otto; Chen, Yi; Williams, David J.  
CS Chem. Dep., University of Sunderland, Sunderland, SR1 3SD, UK  
SO Chemical Communications (Cambridge) (2000), (6), 495-496  
CODEN: CHCOFS; ISSN: 1359-7345  
PB Royal Society of Chemistry  
DT Journal  
LA English  
OS CASREACT 133:4557  
AB Cinnamamides derived from prolinols and from proline amides are epoxidized with total retention of the alkene configuration, to give either epoxides or bicyclic derivs. thereof, essentially enantiopure, using tert-Bu

hydroperoxide and butyllithium.

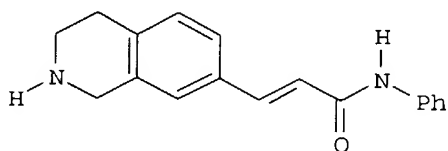
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2000:117027 CAPLUS  
DN 132:166128  
TI Preparation of substituted isoquinolines as anticonvulsants  
IN Coulton, Steven; Harling, John David; Porter, Roderick Alan; Thompson, Mervyn  
PA Smithkline Beecham Plc, UK  
SO PCT Int. Appl., 72 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

|    | PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | WO 2000007993  | A1   | 20000217 | WO 1999-EP5583  | 19990803 |
|    | W: CA, JP, US  |      |          |                 |          |
|    | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
| OS | MARPAT 132:166128  |      |          | GB 1998-16984   | 19980805 |
| GI |  |      |          |                 |          |



I



II

AB The title compds. [I; Z = a carbocyclic or heterocyclic or a fused carbocyclic or heterocyclic ring containing at least one aromatic ring; X = CH, N; Y = H, alkyl, halo; P = CH:CH and Q = NR1, or P = CH:CH and Q = NR1CH2, or P = NH and Q = CR1a:CH; R1 = H, phenylalkyl, alkyl; R1a = H, halo, phenylalkyl, alkyl; R2 = H, halo, NO2, etc.; R3 = H, phenylalkyl, alkyl, etc.; R7-R12 = H, alkyl] including tetrahydroisoquinolinyl **cinnamides** and acrylamides which are indicated to be useful for the treatment and/or prevention of anxiety, mania, depression, panic disorders and/or aggression, disorders associated with a subarachnoid hemorrhage or neural shock, the effects associated with withdrawal from substances of abuse such as cocaine, nicotine, alc. and benzodiazepines,

disorders treatable and/or preventable with anti-convulsive agents, such as epilepsy including post-traumatic epilepsy, Parkinson's disease, etc., were prepared. Thus, reacting (E)-7-(2-carboxyvinyl)-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester with aniline followed by treatment of the intermediate with trifluoroacetic acid afforded (E)-II which showed statistically significant increase (140%) in seizure threshold at 10 mg/kg p.o. in mice (MEST test).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1999:484865 CAPLUS  
DN 131:252095  
TI Structure-Activity Relationship of N-(Phenylalkyl)**cinnamides** as Novel NR2B Subtype-Selective NMDA Receptor Antagonists  
AU Tamiz, Amir P.; Cai, Sui Xiong; Zhou, Zhang-Lin; Yuen, Po-Wai; Schelkun, Robert M.; Whittemore, Edward R.; Weber, Eckard; Woodward, Richard M.; Keana, John F. W.  
CS Department of Chemistry, University of Oregon, Eugene, OR, 97403, USA  
SO Journal of Medicinal Chemistry (1999), 42(17), 3412-3420  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
AB A novel series of N-(phenylalkyl)**cinnamides** related to N-(4-phenylbutyl)-3,4-dihydroxy- $\beta$ -cyanocinnamide (6, an EGFR-K inhibitor with high antiproliferative activity) was synthesized and tested for antagonism at N-methyl-D-aspartate (NMDA) receptor subtypes. Potency and subunit selectivity were assayed by elec. recordings in Xenopus oocytes expressing three binary combinations of cloned rat NMDA receptor subunits: NR1A expressed in combination with either NR2A, NR2B, or NR2C. The N-(phenylalkyl)**cinnamides** are selective antagonists of NR1A/2B receptors. Assayed under steady-state conditions, N-(4-phenylbutyl)-4-hydroxycinnamide has an IC<sub>50</sub> value of 77 nM and >1000-fold selectivity with respect to NR1A/2A and NR1A/2C receptors. Potency at  $\alpha$ 1 adrenergic receptors is low for the four **cinnamides** tested. Inhibition of NR1A/2B receptors does not correlate with EGFR and ErbB2/neu tyrosine kinase inhibitor activity. The N-(phenylalkyl)cinnamide series we describe provides a novel and structurally diverse framework for designing new NR2B-selective NMDA antagonists as potential CNS therapeutics.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1999:280037 CAPLUS  
DN 131:153419  
TI Synthesis and vasodilative activities of cinnamide and  $\alpha$ -phenylcinnamide derivatives  
AU He, Xin; Lin, Ziyun; Zhu, Liya  
CS Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union College, Beijing, 100050, Peop. Rep. China  
SO Yaoxue Xuebao (1999), 34(3), 192-196  
CODEN: YHHPAL; ISSN: 0513-4870  
PB Yaoxue Xuebao Bianjibu  
DT Journal  
LA Chinese  
AB The synthesis and vasodilative activities of cinnamide and

$\alpha$ -phenylcinnamide derivs. were studied for searching new compds. with strong vasodilating effect. The classic Knoevenagel condensation and mixed anhydride method were used. Nine **cinnamides** and 8  $\alpha$ -phenylcinnamide derivs. were synthesized. Vasodilative activity screening in vitro showed that the olefinic linkage inserted between the benzene ring and carbonyl group was unfavorable to inhibit the contraction activity of rat aortic strip induced by noradrenaline ( $10^{-7}$  mol L<sup>-1</sup>), while the introduction of bulky groups (substituted phenyl) at  $\alpha$  position of the cinnamoyl carbonyl group might selectively enhance the inhibition activity against 85.7 mmol L<sup>-1</sup> KCl induced contraction of rat aortic strip.

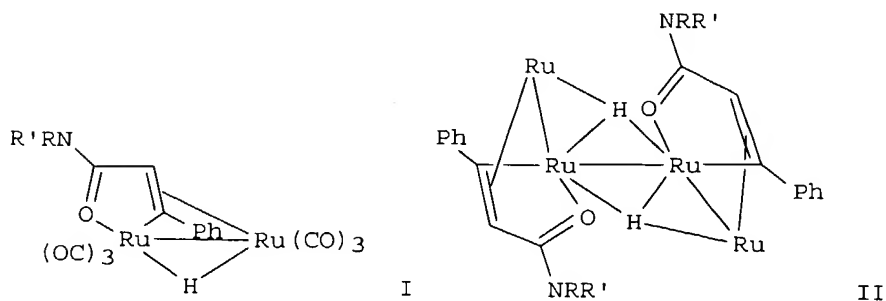
L8 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:238026 CAPLUS  
 DN 131:111148  
 TI Synthesis and vasodilating activity of benzamide and cinnamide derivatives  
 AU Fu, Huanjian; Lin, Ziyun; Zhu, Liya; Zeng, Xianyu  
 CS Institute of Materia Medica, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China  
 SO Yaoxue Xuebao (1999), 34(2), 109-113  
 CODEN: YHHPAL; ISSN: 0513-4870  
 PB Yaoxue Xuebao Bianjibu  
 DT Journal  
 LA Chinese  
 AB The benzamide and cinnamide derivs. were synthesized for selecting new drugs with strong vasodilating effect. The mixed anhydride method was used for their syntheses. Twenty benzamides (I1-12) and **cinnamides** (I11- 8) were designed and synthesized. All synthesized amides were submitted to under go pharmacol. screening. The contraction of rat aortic strip induced by 0.1  $\mu$ mol L<sup>-1</sup> noradrenaline was taken as criterion. Compound N-(4-methoxybenzoic)-N'-cinnamylpiperazine showed a potent potassium channel activator. Compound N-(3-methoxy-4-hydroxy  $\alpha$ -4-hydroxyphenylcinnamic)- N'-(3,4-methylenedioxyphenylethylamine) exhibited calcium antagonistic activity and showed potent vasodilating activity.

L8 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:812124 CAPLUS  
 DN 130:191417  
 TI Carboxy-Substituted **Cinnamides**: A Novel Series of Potent, Orally Active LTB<sub>4</sub> Receptor Antagonists  
 AU Greenspan, Paul D.; Fujimoto, Roger A.; Marshall, Paul J.; Raychaudhuri, Anil; Lipson, Kenneth E.; Zhou, Huanghai; Doti, Robert A.; Coppa, David E.; Zhu, Lijuan; Pelletier, Roberta; Uziel-Fusi, Susan; Jackson, Robert H.; Chin, Michael H.; Kotyuk, Bernard L.; Fitt, John J.  
 CS Arthritis and Bone Metabolism Research, Novartis Pharmaceuticals Corporation, Summit, NJ, 07901, USA  
 SO Journal of Medicinal Chemistry (1999), 42(1), 164-172  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PB American Chemical Society  
 DT Journal  
 LA English  
 AB A series of carboxy-substituted **cinnamides** were investigated as antagonists of the human cell surface leukotriene B<sub>4</sub> (LTB<sub>4</sub>) receptor. Binding was determined through measurement of [3H]LTB<sub>4</sub> displacement from human neutrophils. Receptor antagonism was confirmed through a functional assay, which measures inhibition of Ca<sup>2+</sup> release in human neutrophils. Potent antagonists were discovered through optimization of a random

screening hit, a p-( $\alpha$ -methylbenzyloxy)cinnamide, having low-micromolar activity. Substantial improvement of in vitro potency was realized by the attachment of a carboxylic acid moiety to the cinnamide Ph ring through a flexible tether, leading to identification of compds. with low-nanomolar potency. Modification of the benzyloxy substituent, either through ortho-substitution on the benzyloxy Ph group or through replacement of the ether oxygen with a methylene or sulfur atom, produced achiral antagonists of equal or greater potency. The most potent compds. in vitro were assayed for oral activity using the arachidonic acid-induced mouse ear edema model of inflammation. Several compds. in this series were found to significantly inhibit edema formation and myeloperoxidase activity in this model up to 17 h after oral administration. Representatives of this series have been shown to be potent and long-acting orally active inhibitors of the LTB<sub>4</sub> receptor.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:639476 CAPLUS  
DN 130:3959  
TI Binuclear and tetranuclear complexes prepared by reactions of dodecacarbonyltriruthenium with the N-substituted cinnamic acid amides. Crystal and molecular structures of [Ru<sub>2</sub>( $\mu$ -H)( $\mu$ - $\eta$ <sup>3</sup>-PhC:CHC(O)N(CH<sub>2</sub>)<sub>4</sub>(CO)6)] and [Ru<sub>4</sub>( $\mu$ <sub>3</sub>-H)<sub>2</sub>( $\mu$ - $\eta$ <sup>3</sup>-PhC:CHC(O)N(CH<sub>2</sub>)<sub>4</sub>)<sub>2</sub>(CO)10]  
AU Rybinskaya, M. I.; Stelzer, N. A.; Rybin, L. V.; Dolgushin, F. M.; Yanovsky, A. I.; Struchkov, Yu. T.; Petrovskii, P. V.  
CS A.N. Nesmeyanov Inst. Organoelement Compounds, Moscow, 117813, Russia  
SO Inorganica Chimica Acta (1998), 280(1-2), 243-248  
CODEN: ICHAA3; ISSN: 0020-1693  
PB Elsevier Science S.A.  
DT Journal  
LA English  
GI



AB The thermal reactions of Ru<sub>3</sub>(CO)<sub>12</sub> with the N-substituted cinnamic acid amides PhCH:CHCONRR' in hydrocarbons yield the binuclear and tetranuclear complexes, [Ru<sub>2</sub>( $\mu$ -H)( $\mu$ - $\eta$ <sup>3</sup>-PhC:CHC(O)NRR')(CO)6] (2; NRR' = pyrrolidinyl, NMe<sub>2</sub>, NEt<sub>2</sub>, NHMe; shown as I) and [Ru<sub>4</sub>( $\mu$ <sub>3</sub>-H)<sub>2</sub>( $\mu$ - $\eta$ <sup>3</sup>-PhC:CHC(O)NRR')(CO)10] (3; shown as II without carbonyls), involving five-membered oxaruthenacycles  $\eta$ <sup>3</sup> coordinated by the 2nd Ru atom. The

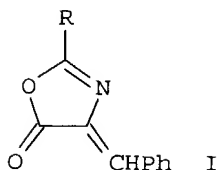
structures of the complexes with NRR' = pyrrolidinyl were determined by single crystal x-ray diffraction studies. On heating in hydrocarbons, complexes 2 are converted into clusters 3. The reverse transformation occurs on treatment of complexes 3 by CH<sub>2</sub>Cl<sub>2</sub>.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1998:516991 CAPLUS  
DN 129:260022  
TI Unusual Regioselectivity of the Dipolar Cycloaddition Reactions of Nitrile Oxides and Tertiary **Cinnamides** and Crotonamides  
AU Weidner-Wells, Michele A.; Fraga-Spano, Stephanie A.; Turchi, Ignatius J.  
CS Drug Discovery, The R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA  
SO Journal of Organic Chemistry (1998), 63(18), 6319-6328  
CODEN: JOCEAH; ISSN: 0022-3263  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 129:260022  
AB Benzonitrile oxides undergo 1,3-dipolar cycloaddn. reactions with Me cinnamate to produce the 5-Ph and 4-Ph regioisomers in approx. an 80:20 ratio. However, use of N,N-diethylcinnamide as the dipolarophile unexpectedly resulted in the formation of the 5-Ph and 4-Ph regioisomers in a 23:77 ratio. Studies have shown that this phenomena occurs only for tertiary **cinnamides**. In addition, it has been demonstrated that the Ph group of tertiary **cinnamides** is not essential for the reversal of regioselectivity since crotonamides produce the same results and trends as the **cinnamides**. However, since acrylates and acrylamides both produce the 5-carbonyl regioisomers, it can be concluded that the  $\beta$ -substituent is playing a key role for the unexpected results by possibly increasing steric interactions between the dipole and dipolarophile in the transition state. Transition state energies were calculated for the regioisomeric cycloadduct pairs derived from several crotonamides as well as Me crotonate. These calcns. indicate that steric factors are indeed responsible for the reversal of regioselectivity.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1997:778797 CAPLUS  
DN 128:34697  
TI Synthesis of some aryl **cinnamides** and imidazolone derivatives of expected biological activities based on 2-(N-phthalimidomethyl)-4-benzylidene-5(4)-oxazolone  
AU Arief, M. M. H.; Amine, M. S.; Wasfy, A. A. F.; Aly, A. A.  
CS Chemistry Department, Faculty of Science, Benha University, Benha, Egypt  
SO Egyptian Journal of Chemistry (1997), 40(2), 149-157  
CODEN: EGJCA3; ISSN: 0367-0422  
PB National Information and Documentation Centre  
DT Journal  
LA English  
GI



AB Reactions of 2-(N-phthalimidomethyl)-4-benzylidene-5(4)-oxazolone (I, R = N-phthalimidomethyl) were investigated. E.g., reaction of I (R = N-phthalimidomethyl) with aromatic amines or amino acids R<sub>1</sub>NH<sub>2</sub> [R<sub>1</sub> = (un)substituted Ph, CH<sub>2</sub>CO<sub>2</sub>H, CHMeCO<sub>2</sub>H, CH(CH<sub>2</sub>Ph)CO<sub>2</sub>H] gave PhCH:C(NHCOR)CONHR<sub>1</sub>. Fungicidal and bactericidal activities of the products were investigated.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:542421 CAPLUS

DN 127:190528

TI Preparation and formulation of benzamides, **cinnamides**, and heterocyclic compounds as inflammation and allergy inhibitors

IN Inaba, Takashi; Kaya, Tetsudo; Iwamura, Hiroyuki

PA Japan Tobacco Inc., Japan

SO PCT Int. Appl., 381 pp.

CODEN: PIXXD2

DT Patent

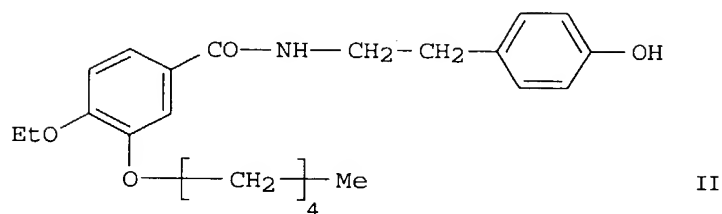
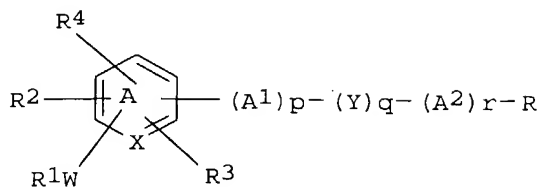
LA Japanese

FAN.CNT 1

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 9729079   | A1   | 19970814 | WO 1997-JP291   | 19970206 |
| W:   |      |          |                 |          |
| AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW:  |      |          |                 |          |
| KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |      |          |                 |          |
|  |      |          | JP 1996-20083   | 19960206 |
|  |      |          | JP 1996-94989   | 19960417 |
| CA 2245586   | AA   | 19970814 | CA 1997-2245586 | 19970206 |
|  |      |          | JP 1996-20083   | 19960206 |
|  |      |          | JP 1996-94989   | 19960417 |
| AU 9716186   | A1   | 19970828 | AU 1997-16186   | 19970206 |
|  |      |          | JP 1996-20083   | 19960206 |
|  |      |          | JP 1996-94989   | 19960417 |
|  |      |          | WO 1997-JP291   | 19970206 |
| EP 887340  | A1   | 19981230 | EP 1997-902594  | 19970206 |
| R:   |      |          |                 |          |
| AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI   |      |          |                 |          |
|  |      |          | JP 1996-20083   | 19960206 |
|  |      |          | JP 1996-94989   | 19960417 |
|  |      |          | WO 1997-JP291   | 19970206 |
| US 6017919   | A    | 20000125 | US 1998-117879  | 19980806 |

JP 1996-20083 19960206  
 JP 1996-94989 19960417  
 WO 1997-JP291 19970206

OS MARPAT 127:190528  
 GI



AB The title compds. I [X = CH, N; W = O, etc.; R1 = (un)substituted alkyl, etc.; R2 = H, (un)substituted alkyl, etc.; R3 = H, alkoxy, etc.; R4 = H, or R2 and R4 together form a ring fused to ring A; A1 = CH:CH, CH2CH2, C.tplbond.C; Y = CONR10, NHCONH, etc.; R10 = H, etc.; A2 = alkylene, etc.; R = aryl, etc.; p, q, r = 0 or 1; provisos related to p, q, r are given] are prepared. The title compds. act selectively on the peripheral cannabinoid receptors and are useful in the treatment of inflammation, allergy, autoimmune diseases, nephritis, etc. In the in vitro test for affinity for the peripheral cannabinoid receptors, the title compound II showed the Ki value of 1.1 nM. II showed ED50 of 0.5 mg/kg orally in the carrageenin-induced edema test in mice.

L8 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:285666 CAPLUS  
 DN 127:12972

TI N-Aryl **cinnamides**: a novel class of rigid and highly potent leukotriene B4 receptor antagonists

AU Greenspan, Paul D.; Main, Alan J.; Bhagwat, Shripad S.; Barsky, Lester I.; Doti, Robert A.; Engle, Alan R.; Frey, Lisa M.; Zhou, Huanghai; Lipson, Kenneth E.; et al.

CS Arthritis and Bone Metabolism Research, Novartis Pharmaceuticals Corporation, Summit, NJ, 07901, USA

SO Bioorganic & Medicinal Chemistry Letters (1997), 7(7), 949-954  
 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier

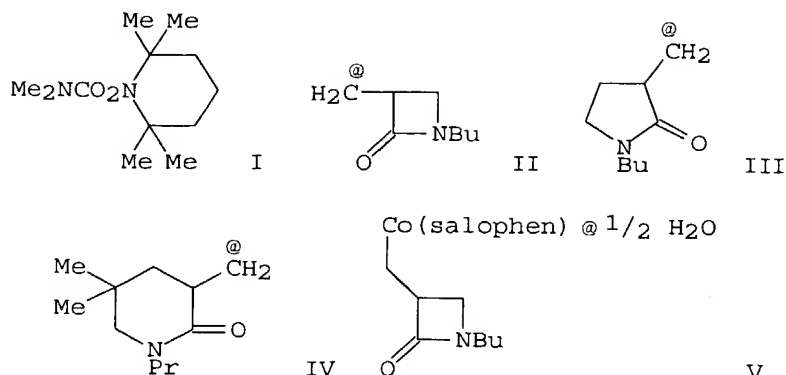
DT Journal

LA English

OS CASREACT 127:12972



- AB A series of N-aryl **cinnamides** has been prepared and assayed for antagonism of the leukotriene B4 receptor. Several compds. in this series were highly potent antagonists of the human neutrophil receptor, based on a whole cell binding assay, as well as a neutrophil aggregation assay. This series is unique among LTB4 antagonists, due to its high degree of rigidity.
- RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L8 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1995:924705 CAPLUS  
TI Reversal of regioselectivity in nitrile oxide cycloadditions to tertiary **cinnamides**.  
AU Weidner-Wells, Michele A.; Fraga, Stephanie A.; Demers, James P.  
CS Medicinal Chemistry Department, R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA  
SO Book of Abstracts, 210th ACS National Meeting, Chicago, IL, August 20-24 (1995), Issue Pt. 2, ORGN-091 Publisher: American Chemical Society, Washington, D. C.  
CODEN: 61XGAC  
DT Conference; Meeting Abstract  
LA English  
AB Tertiary **cinnamides** undergo cycloaddn. with benzonitrile oxides producing the 5-Ph and 4-Ph regioisomers 2b and 3b in a 25-30:75-70 ratio. This result is opposite to that obtained utilizing Me cinnamate 1a as the dipolarophile. Reversal of the regioselectivity was also observed, in varying extents, with other tertiary **cinnamides** and aniline **cinnamides**, but not with aliphatic secondary **cinnamides**. A synthetically useful method for the preparation of acid 3c, derived from what is usually the minor regioisomer, has been developed by taking advantage of this reversal of regiochem.
- L8 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1994:434419 CAPLUS  
DN 121:34419  
TI Cobalt-mediated reactions: inter- and intramolecular additions of carbamoyl radical to alkenes in the synthesis of amides and lactams  
AU Gill, G. Byron; Pattenden, Gerald; Reynolds, Stephen J.  
CS Dep. Chem., Univ. Nottingham, NG7 2RD, UK  
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (4), 369-78  
CODEN: JCPRB4; ISSN: 0300-922X  
DT Journal  
LA English  
OS CASREACT 121:34419  
GI



AB Carbamoylcobalt(III) salophen compds. [salophen = coordinated ligand of N,N'-o-phenylenebis(salicylideneaminato)], such as  $\text{Me}_2\text{NCOC}(\text{salophen})$ , are sources of carbamoyl radicals under either thermal or photolytic conditions. Generation of N,N-dimethylcarbamoyl radicals, e.g.  $\text{Me}_2\text{NC}(\cdot\text{O})$  5, in the presence of trapping agents such as di-Ph disulfide or 2,2,6,6-tetramethylpiperidin-1-yloxy radical afforded the expected amido derivs., e.g.  $\text{Me}_2\text{NCOSPh}$  and I, resp. These radicals 5 also underwent oxidative intermol. addition to styrene; the thermal process gave the E-cinnamide (E)- $\text{Me}_2\text{NCOCH:CHPh}$  exclusively, whereas under photochem. conditions a 1:1 mixture of E- and Z-cinnamides was obtained. A series of N-alkenyl-N-alkylcarbamoylcobalt(III) salophens have also been prepared [i.e.  $\text{CH}_2\text{:CHCH}_2\text{NBuCOCo}(\text{salophen})$ ,  $\text{CH}_2\text{:CHCH}_2\text{CH}_2\text{NBuCOCo}(\text{salophen})$ ,  $\text{CH}_2\text{:CHCH}_2\text{CMe}_2\text{CH}_2\text{NPrCOCo}(\text{salophen})$ ], and are shown to be suitable precursors for the synthesis of  $\beta$ -,  $\gamma$ , and  $\delta$ -lactams through the 4-, 5- or 6-exo-trig-modes of cyclization of the corresponding intermediate carbamoyl radicals. The products of these cyclizations N-alkyl-3-lactamidomethyl radical (i.e., II-IV, resp.) are trapped by the cobalt(II) salophen to give the corresponding alkylcobalt(III)salophens (e.g. II-V). Although these alkylcobalt salophens appeared to be stable at temps.  $\leq 40^\circ$ , under the thermolytic conditions for radical generation that were usually employed ( $110^\circ$ ) dehydrocobaltation occurred, and the unsatd. lactam was formed. Results concerning the introduction of side-chain oxygen functionality at the product radical center in tandem with the carbamoyl radical cyclization are also presented. Computer-generated mol. modeling calcns. supporting the novel 4-exo-trig-cyclization of  $\text{CH}_2\text{:CHCH}_2\text{NBuC}(\cdot\text{O})$  are discussed.

L8 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:298644 CAPLUS

DN 120:298644

TI Preparation of furo- or pyranoquinoline derivatives or their salts as cardiotonics, antiarrhythmics, and vasodilators

IN Kyotani, Yoshinori; Taima, Tsutomu; Kurihara, Juji; Kitamura, Takahiro; Kama, Kazuhiro; Yamaguchi, Takashi; Onoki, Kazuhiro; Sato, Seiichi; Oota, Tomio; Uchida, Yasuyoshi

PA Kowa Co, Japan

SO Jpn. Kokai Tokkyo Koho, 14 pp.

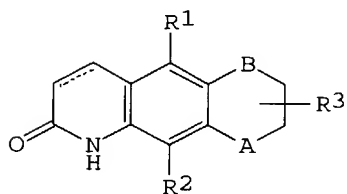
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
|    | -----             | ---- | -----    | -----           | -----    |
| PI | JP 05339271       | A2   | 19931221 | JP 1992-145545  | 19920605 |
|    | JP 3153335        | B2   | 20010409 |                 |          |
| OS | MARPAT 120:298644 |      |          | JP 1992-145545  | 19920605 |
| GI |                   |      |          |                 |          |



I

AB The title derivs. I [R1-2 = H, lower alkyl; R3 = (un)substituted lower alkyl, lower alkanoyloxy, OH, lower alkylsulfonyloxy, azido, amino; A = O, direct bond; when A = O then B = direct bond or CH:CH; when A = direct bond then B = O] or their salts are prepared as cardiotonics, antiarrhythmics, and vasodilators (no data). A solution of 7-acetoxy-1,2-dihydro-6-(2,3-epoxypropyl)-8-methylquinolin-8-one (preparation from 3-amino-o-cresol in 6 steps) in DMF was treated with aqueous NaOH at 50° for 30 min to give 61.8% 2-hydroxymethyl-9-methyl-2,3,7,8-tetrahydrofuro[3,2-g]quinolin-7-one.

L8 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:133588 CAPLUS

DN 120:133588

TI Study of p-hydroxycinnamic aldehydes and amides with UV spectrophotometry. Comparison of their complexing ability with zinc bromide

AU Duran, Hubert; Duran, Elisabeth; Gorrichon, Liliane; Perry, Marcel

CS Unite Rech., Univ. Paul Sabatier, Toulouse, 31062, Fr.

SO Canadian Journal of Chemistry (1993), 71(7), 1041-7

CODEN: CJCHAG; ISSN: 0008-4042

DT Journal

LA French

AB The ability of zinc salts to be complexed by cinnamaldehydes and N-pyridyl **cinnamides** has been investigated for modeling the active site of cinnamyl alc. dehydrogenase (CAD), a zinc enzyme involved in the lignification process. P-hydroxycinnamaldehydes are specific substrates of the enzyme while **cinnamides** were synthesized as bidentate ligands towards zinc, and present inhibitory effects toward CAD. The interaction C:O...Zn was studied by UV methods and was observed in each example; the complexation consts. are rather low with aldehydes (K = 3-16 L mol<sup>-1</sup>) and the order (2-12) + 103 stronger with the corresponding **cinnamides**, due to the aminopyridine moiety participation. The stoichiometry of the complexes was found to be ML (M: salt, L: ligand) with monosubstituted aldehydes and M2L with di- and trisubstituted cinnamaldehydes, which was attributed to an addnl. complexation caused by the catechol effect. With the N-pyridylamides the complexation occurs according to a 1:1 (ML) or 1:2 (ML2) stoichiometry. Strong bathochromic effects were also observed; they are more important with the aldehydes than with the amides (cross conjugation). Bathochromic effects due to the substitution of the aromatic ring are shown by a relationship between the

ligands and their zinc complexes.

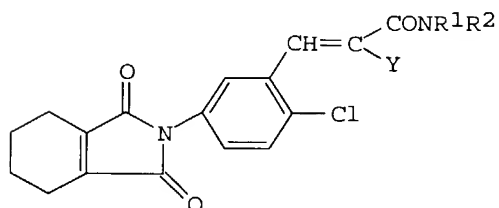
- L8 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1993:225269 CAPLUS  
 DN 118:225269  
 TI Substituted cinnamoylamides effects on brain circulation functional stability  
 AU Simonyan, A. V.; Kupko, E. N.; Vereshchagin, V. K.  
 CS Pyatigorsk. Farm. Inst., Russia  
 SO Khimiko-Farmatsevticheskii Zhurnal (1992), 26(11-12), 48-50  
 CODEN: KHFZAN; ISSN: 0023-1134  
 DT Journal  
 LA Russian  
 AB Six substituted benzoic acid **cinnamides** were prepared by the condensation of phenylacrylic acid chloroanhydrides with diethylaminoethyl ester of 4-aminobenzoic acid in pyridine. The products were tested for antihypoxic effects in rats with occlusion-induced brain ischemia. Parameters of blood pressure, cerebral vascular resistance, and brain circulation were measured.
- L8 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1993:159872 CAPLUS  
 DN 118:159872  
 TI Zinc(2+) complexes with N-(2-pyridyl)**cinnamides**: characterization and UV studies  
 AU Duran, H.; Duran, E.; Gorrichon, L.; Perry, M.  
 CS Lab. Synth. Physicochim. Org., Univ. Paul Sabatier, Toulouse, 31062, Fr.  
 SO Journal of Physical Organic Chemistry (1993), 6(1), 15-22  
 CODEN: JPOCEE; ISSN: 0894-3230  
 DT Journal  
 LA English  
 AB Zn(II) complexes of N-pyridylcinnamides (H, m-OMe, p-OMe and p-OH derivs.) were studied by spectrophotometric methods in aprotic media, and represent a chemical model of inhibition by **cinnamides** of coniferyl alc. dehydrogenase (CAD), a Zn enzyme involved in the lignification process. The complexation of N-pyridylcinnamide and m-methoxy-N-pyridylcinnamide with Zn ion is effected according to a 1:1 stoichiometry (ML), whereas a 2-step equilibrium (M + L .dblharw. ML and ML + L .dblharw. ML2) is preferred with p-OMe and p-OH compds. These ligands are mainly bonded through the carbonyl O atom and the N of the pyridyl ring. Molar absorptivities for these complexes, not directly available, were calculated from anal. of the exptl. data. The UV complexation results are also supported by the stoichiometry of the complexes, which were prepared and characterized.
- L8 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:466556 CAPLUS  
 DN 117:66556  
 TI Sulfur containing **cinnamides** with antifungal activity from Glycosmis cyanocarpa  
 AU Greger, Harald; Hofer, Otmar; Kaehlig, Hanspeter; Wurz, Gerald  
 CS Inst. Bot., Univ. Vienna, Vienna, A-1030, Austria  
 SO Tetrahedron (1992), 48(7), 1209-18  
 CODEN: TETRAB; ISSN: 0040-4020  
 DT Journal  
 LA English  
 AB Bioassay guided anal. of the methanolic leaf extract of Glycosmis cyanocarpa (Rutaceae) led to the isolation of a new type of sulfur-containing **cinnamides** with antifungal activity: sinharine (cinnamic acid

methylsulfidoethylamide) (I) and the corresponding N-Me derivative methylsinharine (II). In addition, the dominating furoquinoline kokusaginine together with small amts. of skimmianine and the carbazole glycozolidol were also isolated. A series of quinolone and quinazolone alkaloids were detected as minor components by reversed phase HPLC. The structures of I and II were elucidated by means of spectroscopic methods (IR, UV, <sup>1</sup>H NMR, <sup>13</sup>C NMR, MS). Temperature dependent <sup>1</sup>H NMR and lanthanide induced shifts (LIS) established the stereochem. of the two conformers of II.

- L8 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:206654 CAPLUS  
 DN 116:206654  
 TI Interaction of zinc(2+) with N-(2-pyridyl)-3-phenyl-2-propenamide, a model in lignin biosynthesis inhibition by **cinnamides**. Crystal and molecular structure of [Zn(O-N)2(CH3OH)2](CF3SO3)2  
 AU Bouayad, Abdessalam; Bitit, Najib; Deydier, Eric; Menu, Marie Joelle; Dartiguenave, Michele; Dartiguenave, Yves; Duran, Hubert; Gorrichon, Liliane; Simard, Michel; Beauchamp, Andre L.  
 CS Fac. Sci., Univ. Sidi Mohamed Ben Abdelha, Fes, Morocco  
 SO Inorganica Chimica Acta (1991), 190(1), 79-84  
 CODEN: ICHAA3; ISSN: 0020-1693  
 DT Journal  
 LA English  
 AB Reacting Zn(CF3SO3)2 with N-(2-pyridyl)-3-phenyl-2-propenamide (O-N) yields a 1:2 complex which ppts. from methanol as [Zn(O-N)2(CH3OH)2](CF3SO3)2. The crystal structure of this complex (P21/c, a 13.711(2), b 10.401(2), c 16.358(2) Å, β = 124.27(1)°, R = 0.059, 1918 observed reflections) reveals the presence of a centrosym., nearly octahedral, complex cation. The all-trans configuration about Zn2+ is achieved by two methanol hydroxyl groups and two bidentate ligands bonded via their pyridyl nitrogens and amide oxygens. The amide group nitrogen is not coordinated to the metal, but it forms an N-H...O hydrogen bond to the CF3SO3- counterions. The influence of the amide substituent on the structure is discussed on the basis of comparisons with [Zn(O-N1)2(H2O)2]2+ [(O-N1) = N-(2-pyridyl)acetamide] containing a similar amidopyridine chelate ring.
- L8 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1990:216310 CAPLUS  
 DN 112:216310  
 TI Vinylation of aryl iodides in the presence of a new polymer-supported catalyst, poly(4-vinylpyridine)palladium  
 AU Gou, Shaohua; Hu, Hongwen  
 CS Dep. Chem., Nanjing Univ., Nanjing, Peop. Rep. China  
 SO Fenzi Cuihua (1989), 3(2), 165-7  
 CODEN: FECUEN; ISSN: 1001-3555  
 DT Journal  
 LA Chinese  
 AB Copolymer of 4-vinylpyridine and styrene was used as polymer supported in the preparation of palladium(0) catalyst. The poly(4-vinylpyridine) Pd(0) catalyst obtained was used in the vinylation of aryl iodides, giving cinnamic acids, **cinnamides** and stilbenes. The catalyst was stable to air and moisture, and could be recycled about 10 times using sodium acetate as the base in aqueous DMF solution
- L8 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1990:118643 CAPLUS  
 DN 112:118643

TI Preparation of 5-(tetrahydrophthalimido)cinnamides as herbicides  
 IN Plath, Peter; Eicken, Karl; Rueb, Lothar; Schwalge, Barbara; Westphalen,  
 Karl Otto; Wuerzer, Bruno  
 PA BASF A.-G., Fed. Rep. Ger.  
 SO Ger. Offen., 18 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1  

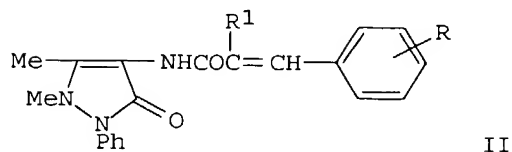
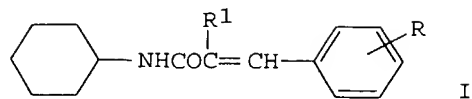
|    | PATENT NO.                             | KIND | DATE     | APPLICATION NO. | DATE     |
|----|--|------|----------|-----------------|----------|
| PI | DE 3900353                             | A1   | 19890907 | DE 1989-3900353 | 19890107 |
| OS | CASREACT 112:118643; MARPAT 112:118643 |      |          |                 |          |
| GI |  |      |          |                 |          |



I

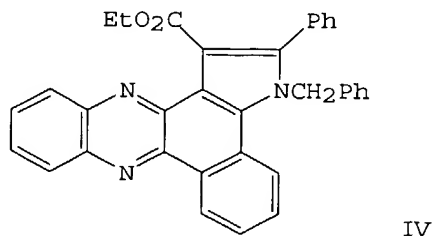
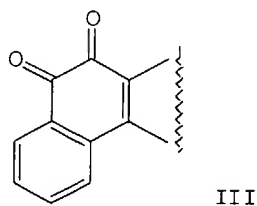
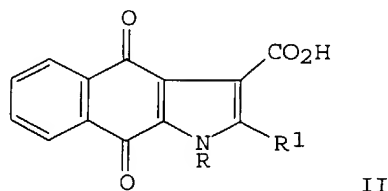
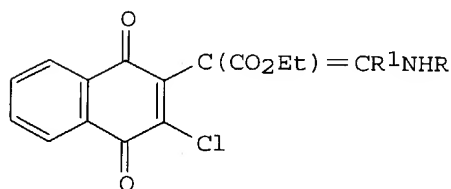
AB The title compds. (I; R<sub>1</sub>, R<sub>2</sub> = H, Cl-4 alkyl; NR<sub>1</sub>R<sub>2</sub> = heterocyclyl; Y = Cl, Br, Cl-4 alkyl) were prepared as herbicides (no data). Thus, 2,5-Cl(O<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CH:CHCOCl was stirred 4 h at 25° with aqueous Me<sub>2</sub>NH in THF to give 55% 2,5-Cl(O<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CH:CHCONMe<sub>2</sub> which was stirred 2 h at 40° with Br in CH<sub>2</sub>Cl<sub>2</sub> and the product stirred 2 h at 65° with NaOMe in MeOH to give 79% 2,5-Cl(O<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CH:CHBrCONMe<sub>2</sub>. The latter was stirred 2 h at 80° with Fe in HOAc-MeOH to give 100% 2,5-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CH:CHBrCONMe<sub>2</sub> which was stirred 3 h at 100° with tetrahydrophthalic anhydride in HOAc to give 55% I (R<sub>1</sub> = R<sub>2</sub> = Me, Y = Br).

L8 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1990:35744 CAPLUS  
 DN 112:35744  
 TI Synthesis and juvenial hormone activity of N-(substituted)-yl-3-(sub-1'-phenyl)acrylamides and N-(substituted)-yl-2-alkyl-3-(sub-1'-phenyl)acrylamides  
 AU Bhatia, M. S.; Kaur, Arvinder; Kaur, B.; Cherian, Xavier M.  
 CS Dep. Chem., Punjab Agric. Univ., Ludhiana, 141 004, India  
 SO Journal of the Indian Chemical Society (1989), 66(3), 205-6  
 CODEN: JICSAH; ISSN: 0019-4522  
 DT Journal  
 LA English  
 OS CASREACT 112:35744  
 GI



AB Wittig reactions of aldehydes gave cinnamates which were hydrolyzed to the acids and amidated to give I and II (R = o-, m-, p-O<sub>2</sub>N, o-, m-, p-Cl; R<sub>2</sub> = H, Me, Et).

L8 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:614358 CAPLUS  
 DN 111:214358  
 TI Synthesis of ortho- and paraquinones of the benzindole series  
 AU Nesterova, I. N.; Grinev, A. N.; Rubtsov, N. M.  
 CS Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, 119021, USSR  
 SO Khimiya Geterotsiklicheskikh Soedinenii (1989), (1), 66-8  
 CODEN: KGSSAQ; ISSN: 0453-8234  
 DT Journal  
 LA Russian  
 OS CASREACT 111:214358  
 GI

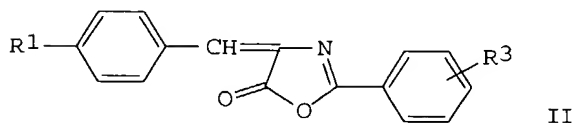
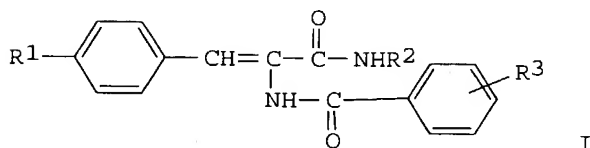


AB Substitution of 2,3-dichloro-1,4-naphthoquinone by RHNCR<sub>1</sub>:CHCO<sub>2</sub>Et (R = Ph, Me, R<sub>1</sub> = Me; R = PhCH<sub>2</sub>, R<sub>1</sub> = H) gave benzoquinones I which underwent base-catalyzed cyclization to give benzindolecarboxylic acids II and AcOH-catalyzed cyclization to give benzindolocarboxylates III. The latter III (R = PhCH<sub>2</sub>, R<sub>1</sub> = Ph) cyclocondensed with o-H<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>-NH<sub>2</sub> to give quinoxalineindole IV.

L8 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:400202 CAPLUS  
 DN 111:202  
 TI Thermodynamic properties-anticonvulsant activity relationship in some  $\beta$ -substituted cinnamamides  
 AU Liu, Yunna; Lei, Xiaoping; Wang, Shuyu; Liu, Weiqin  
 CS Inst. Chem., Acad. Sin., Beijing, Peop. Rep. China  
 SO Kexue Tongbao (Foreign Language Edition) (1988), 33(19), 1614-16  
 CODEN: KHTPBU; ISSN: 0250-7862  
 DT Journal  
 LA English  
 AB The anticonvulsant activities of 10  $\beta$ -substituted cinnamamides were determined in mice and compared with their thermodyn. properties. The smaller the melting entropy, the higher the anticonvulsant activity.

L8 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:134894 CAPLUS  
 DN 110:134894  
 TI Preparation of insecticidal and acaricidal benzamidocinnamamides and their benzylideneoxazolinone intermediates  
 IN Debitsudo, Jooji Kan  
 PA American Cyanamid Co., USA  
 SO Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|    | PATENT NO.        | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------------|------|----------|-----------------|----------|
|    | -----             | ---  | -----    | -----           | -----    |
| PI | JP 63166851       | A2   | 19880711 | JP 1986-308062  | 19861225 |
|    |                   |      |          | JP 1986-308062  | 19861225 |
| OS | MARPAT 110:134894 |      |          |                 |          |
| GI |                   |      |          |                 |          |



AB The title **cinnamides** (I; R1 = C1-4 alkyl, C1-4 alkoxy, CF<sub>3</sub>CH<sub>2</sub>O, CF<sub>3</sub>O, F, Cl, Br, CF<sub>3</sub>, NO<sub>2</sub>, F<sub>2</sub>CHS, F<sub>2</sub>CHO, R<sub>2</sub>N, RSO<sub>3</sub>, RCONH, Y<sub>2</sub>CHCF<sub>2</sub>O; R = C1-4 alkyl; R<sub>2</sub> = Me, Et, C3-5 alkyl, cyclopropyl; R<sub>3</sub> = Cl, C1-4 alkyl, C1-4 alkoxy, cyano; Y = F, Cl, Br) are prepared from the corresponding oxazolinones II, and are useful as agrochem. insecticides and acaricides. The hippuric acid prepared by amidation of 4-FC<sub>6</sub>H<sub>4</sub>COCl with glycine was cyclocondensed with 4-NCC<sub>6</sub>H<sub>4</sub>CHO at 90° in Ac<sub>2</sub>O in the presence of NaOAc to give 85% II (R1 = cyano, R<sub>3</sub> = H) which was ring-opened by



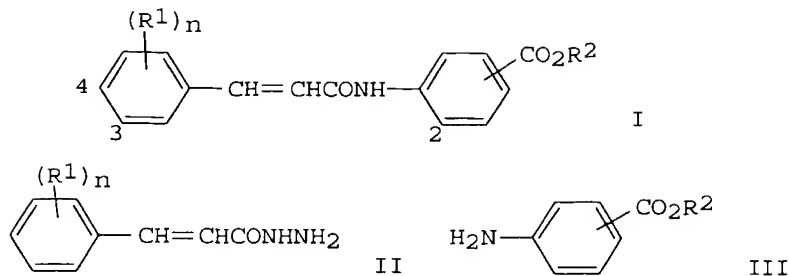
amidation with Me<sub>2</sub>CHNH<sub>2</sub> in C<sub>6</sub>H<sub>6</sub> at room temperature, followed by refluxing 3 h, to give 83% I (R<sub>1</sub> = cyano, R<sub>2</sub> = Me<sub>2</sub>CH, R<sub>3</sub> = H). Various I at 8 kg/ha applied to the soil or in feed water gave complete control of insects and mites. Dispersible insecticides were formulated by mixing I 3, inert powders 60-94, and anionic surfactants 3-20 weight parts.

L8 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1988:105931 CAPLUS  
 DN 108:105931  
 TI Molecular orbital calculation of the conformation of cinnamide compounds  
 AU Zhuo, Jicang; Peng, Shiqi; Liu, Weiqing  
 CS Coll. Pharm. Sci., Beijing Med. Univ., Beijing, Peop. Rep. China  
 SO Fenzi Kexue Xuebao (1986), 4(2), 187-92  
 CODEN: JMOSE7; ISSN: 1000-9035  
 DT Journal  
 LA English  
 AB In this paper, the conformation of anticonvulsant **cinnamides** is expressed by the relevant torsional angles  $\theta_1$  and  $\theta_2$ . Conformational potential energy surfaces of 26 **cinnamides** belonging to 3 series are calculated by means of EHMO methods in combination with the data of x-ray diffraction. Their stable conformations of min. energy are determined. Calculated results can represent the relative conformational differences of cinnamide compds. belonging to these 3 series.

L8 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1987:590330 CAPLUS  
 DN 107:190330  
 TI The relationships of stable conformations and anticonvulsant activities in cinnamide compounds  
 AU Peng, Shiqi; Liu, Wieqin; Zhuo, Jichang; Pei, Yingquan  
 CS Coll. Pharm. Sci., Beijing Med. Univ., Beijing, Peop. Rep. China  
 SO Fenzi Kexue Xuebao (1986), 4(2), 163-7  
 CODEN: JMOSE7; ISSN: 1000-9035  
 DT Journal  
 LA English  
 AB The relationship between dihedral angles of stable conformations of the **cinnamides** and their anticonvulsant activities in mice are discussed. Conformations allowing the Ph ring and the carbonyl group to bind with receptor areas A and B, resp., appear to be associated with anticonvulsant properties.

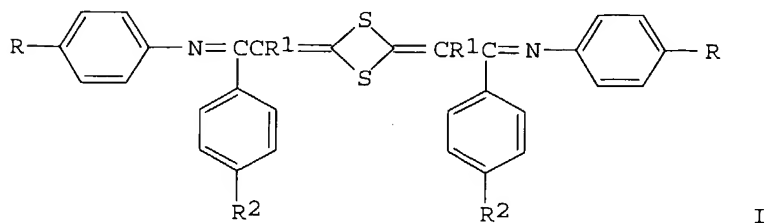
L8 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1987:18135 CAPLUS  
 DN 106:18135  
 TI **Cinnamides**  
 IN Puigdemellivol Llobet, Pere; Goday Baylina, Elisa  
 PA Laboratorio Fides S. A., Spain  
 SO Span., 9 pp.  
 CODEN: SPXXAD  
 DT Patent  
 LA Spanish  
 FAN.CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
|    | -----      | ---  | -----    | -----           | -----    |
| PI | ES 543000  | A1   | 19860101 | ES 1985-543000  | 19850510 |
| GI |            |      |          | ES 1985-543000  | 19850510 |



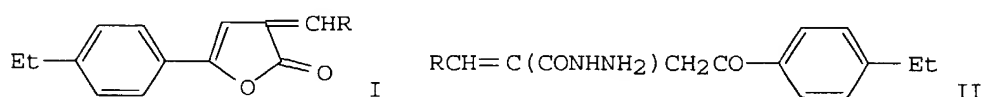
AB Cinnamide derivs. I ( $R_1 = \text{H, OH, alkoxy, acetoxy, halo, methylenedioxy}$ ;  $R_2 = \text{H, alkyl}$ ;  $n = 1-3$ ) are prepared by oxidation of cinnamic hydrazides II in the presence of aminobenzoates III in an inert solvent at ambient to reflux temperature. I include compds. useful as antiallergics (no data). Thus, I [ $(R_1)n = 3,4-(\text{MeO})_2$ ,  $R_2 = \text{H}$ , carboxy group in 2-position], i.e. the antiallergic tranilast, was prepared by stirring the corresponding II and III with yellow  $\text{HgO}$  in  $\text{C}_6\text{H}_6$  at room temperature, followed by portionwise addition of  $\text{MeOH}$  and heating at  $50^\circ$ .

L8 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1986:424211 CAPLUS  
 DN 105:24211  
 TI Synthesis and reactivity of new derivatives of 1,3-dithietane  
 AU Zankowska-Jasinska, Wanda; Galuszka, Barbara  
 CS Dep. Org. Chem., Jagiellonian Univ., Krakow, Pol.  
 SO Zeszyty Naukowe Uniwersytetu Jagiellonskiego, Prace Chemiczne (1985), 29, 29-56  
 CODEN: ZUJCAQ; ISSN: 0373-0166  
 DT Journal  
 LA English  
 OS CASREACT 105:24211  
 GI



AB  $p\text{-RC}_6\text{H}_4\text{NHC}(\text{C}_6\text{H}_4\text{R}_2\text{-}p)\text{:CHR}_1$  [ $R = \text{H, F, Cl, Br, O}_2\text{N, Me}$ ;  $R_1 = (\text{PhNHCO, } p\text{-FC}_6\text{H}_4\text{NHCO, } p\text{-ClC}_6\text{H}_4\text{NHCO, } R_2 = \text{H, F}$ ;  $R = \text{H, } R_1 = \text{CONH, cyano}$ ;  $R_2 = \text{H}$ ] underwent cyclization with  $\text{CSCl}_2$  to give E- and Z-2,4-bis(methylene)-1,3-dithietanes I.

L8 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:22802 CAPLUS  
 DN 88:22802  
 TI Ring opening reactions of some furan derivatives with bases  
 AU Elkasaby, M. A.; Elkady, M.; Eldin, N. A. Nour  
 CS Fac. Sci., Ain Shams Univ., Cairo, Egypt  
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including  
 Medicinal Chemistry (1977), 15B(5), 436-9  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DT Journal  
 LA English  
 OS CASREACT 88:22802  
 GI



AB 3-Arylidene-5-(p-ethylphenyl)furan-2-ones (I; R = Ph, p-MeOC<sub>6</sub>H<sub>4</sub>, m-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, styryl, p-Me<sub>2</sub>C<sub>6</sub>H<sub>4</sub>) react with ethanolic N<sub>2</sub>H<sub>4</sub> to give II at room temperature while I (R = Ph, p-MeOC<sub>6</sub>H<sub>4</sub>, p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, styryl) give III in boiling EtOH. Similar reaction of [2-oxo-5-(p-ethylphenyl)-2,3-dihydro-3-furylidene]phthalide with hydrazine hydrate in EtOH at room temperature gives cinnamic acid whereas in boiling ethanol 4-{4'-[6'-(p-ethylphenyl)-3'-oxo-2',3',4',5'-tetrahydropyridazinyl]}-1,2-dihydrophthalazin-1-one is formed. 5-(P-Ethylphenyl)-2,3-dihydrospiro[furan-3,2'-indan]-2,1',3'-trione reacts with hydrazine hydrate to give 2-(p-ethylphenyl)-1,3-dioxoindan-2-carboxylic acid hydrazide 1,3-dihydrazone at room temperature, 6'-(p-ethylphenyl)-2',3'-dihydrospiro[indan-2,4'(5'H)-pyridazine]-1,3,3'-trione 1,3-dihydrazone (IV) in boiling EtOH, and a mixture of IV and its 2'-Ac derivative in boiling AcOH. 3-[3'-[5'-(P-Ethylphenyl)-2'-oxo-2',3'-dihydrofurylidene]]isoindolin-1-one reacts with hydrazine hydrate to give 3-[4'-[6'-(p-ethylphenyl)-3'-oxo-2',3',4',5'-tetrahydropyridazinylidene]]isoindolin-1-one.

L8 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1975:514480 CAPLUS  
 DN 83:114480  
 TI 1-Cinnamyl- or 1-cinnamoyl-4-benzhydrylpiperazines  
 IN Tayama, Tatsuya; Yasui, Eiichiro  
 PA Kanebo, Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 2 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------|------|----------|-----------------|----------|
| PI | JP 50029576 | A2   | 19750325 | JP 1973-78612   | 19730712 |
|    |             |      |          | JP 1973-78612   | 19730712 |

GI For diagram(s), see printed CA Issue.

AB Piperazines I (R<sub>1</sub>-R<sub>3</sub> = H, halo, lower alkyl, lower alkoxy; Y = CO, CH<sub>2</sub>) are prepared by cyclizing amines, II and III, with 1,2-dihaloethane in the presence of a dehydrohalogenating agent, followed by reducing the CO to

CH<sub>2</sub> group if required. Thus, 18.2 g II (R<sub>1</sub> = Cl, R<sub>2</sub> = H), 19.6 g III (R<sub>3</sub> = p-Me, Y = CO), and 40 g C<sub>5</sub>H<sub>5</sub>N in 700 ml EtOH was refluxed and treated dropwise with 25 g ClCH<sub>2</sub>CH<sub>2</sub>Cl in EtOH. The mixture was heated at 60-70° 12-15 hr to give I (R<sub>1</sub> = Cl, R<sub>2</sub> = H, R<sub>3</sub> = p-Me, Y = CO). Reduction with LiAlH<sub>4</sub> gave I (Y = CH<sub>2</sub>, other substituents same). Also prepared was I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = H, Y = CH<sub>2</sub>).

L8 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1975:86995 CAPLUS

DN 82:86995

TI  $\alpha$ -Cyano-3,5-di-tert-butyl-4-hydroxycinnamides for use as photostabilizers

IN Haga, Takeyoshi; Fukutani, Hideo; Nishimura, Akio; Nagasaka, Hideki

PA Mitsubishi Chemical Industries Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|-------------|------|----------|-----------------|----------|
| PI | JP 48097865 | A2   | 19731213 | JP 1972-28685   | 19720322 |
|    |             |      |          | JP 1972-28685   | 19720322 |

GI For diagram(s), see printed CA Issue.

AB **Cinnamides** I, R = H, Et, X = CH<sub>2</sub>, O, NBu, CH<sub>2</sub>CH<sub>2</sub>) useful as uv light absorbers for polypropylene [9003-07-0] were prepared. Thus, cyanoacetopiperidide, prepared from Me cyanoacetate and piperidine, was heated with 3,5-di-tert-butyl-4-hydroxybenzaldehyde and piperidine in C<sub>5</sub>H<sub>5</sub>N at 80° for 3 hr to give 86% I (R = H, X = CH<sub>2</sub>). Similarly prepared were its pyrrolidide analog, N,N'-bis( $\alpha$ -cyano-3,5-di-tert-butyl-4-hydroxycinnamoyl)piperazide [51866-32-1], and 5 other **cinnamides** (I, R and X given): H, O; H, NBu; H, CH<sub>2</sub>CH<sub>2</sub>; Et, CH<sub>2</sub>; Et, CH<sub>2</sub>CH<sub>2</sub>.

L8 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1974:403634 CAPLUS

DN 81:3634

TI 3,4,5-Trisubstituted **cinnamides**

IN Horrom, Bruce W.

PA Abbott Laboratories

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
| PI | US 3801636 | A    | 19740402 | US 1971-171737  | 19710813 |
|    |            |      |          | US 1971-171737  | 19710813 |

GI For diagram(s), see printed CA Issue.

AB About 18 cinnamamides (I; R = Me, Et, Pr, Bu; R<sub>1</sub> = H, CH<sub>2</sub>.tplbond.-CCMe<sub>2</sub>, Pr, cyclopropyl, cyclopropylmethyl), useful as antidepressants, were prepared by a series of known reactions. E.g., 3,5,4-Cl<sub>2</sub>(BuNH)C<sub>6</sub>H<sub>2</sub>CH:CHCO<sub>2</sub>H, prepared from 3,5,4-Cl<sub>2</sub>-(BuNH)C<sub>6</sub>H<sub>2</sub>CHO and CH<sub>2</sub>(CO<sub>2</sub>H)<sub>2</sub> in a Perkin type reaction in the presence of piperidine, was treated successively with Et<sub>3</sub>N, ClCO<sub>2</sub>Et, and R<sub>1</sub>NH<sub>2</sub> in AcNMe<sub>2</sub> to give I (R = Bu). The benzaldehydes were obtained from the benzyl alcs. which were prepared from the benzoate esters by LiAlH<sub>4</sub> reduction

L8 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1974:47610 CAPLUS

DN 80:47610

TI Synthesis of substituted **cinnamides**. Relations between anticonvulsant and monoamine oxidase inhibitory properties

AU Parmar, Surendra S.; Joshi, P. C.; Ali, Basheer; Brumleve, Stanley J.

CS Sch. Med., Univ. North Dakota, Grand Forks, ND, USA

SO Journal of Pharmaceutical Sciences (1973), 62(12), 1986-9

CODEN: JPMSAE; ISSN: 0022-3549

DT Journal

LA English

AB 2,3,4-RR1R2C6H2CH:C(NHBz)CONH(CH2)nCO2Et (I; R, R1, and R2 = H, Cl; n = 1, 2, 3) were prepared by the reaction of 2,3,4-RR1R2C6H2CHO with BzNHCH2CO2H, followed by the reaction with H2N(CH2)nCO2Et in EtOH-Et3N. Twelve compds were prepared, which were converted into hydrazides. The hydrazides showed anticonvulsant activity, but no correlation was observed between the anticonvulsant activity and the ability to inhibit monoamine oxidase.

L8 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:491891 CAPLUS

DN 79:91891

TI Indole derivatives. LXXXIII. Preparation of indole and 5-bromoindole from 2-naphthol and 6-bromo-2-naphthol

AU Petrova, G.N.; Shner, V. F.; Alekseeva, L. M.; Suvorov, N. N.

CS Mosk. Khim.-Tekhnol. Inst. im. Mendeleeva, Moscow, USSR

SO Khimiya Geterotsiklicheskikh Soedinenii (1973), (6), 753-5

CODEN: KGSSAQ; ISSN: 0132-6244

DT Journal

LA Russian

GI For diagram(s), see printed CA Issue.

AB  $\beta$ -Naphthol and 6-bromo- $\beta$ -naphthol were oxidized by H2O2 in HOAc containing Na2MoO4 to give cinnamic acids I (R = H, Br, resp.), which yielded **cinnamides** II by successive reaction with PCl5 and NH3. II underwent Hofmann rearrangement and then treatment with aqueous KOH to give indole and 5-bromoindole. 5-Nitro- $\beta$ -naphthol was oxidized by H2O2 to yield hydrocinnamic acid lactone III.

L8 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:488048 CAPLUS

DN 77:88048

TI Topochemistry. XXXV. Formation of mixed dimers from solid solutions of trans-cinnamic acids and trans-**cinnamides**

AU Hung, J. D.; Lahav, M.; Luwisch, M.; Schmidt, G. M. J.

CS Dep. Chem., Weizmann Inst. Sci., Rehovot, Israel

SO Israel Journal of Chemistry (1972), 10(2), 585-99

CODEN: ISJCAT; ISSN: 0021-2148

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB Irradiation of two-component solid solns. of trans-ArCH:CHCONH2 (Ar = Ph, p-MeOC6H4, p-ClC6H4, p-MeC6H4, 2-thienyl) each of which appeared in the  $\alpha$  crystal form, gave three photoproducts: two  $\alpha$ -truxillic homodimers I, an  $\alpha$ -truxillic heterodimer. Similarly, two-component solid solns. of trans-ArCH:CHCO2H [Ar = Ph ( $\alpha$ -form), p-ClC6H4 ( $\beta$ ), p-MeC6H4 ( $\alpha$ ), p-MeOC6H4 ( $\gamma$ ), o-ClC6H4 ( $\beta$ ), o-CH3C6H4 ( $\gamma$ )] were irradiated. A solid solution of two  $\alpha$  acids, each photodimerizing in the solid to  $\alpha$ -truxillic

acid gave 2  $\alpha$ -truxillic homodimers and an  $\alpha$ -truxillic heterodimer. A solid solution of an  $\alpha$  acid with a  $\beta$  acid, photodimerizing to  $\beta$ -truxinic acids gave six photoproducts: 3  $\alpha$  truxillic acid dimers and 3  $\beta$ -truxinic acid dimers (four homodimers and two heterodimers). Irradiation of a solution of a  $\gamma$  acid, nonphotodimerizing in the solid state, with and  $\alpha$  acid afforded  $\alpha$ -truxillic dimers (2 homodimers and 1 heterodimer). However, irradiation of the mixed crystals from p-methoxycinnamic acid ( $\gamma$ -type) and p-chlorocinnamic acid ( $\beta$ -type), which gave 2  $\alpha$ -truxillic dimers and 2  $\beta$ -truxinic dimers indicated that new phases, unobsd. for the pure compds., can be generated.

L8 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:162004 CAPLUS

DN 76:162004

TI Iodine in acetic acid. Specific analytical reagent for the cyclization of aryl 2-propynylcarboxamides

AU Raihle, J. A.; Schommer, L. J.; Wimer, D. C.

CS Abbott Lab., North Chicago, IL, USA

SO Analytical Chemistry (1972), 44(6), 905-10

CODEN: ANCHAM; ISSN: 0003-2700

DT Journal

LA English

AB I in HOAc was a useful and highly specific reagent for the determination of aryl

2-propynylcarboxamides. After the cyclization, the unreacted I was determined by S2032- titration. The cyclization products of 3 N-(2-propynyl) **cinnamides** and of 5 N-(2-propynyl)benzamides were characterized as 5-iodomethylene-2-oxazolines by elemental anal., NMR, and mass spectrometry, and a reaction mechanism was postulated.

L8 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:121816 CAPLUS

DN 76:121816

TI Relation between monoamine oxidase [MAO] inhibitory and anticonvulsant properties of substituted **cinnamides**

AU Parmar, Surendra S.; Chaturvedi, A. K.; Chaudhari, A.; Misra, R. S.

CS King George's Med. Coll., Lucknow Univ., Lucknow, India

SO Journal of Pharmaceutical Sciences (1972), 61(1), 78-81

CODEN: JPMSAE; ISSN: 0022-3549

DT Journal

LA English

AB The ability of 24 substituted cinnamamides (I, R = H, OMe, Cl; R1 = H, Me; X = O, S), such as N-[p-[N4-(p-tolyl)semicarbazido]phenyl]- $\alpha$ -benzamido-p-methoxycinnamamide [34415-23-1] (I, R = OMe, R1 = p-Me, X = O) and N-[p-[N4-(m-tolyl)thiosemicarbazido]phenyl]- $\alpha$ -benzamido-p-chlorocinnamamide [34415-24-2] (I, R = Cl, R1 = m-Me, X = S), to protect mice against pentylenetetrazole-induced convulsions was in no way related to their ability to inhibit monoamine oxidase (MAO) [9001-66-5] (EC 1.4.3.4) in vitro, suggesting that MAO inhibition is not the only mechanism responsible for the anticonvulsant properties of these compounds. Reaction of benzaldehydes, hippuric acid, and Ac2O in the presence of NaOAc gave substituted oxazolones which underwent ring opening when treated with Et p-aminobenzoate and Et3N, affording N-(p-carbethoxyphenyl)- $\alpha$ -benzamidocinnamamides (II). II were converted to hydrazides by reaction with H2NNH2.H2O, which reacted with appropriate arylisocyanates and arylisothiocyanates to give the desired I derivs.

L8 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1972:39922 CAPLUS  
 DN 76:39922  
 TI Nuclear magnetic resonance studies of internal rotation. III. Rotational barriers in m- and p-substituted N,N-dimethylcinnamamides  
 AU Spasov, S. L.; Dimitrov, V. S.; Agova, M.; Kanchovska, I.; Todorova, R.  
 CS Inst. Org. Chem., Sofia, Bulg.  
 SO Organic Magnetic Resonance (1971), 3(5), 551-6  
 CODEN: ORMRBD; ISSN: 0030-4921  
 DT Journal  
 LA English  
 AB The rotational barriers about the CN bond of 8 m- and p-substituted N,N-dimethylcinnamamides were determined by the iterative total line shape NMR method. The  $\Delta G^\ddagger$  298.2 values were correlated with the substituent consts.  $\sigma$ ,  $\rho$  and  $\sigma^\pm$ . By comparison with literature data, conclusions about the accuracy of the barrier determination as well as the transmittance of polar effects in conjugated amides are discussed.

L8 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1970:90100 CAPLUS  
 DN 72:90100  
 TI  $\alpha$ -Chlorocinnamides  
 IN Khaskin, I. G.; Rudnev, G. K.  
 SO U.S.S.R.  
 From: Otkrytiya, Izobret., Prom. Obrazttsy, Tovarnye Znaki 1969, 46(24), 20.  
 CODEN: URXXAF

DT Patent  
 LA Russian

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | SU 248660   |      | 19690718 | SU              | 19671214 |
| AB | The title compound is prepared by treating $\alpha,\beta$ -dichlorocinnamaldimides with a tertiary amine in an inert organic solvent. |      |          |                 |          |

L8 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1969:460307 CAPLUS  
 DN 71:60307  
 TI Hydrolytic and associative behavior of aromatic amides in aqueous solution  
 AU Kakemi, Kiichiro; Sezaki, Hitoshi; Nakano, Masahiro; Ohsuga, Kiichiro; Mitsunaga, Takayoshi  
 CS Fac. Pharm. Sci., Kyoto Univ., Kyoto, Japan  
 SO Chemical & Pharmaceutical Bulletin (1969), 17(5), 901-5  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DT Journal  
 LA English  
 AB Rate consts. for alkaline hydrolysis in 0.1N NaOH of aromatic amides, such as nicotinamide, benzamide, phenylacetamide, cinnamamide, and their corresponding N-alkyl-substituted analogs, were determined at 25° and 90° in order to investigate the effect of mol. structure on the stability of these amides against hydroxyl ion attack. Stability consts. of these aromatic amide complexes with theophylline and 8-methoxycaffeine at 25° were also computed from phase-solution data. Cinnamamides are most stable against hydroxyl ion attack and formed the most stable complexes with the alkylxanthines while phenylacetamides are least stable

in alkaline hydrolysis and least associative with alkylxanthines among benzene derivs. Both hydrolytic behavior and associative tendency of these amides are discussed in relation to the extent of conjugation of the amide group with the rest of the mol.

L8 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1969:58343 CAPLUS

DN 70:58343

TI Synthesis of  $\alpha$ -polypeptide by hydrogen migration polymerization of  $\beta$ -substituted acrylamide

AU Imanishi, Yukio; Andoh, Tadakatsu; Okamura, Seizo

CS Kyoto Univ., Kyoto, Japan

SO Kobunshi Kagaku (1968), 25(282), 708-16

CODEN: KOKAAM; ISSN: 0023-2556

DT Journal

LA Japanese

AB To synthesize  $\alpha$ -polypeptide by the H migration polymerization of acrylamide derivs. which carry an electron-withdrawing substituent at the  $\beta$ -carbon, trans-p-nitrocinnamide (I) and trans- $\beta$ -chloroacrylamide (II) were prepared and polymerized with basic initiators. p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH:CHCO<sub>2</sub>Et (m. 136-7°) was refluxed with equimolar Na<sub>2</sub>CO<sub>3</sub> (1N aqueous solution) for 4 hrs. to yield 73.2% p-O<sub>2</sub>N-C<sub>6</sub>H<sub>4</sub>CH:CHCO<sub>2</sub>H, m. 275°, which (5 g.) was refluxed with 10.8 g. PCl<sub>3</sub> in 100 ml. POCl<sub>3</sub> to give p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH:CHCOCl (III), m. 142° (CHCl<sub>3</sub>). NH<sub>3</sub> was introduced into a solution of III in CHCl<sub>3</sub> at <10° to yield 44.5% I, m. 222° (EtOH). CH.tplbond.CCO<sub>2</sub>H (b12 57°) was converted into CHCl:CHCO<sub>2</sub>H, b17 88.5°, which was treated with PhCCl<sub>3</sub> to give CHCl:CHCOCl and then treated with NH<sub>3</sub>-ether to give II, m. 151-2.5°. Intrinsic viscosity of I polymer is about 0.09. About one third of the structural units in the polymer, [CH(C<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-p)CH(CONH<sub>2</sub>)]<sub>m</sub>[CH(CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>-p)-CONH]<sub>n</sub> are linked by peptide bonds. Existence of the  $\alpha$ -peptide linkage was confirmed. trans-Cinnamide gives only the  $\beta$ -polypeptide. This indicates the necessity of a strongly electron withdrawing p-nitro group to induce  $\alpha$ -polypeptide formation. Intrinsic viscosity of II polymer is .apprx.0.1. About one fourth of the structural units, [CHClCH(CONH<sub>2</sub>)]<sub>m</sub>[CH(CH<sub>2</sub>Cl)CONH]<sub>n</sub>, were linked by  $\alpha$  or  $\beta$  peptide bonds.

L8 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1969:37497 CAPLUS

DN 70:37497

TI N,N-Dimethylcinnamides

PA Smith Kline and French Laboratories

SO Brit., 4 pp.

CODEN: BRXXAA

DT Patent

LA English

FAN CNT 1

|    | PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|----|------------|------|----------|-----------------|----------|
|    | -----      | ---- | -----    | -----           | -----    |
| PI | GB 1131727 |      | 19681023 |                 |          |
|    |            |      |          | US              | 19660510 |
|    | DE 1618895 |      |          | DE              |          |
|    | FR 6489    |      |          | FR              |          |

AB Compns. with antidepressant and some anticonvulsant properties primarily for oral administration contain as active ingredients the title compds. 4,3,2-R<sub>1</sub>R<sub>2</sub>R<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH:CHCONMe<sub>2</sub> (I). Heating a mixture of 0.28 mole of a phenylacrylic acid and 175 ml. SOCl<sub>2</sub> under reflux 2 hrs., evaporating, and



treatment of the residue in 50 ml. Et<sub>2</sub>O with 60 ml. 25% aqueous Me<sub>2</sub>NH gave trans-I. The following I were prepared (R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and m.p. given): H, H, H (II), 98°; Cl, H, H (III), 122-4°; CF<sub>3</sub>, H, H, 109°; H, Cl, H, 90°; H, H, Cl, 94°; Cl, Cl, H, 137-8°; F, H, H 97-8°; MeO, H, H (IV), 90-5°. The intermediate p-ClC<sub>6</sub>H<sub>4</sub>CH:CHCO<sub>2</sub>H, m. 241-6° was prepared in the usual way from p-ClC<sub>6</sub>H<sub>4</sub>CHO, CH<sub>2</sub>(CO<sub>2</sub>H)<sub>2</sub>, C<sub>5</sub>H<sub>5</sub>N, and piperidine. The trans derivs. were much more active than the cis isomers. Antidepressant activity was assessed by observing the prevention of reserpine induced ptosis in mice. The ED<sub>50</sub> of II was 49 mg./kg., that of III 15 mg./kg., and that of IV 50 mg./kg. as compared with amitriptyline and imipramine, 15.5 and 10.5 mg./kg. resp. in this test. Tablets contain 25-100 mg. active compound

L8 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1968:495995 CAPLUS

DN 69:95995

TI N,N-Dialkylamides of mono- or dicarboxylic acids

IN Kopecky, Jan; Smejkal, Jaroslav

SO Czech., 2 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | CS 124237   |      | 19670915 | CS              | 19660625 |
| AB | Heating the parent acid (I) with OP(NR <sub>1</sub> R <sub>2</sub> ) <sub>3</sub> at 180-200° 1.5-2.5 hrs. gave the following title compds. [I, % yield, and b.p./mm. (or m.p.) given]: BzOH, 90.7, 150-3°; AcOH, 88.5, 68-70°/20; adipic acid, 85, m. 81-3°; valeric acid 93, 97-100°/22; lauric acid, 95.5, 175-6°/13; CH <sub>2</sub> ClCO <sub>2</sub> H, 66.5, 99.5-100.5°/14; CCl <sub>3</sub> CO <sub>2</sub> H, 70, 111-13°/13; succinic acid, 88.5, m. 79-80°; phthalic acid, 87, m. 121-2°; m-toluic acid, 84, 145-6°/12; cinnamic acid, 88, m. 95°; pyromucic acid, 67, 124-6°/15. |      |          |                 |          |

L8 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1968:467125 CAPLUS

DN 69:67125

TI N-(2-Dialkylaminoethyl)-α-(acylamine) **cinnamides**

PA E. Scheurich Pharmwerk G.m.b.H.

SO Brit., 7 pp.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
| PI | GB 1113569  |      | 19680515 | GB              |          |
|    |   |      |          | DE              | 19651220 |
|    |   |      |          | DE              | 19661003 |
|    | DE 1493184  |      |          | DE              |          |
|    | DE 1620463  |      |          | DE              |          |
|    | FR 1511631  |      |          | FR              |          |
|    | ZA 6607561  |      | 19660000 | ZA              |          |
| GI | For diagram(s), see printed CA Issue.   |      |          |                 |          |
| AB | I are prepared from azlactones II and H <sub>2</sub> NCH <sub>2</sub> CH <sub>2</sub> NR <sub>2</sub> . A solution of 18.7 g. II (R <sub>1</sub> = Me, R <sub>2</sub> = R <sub>3</sub> = H) in 300 ml. C <sub>6</sub> H <sub>6</sub> is treated with 13 g. β-morpholinoethylamine at room temperature and the mixture kept overnight to |      |          |                 |          |

give 70% N-( $\beta$ -morpholinoethyl)- $\alpha$ -acetylaminocinnamamide, m. 164-6° (Me<sub>2</sub>CO). Similarly prepared are the following I (R or NR<sub>2</sub>, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, m.p., and % yield given): morpholino, Me, H, MeO, 186-7°, 75; morpholino, Me, H, Cl, 180-1°, 71; morpholino, Me, H, AcO, 160-1°, 53; Me, Me, H, H, 139-41°, 60; Me, Me, H, H, 100-3°, 57; Et, Me, H, H, 138-9°, 70; Et, Me, H, Cl, 137-8°, 69; Et, Me, H, AcO, 136-7°, 72; Et, Me, MeO, MeO, 139-41°, 65; piperidino, Me, H, H, 171-2°, 58; piperidino, Me, H, Cl, 164-6°, 74; piperidino, Me, H, MeO, 146-8°, 80; Et, Ph, H, H, 146-7°, 83; Et, Ph, MeO, MeO, 117-19°, 51; morpholino, Ph, H, H, 170-1°, 82; Et, PhCH<sub>2</sub>, H, H, 114-16°, 75; Et, PhCH<sub>2</sub>, H, Cl, 131-2°, 76; Et, PhCH<sub>2</sub>, H, MeO, 104-6°, 65; morpholino, PhCH<sub>2</sub>, H, H, 154-5°, 58; Et, Ph<sub>2</sub>CH, H, H, 170-1°, 90; piperidino, Ph<sub>2</sub>CH, H, H, 156-8°, 81; and morpholino, Ph<sub>2</sub>CH, H, H, 153-5°, 80. Also prepared were the following I [R = Et, R<sub>1</sub> = p-(Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>O)C<sub>6</sub>H<sub>4</sub>, R<sub>2</sub> = H] (R<sub>3</sub>, m.p., and % yield given): H, 96-7°, 92; MeO, 116-18°, 75; and AcO, 99-102°, 62. Also prepared were (m.p. and % yield given): N-( $\beta$ -dimethylaminoisopropyl)- $\alpha$ -acetylaminocinnamamide, 130-1°, 64; and N-( $\beta$ -morpholinoethyl)- $\alpha$ -acetylaminomethylcinnamamide, 162-3°, -.

- L8 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1968:444006 CAPLUS  
 DN 69:44006  
 TI Reaction of phenylacetylene with nickel carbonyl and lithium dimethylamide  
 AU Fukuoka, Shinsuke; Ryang, Membo; Tsutsumi, Shigeru  
 CS Osaka Univ., Osaka, Japan  
 SO Journal of Organic Chemistry (1968), 33(7), 2973-5  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 AB Phenylacetylene is treated with Li-[Me<sub>2</sub>NCONi(CO)<sub>3</sub>] (I) to give 2-phenyl-N,N,N',N'-tetramethyl-succinamide, a small amount of N,N-dimethylcinnamide, and traces of triphenylbenzene and all-trans-1,4-diphenylbutadiene. I is prepared from LiNMe<sub>2</sub> and Ni(CO)<sub>4</sub>; I is treated with HgCl<sub>2</sub> to give Me<sub>2</sub>NCOCONMe<sub>2</sub> and Me<sub>2</sub>NCONMe<sub>2</sub>.
- L8 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1968:432857 CAPLUS  
 DN 69:32857  
 TI Spectrophotometric determination of aliphatic amines by acylation with cinnamic anhydride  
 AU Hong, Wen-Hai; Connors, Kenneth A.  
 CS Sch. of Pharm., Univ. of Wisconsin, Madison, WI, USA  
 SO Analytical Chemistry (1968), 40(8), 1273-6  
 CODEN: ANCHAM; ISSN: 0003-2700  
 DT Journal  
 LA English  
 AB A simple, sensitive method for determining primary and secondary aliphatic amines is based on their conversion to N-substituted **cinnamides** by reaction with trans-cinnamic anhydride. After acylation of the amine in MeCN solution, the excess anhydride is hydrolyzed, the cinnamide is extracted into CHCl<sub>3</sub>, and the amide is measured spectrophotometrically. The method is applicable to amine samples in the 1-5  $\mu$ mole range; the standard deviation is 0.5-1.0%. Water and MeOH do not interfere. The kinetics of the acylation and hydrolysis reactions have been studied, and a

correlation can be demonstrated between acylation rate and basicity for many primary amines; sterically hindered amines react more slowly than would be anticipated from their basicity.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

358.44

623.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-84.55

-84.55

STN INTERNATIONAL LOGOFF AT 17:28:38 ON 28 APR 2004